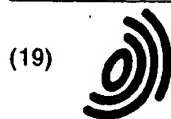


B31



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(22) Date of filing: 21.08.1992

(54) **Novel 7-substituted-9-substituted amino-6-demethyl-6-deoxytetracyclines**

7-Substituierte-9-substituierte Amino-6-Demethyl-6-Deoxy-Tetracycline

6-Deméthyl-6-déoxy-tétracyclines substituées en 7 et substituées en 9 par un groupe amino substitué

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(56) References cited:
US-A- 3 338 963 **US-A- 3 579 579**

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- **JOURNAL OF MEDICINAL CHEMISTRY** vol. 6,
no. 4, 9 July 1963, WASHINGTON US pages 405
- 407 **JOHN L. SPENCER ET AL**
'6-deoxytetracyclines.V 7,9-disubstituted
products'

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Description

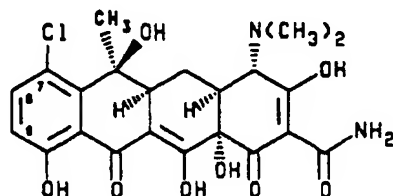
[0001] The invention relates to novel [4S-(4,12a α)]-4-(dimethylamino)-7-(substituted)-9-(substituted amino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamides hereinafter called 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines, which exhibit antibiotic activity against a wide spectrum of organisms including organisms which are resistant to tetracyclines and are useful as antibiotic agents. The invention also relates to novel 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracycline intermediates useful for making the novel compounds of the present invention and to novel methods for producing the novel compounds and intermediate compounds.

DESCRIPTION OF THE PRIOR ART

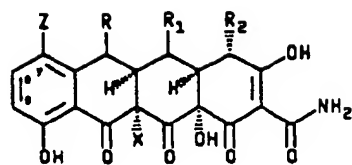
[0002] A variety of tetracycline antibiotics have been synthesized and described for the treatment of infectious diseases in man and animals since 1947. Tetracyclines inhibit protein synthesis by binding to the 30S subunit of the bacterial ribosome preventing binding of aminoacyl RNA (Chopra, Handbook of Experimental Pharmacology, Vol. 78, 317-392, Springer-Verlag, 1985). Resistance to tetracyclines has emerged among many clinically important microorganisms which limit the utility of these antibiotics. There are two major mechanisms of bacterial resistance to tetracyclines: a) energy-dependent efflux of the antibiotic mediated by proteins located in the cytoplasmic membrane which prevents intracellular accumulation of tetracycline (S. B. Levy, et al., Antimicrob. Agents Chemotherapy 33, 1373-1374 (1989); and b) ribosomal protection mediated by a cytoplasmic protein which interacts with the ribosome such that tetracycline no longer binds or inhibits protein synthesis (A. A. Salyers, B. S. Speers and N. B. Shoemaker, Mol. Microbiol., 4:151-156, 1990). The efflux mechanism of resistance is encoded by resistance determinants designated tetA, tetL. They are common in many Gram-negative bacteria (resistance genes Class A-E), such as Enterobacteriaceae, Pseudomonas, Haemophilus and Aeromonas, and in Gram-positive bacteria (resistance genes Class K and L), such as Staphylococcus, Bacillus and Streptococcus. The ribosomal protection mechanism of resistance is encoded by resistance determinants designated TetM, N and O, and is common in Staphylococcus, Streptococcus, Campylobacter, Gardnerella, Haemophilus and Mycoplasma (A. A. Salyers, B. S. Speers and N. B. Shoemaker, Mol. Microbiol., 4: 151-156 1990).

[0003] A particularly useful tetracycline compound is 7-(dimethylamino)-6-demethyl-6-deoxytetracycline, known as minocycline (see U.S. 3,148,212, RE 26,253 and 3,226,436 discussed below). However, strains harboring the tetB (efflux in gram-negative bacteria) mechanism, but not tetK (efflux in Staphylococcus) are resistant to minocycline. Also, strains carrying tetM (ribosomal protection) are resistant to minocycline. This invention describes the synthesis of novel tetracycline compounds which demonstrate significant *in vitro* and *in vivo* activity vs. tetracycline and minocycline susceptible strains and some tetracycline and minocycline resistant strains, that is, those harboring the tetM (ribosomal protection) resistance determinants.

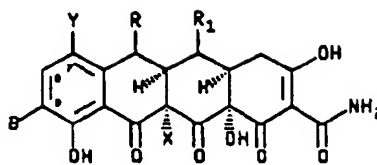
[0004] Duggar, U.S. Patent No. 2,482,055, discloses the preparation of Aureomycin® (I) by fermentation which have antibacterial activity. Growich et al., U.S. Patent No. 3,007,965, disclose improvements to the fermentation preparation of I. Neither of these patents teaches or suggests the 6-demethyl-6-deoxytetracyclines.



Beereboom et al., U.S. Patent No. 3,043,875 discloses tetracycline derivatives of the formulae (II) and (III) where R is H or CH₃; R₁ is H and when R is CH₃, OH; R₂ is H and N(CH₃)₂; X and Y are halogen; Z is H and halogen and B is bromo, chloro and iodo, which have antibacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl)amino substituents (at Y or Z) and an amino function (at B).

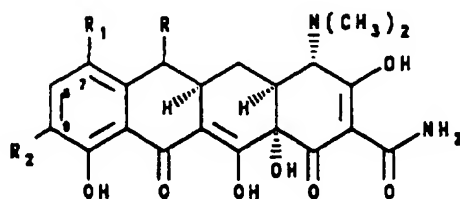


II



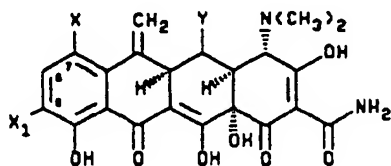
III

Boothe et al., U. S. Patent No. 3,148,212, reissued as RE26,253, and Petisi et al., U.S. Patent No. 3,226,436, discloses tetracycline derivatives of the formula (IV) wherein R is hydrogen or methyl and R₁ and R₂ is hydrogen, mono(lower alkyl)amino or di(lower alkyl)amino with the proviso that R₁ and R₂ cannot both be hydrogen, which are useful for treating bacterial infections. This patent does not teach or suggest the inclusion of a 9-amino functionality (at R₂).

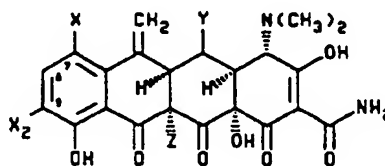


IV

Blackwood et al., U.S. Patent No. 3,200,149 discloses tetracycline derivatives of the formulae (V) and (VI) and reduction products thereof wherein Y may be hydrogen or hydroxyl, X may be hydrogen, chloro, iodo, or bromo, X₁ may be hydrogen, amino, and lower alkanoylamino, X₂ may be hydrogen or nitro and Z is chloro or fluoro which possess microbiological activity. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino group (at X) and another nitrogen functionality (at X₁) on the 6-demethyl-6-deoxytetracycline nucleus.

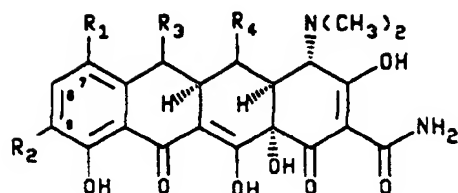


V



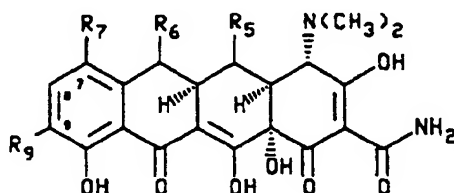
VI

Petisi et al., U.S. Patent No. 3,338,963 discloses tetracycline compounds of the formula (VII) wherein R₁ and R₂ are hydrogen, nitro, amino, formylamino, acetylamino, p-(dihydroxyboryl)benzoylamino, p-(aminobenzenesulfonyl)amino, chlorine, bromine or diazonium with the proviso that R₁ and R₂ may not both be hydrogen and with the further proviso that when R₁ is chlorine or bromine, R₂ may not be hydrogen and vice versa, R₃ is hydrogen or methyl and R₄ is hydrogen or hydroxy, which have broad-spectrum antibacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl)amino substituents (at R₁) and amino substituents (at R₂).



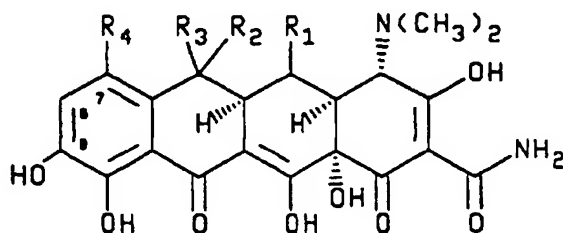
VII

Bitha et al., U.S. Patent No. 3,341,585 discloses tetracycline compounds of the formula (VIII) wherein R_5 is hydrogen, α -hydroxy or β -hydroxy, R_6 is α -methyl or β -methyl, and R_7 and R_9 are each hydrogen, mono(lower alkyl)amino or di(lower alkyl)amino with the proviso that R_7 and R_9 cannot both be hydrogen and with the further proviso that when R_5 is hydrogen then R_6 is α -methyl. A preferred embodiment of the general formula (VIII) is when R_5 is α -hydroxy or β -hydroxy, R_6 is α -methyl or β -methyl, R_7 is di(lower alkyl)amino and R_9 is hydrogen, which have broad-spectrum antibacterial activity. This patent does not teach or suggest the inclusion of both di(lower alkyl)amino or mono(lower alkyl) amino substituents (at R_7) and amino substituents (at R_9).



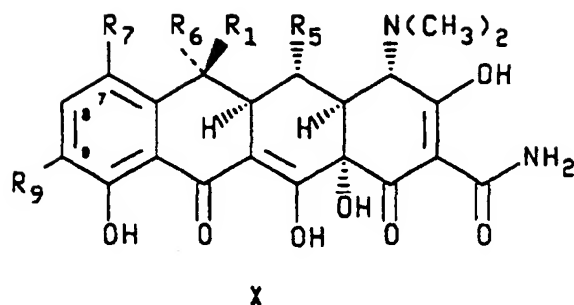
VIII

Shu, U.S. Patent No. 3,360,557 discloses 9-hydroxytetracyclines of the formula (IX) wherein R_1 is hydrogen or hydroxy, R_2 is hydrogen or hydroxy, R_3 is hydrogen or methyl, R_2 and R_3 taken together is methylene, and R_4 is hydrogen, halogen, nitro, amino, mono(lower alkyl)amino or di(lower alkyl)amino, which have been found to possess antibacterial activity. This patent is restricted to 9-hydroxytetracyclines and does not teach or suggest the presently claimed compounds.

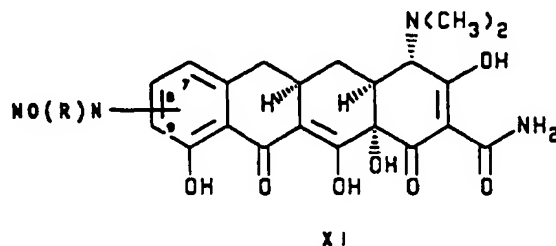


IX

Zambrano, U.S. Patent No. 3,360,561 discloses a process for preparing 9-nitrotetracyclines of the formula (X) wherein R_5 is hydrogen or hydroxy, R_1 is hydrogen or hydroxy, R_6 is hydrogen or methyl, R_1 and R_6 taken together is methylene, R_7 is hydrogen, chloro or nitro and R_9 is hydrogen or nitro with the proviso that R_7 and R_9 cannot both be hydrogen. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino or mono(lower alkyl)amino substituent (at R_7) and an amino functionality (at R_9).



Martell et al., U.S. Patent No. 3,518,306 discloses 7-and/or 9-(N-nitrosoalkylamino)-6-demethyl-6-deoxytetracyclines of the formula (XI) which possess *in vivo* antibacterial activity. This patent does not teach or suggest the inclusion of both a di(lower alkyl)amino or mono(lower alkyl)amino substituent (at C-7) and an amino functionality (at C-9).

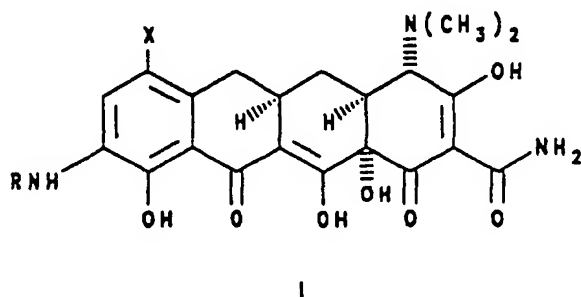


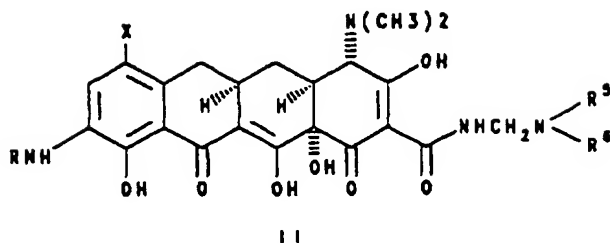
[0005] In U.S. 5,021,407, a method of overcoming the resistance of tetracycline resistant bacteria is disclosed. The method involves utilizing a blocking agent compound in conjunction with a tetracycline type antibiotic. This patent does not disclose novel tetracycline compounds which themselves have activity against resistant organisms.

[0006] In summary, none of the above patents teach or suggest the novel compounds of this application. In addition, none of the above patents teach or suggest novel tetracycline compounds having activity against tetracycline and minocycline resistant strains as well as strains which are normally susceptible to tetracyclines.

SUMMARY OF THE INVENTION

[0007] This invention is concerned with novel 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines, represented by formula I and II, which have antibacterial activity; with method of treating infectious diseases in warm blooded animals employing these new compound; with methods of treating or controlling veterinary diseases; with pharmaceutical preparations containing these compounds; with novel intermediate compounds and processes for the production of these compounds. More particularly, this invention is concerned with compounds of formula I and II which have enhanced *in vitro* and *in vivo* antibiotic activity against tetracycline resistant strains as well as a high level of activity against strains which are normally susceptible to tetracyclines.





[0008] In formula I and II, X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $\text{X} = \text{NR}^1\text{R}^2$ and $\text{R}^1 = \text{hydrogen}$,

$\text{R}^2 = \text{methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl}$;

and when $\text{R}^1 = \text{methyl or ethyl}$,

$\text{R}^2 = \text{methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{n-propyl}$,

$\text{R}^2 = \text{n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{1-methylethyl}$,

$\text{R}^2 = \text{n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{n-butyl}$,

$\text{R}^2 = \text{n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{1-methylpropyl}$,

$\text{R}^2 = \text{2-methylpropyl}$;

R is selected from $\text{R}^4(\text{CH}_2)_n\text{CO-}$ or $\text{R}^4(\text{CH}_2)_n\text{SO}_2^-$;

and when $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO-}$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched ($\text{C}_1\text{-C}_6$)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched ($\text{C}_1\text{-C}_4$)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; ($\text{C}_3\text{-C}_6$)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted ($\text{C}_3\text{-C}_6$)cycloalkyl group (substitution selected from ($\text{C}_1\text{-C}_3$)alkyl, cyano, amino or ($\text{C}_1\text{-C}_3$)acyl); ($\text{C}_6\text{-C}_{10}$)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted ($\text{C}_6\text{-C}_{10}$)aryl group (substitution selected from halo, ($\text{C}_1\text{-C}_4$)alkoxy, trihalo($\text{C}_1\text{-C}_3$)alkyl, nitro, amino, cyano, ($\text{C}_1\text{-C}_4$)alkoxycarbonyl, ($\text{C}_1\text{-C}_3$)alkylamino or carboxy); ($\text{C}_7\text{-C}_9$)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino-($\text{C}_1\text{-C}_4$)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy($\text{C}_2\text{-C}_4$)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; ($\text{C}_7\text{-C}_9$)aralkylamino group such as phenylglycyl; ($\text{C}_1\text{-C}_4$)alkoxycarbonylamino substituted ($\text{C}_1\text{-C}_4$)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy($\text{C}_1\text{-C}_3$)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto($\text{C}_1\text{-C}_3$)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo($\text{C}_1\text{-C}_3$)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



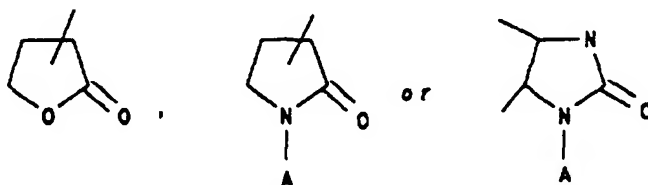
$\text{Z} = \text{N, O, S or Se}$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



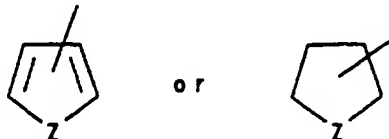
$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl; 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



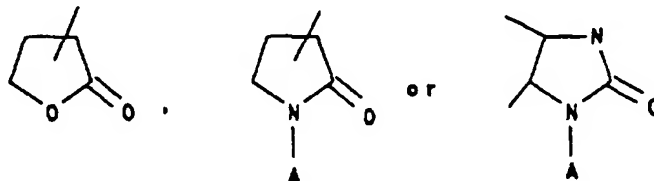
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-

furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazoly];

(C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)-alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; acyloxy or haloacyloxy group, selected from acetyloxy, propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C₃-C₆)cycloalkylcarbonyloxy, (C₆-C₁₀)aroyloxy selected from benzoyloxy or naphthoyloxy, halo substituted (C₆-C₁₀)aroyloxy such as pentafluorobenzoyloxy, 4-chlorobenzoyloxy, 3-bromobenzoyloxy or 3,4-difluorobenzoyloxy, (C₁-C₄)alkylbenzoyloxy such as 4-toluoyloxy, 2-toluoyloxy or 4-(1-methylethyl)benzoyloxy, (heterocycle)carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

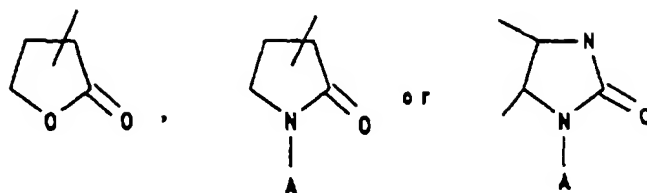
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazoly],

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

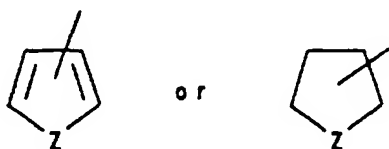
pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; (C₁-C₄)

alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di

(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylami-

no); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)

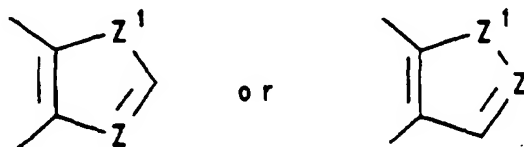
aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

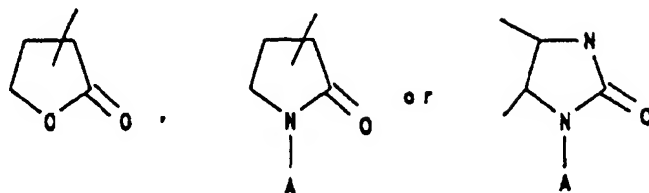
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

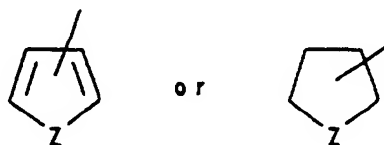
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms

and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, n-pentyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 3-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropylamino; (C₂-C₅)azacycloalkyl group such as aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, morpholinyl or 2-methylpyrrolidinyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)-aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl, 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



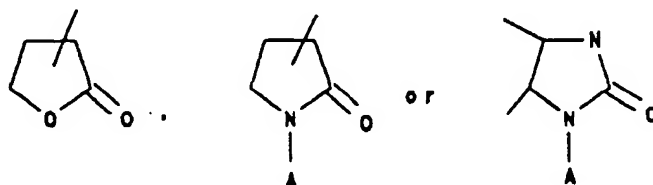
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^bamino

(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methyl-

ethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nSO₂- and n = 0, R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethyl-

amino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted

(C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



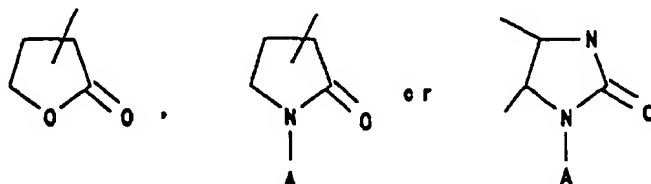
10
 $Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25
 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴ (CH₂)_nSO₂- and n= 1-4,

55 R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆)cy-

cloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₉)aralkylthio group such as benzythio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



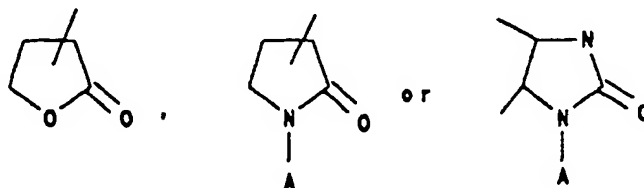
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



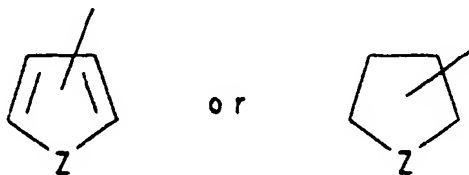
Z or Z¹ = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)-benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



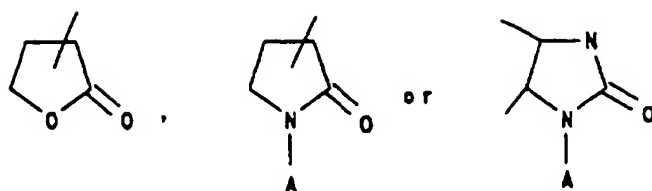
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



10 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

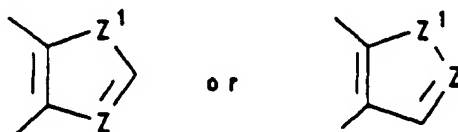
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxy-carbonyl or straight: or branched butoxycarbonyl;

20 R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



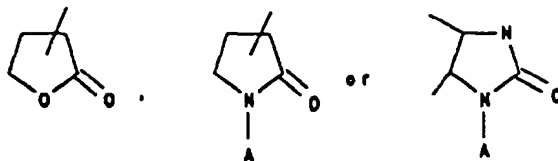
30 **Z = N, O, S or Se**

35 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

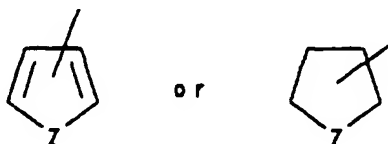


45 **Z or Z¹ = N, O, S or Se**

50 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



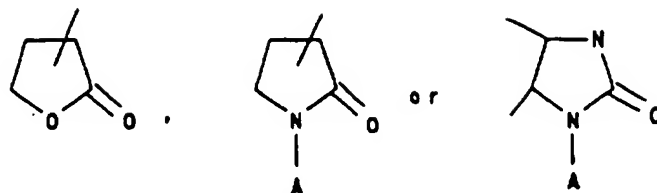
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiophorinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0009] Preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

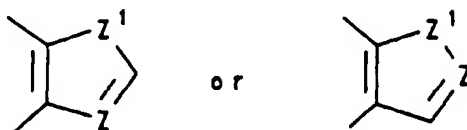
R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano,

(C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α -amino(C₁-C₄)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group such as phenylglycyl; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy (C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



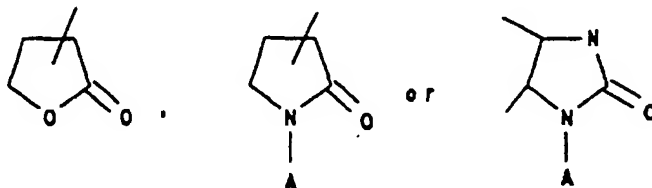
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



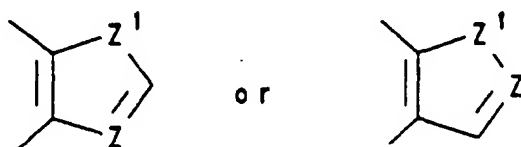
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluyol, 2-methyltoluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



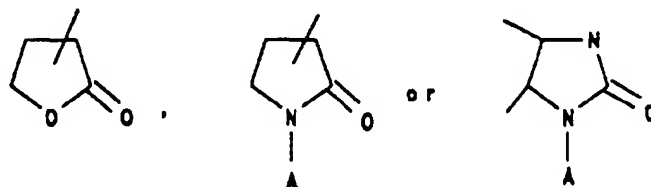
10 $Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

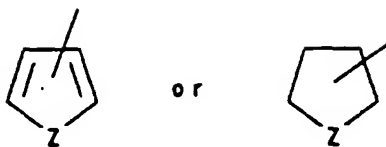
30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

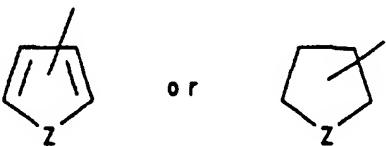
55



10 $Z = N, O, S \text{ or } Se$

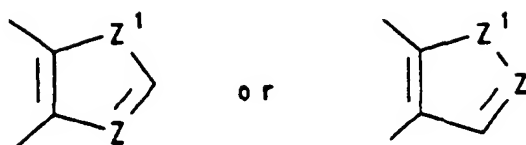
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-
 furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl]; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy,
 n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution se-
 15 lected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such
 as benzyloxy, 1-phenylethoxy or 2-phenylethoxy; vinyloxy or substituted vinyloxy group (substitution selected from
 (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy
 group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-
 butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N
 20 (C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy
 group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,
 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-
 C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;
 and when R = R⁴ (CH₂)_nCO- and n=1-4,

25 R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; mon-
 osubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, ben-
 zylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino,
 monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-
 C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected
 30 from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or car-
 boxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkyl-
 carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl,
 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-meth-
 ylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring
 35 with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



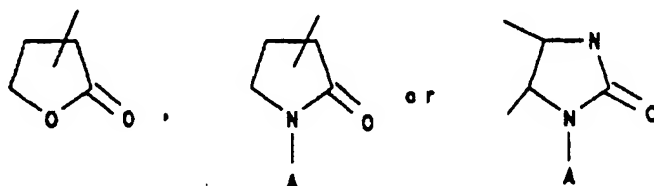
45 $Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-
 furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or
 50 Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z^1 - N, O, S or Se

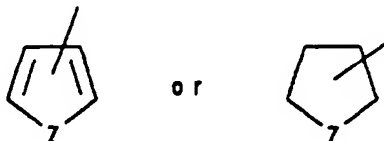
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^b amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino; carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

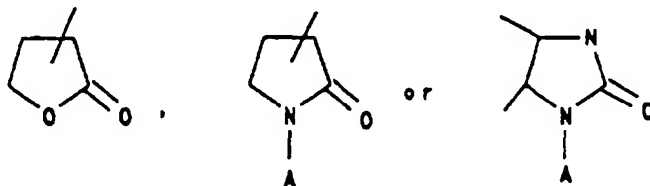


Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; hydroxy group; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused

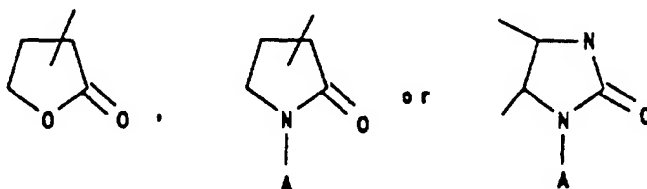
thereto:



10

$Z \text{ or } Z' = N, O, S \text{ or } Se$

15 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

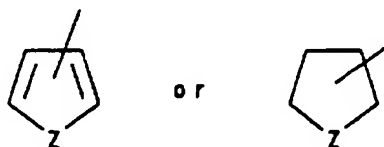


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30 such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; (C₁-C₄) alkoxy-carbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

35 and when $R = R^4(CH_2)_nSO_2^-$ and $n = 0$,

R⁴ is selected from amino; monosubstituted amino selected from as straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



55

$Z = N, O, S \text{ or } Se$

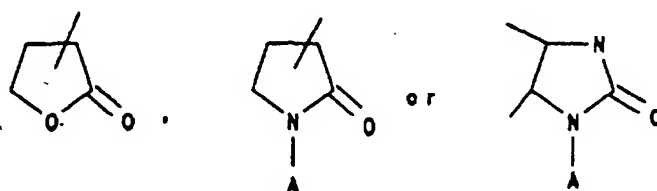
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-

furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z^1 - N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



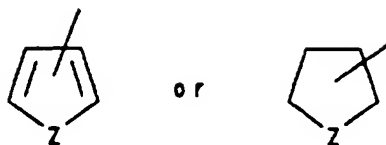
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl;

and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy, iso-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino; (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C₁-C₄)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



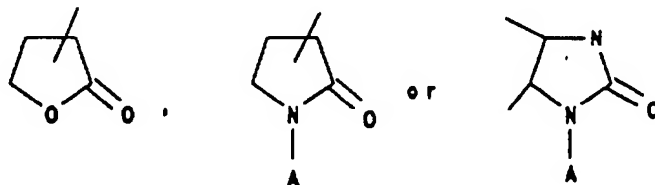
10 $Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl;

50 R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)-aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



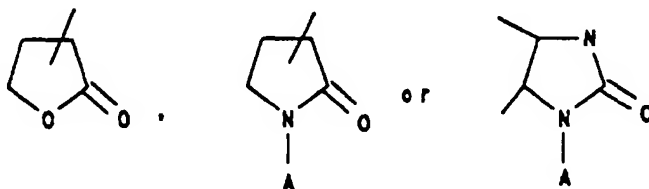
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy);

(C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0010] Particularly preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3-C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6)cycloalkyl group (substitution selected from (C_1-C_3)alkyl, cyano, amino or (C_1-C_3)acyl); (C_6-C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10})aryl group (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); α -amino-(C_1-C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2-C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9)aralkylamino group such as phenylglycyl; (C_1-C_4)alkoxycarbonylamino substituted (C_1-C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; a-hydroxy(C_1-C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1-C_3)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



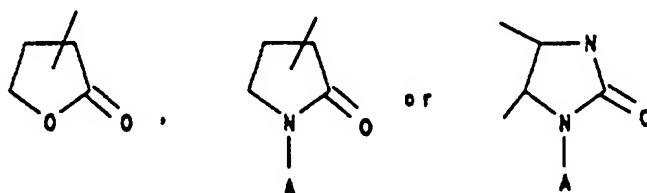
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀) aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-methylbenzoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



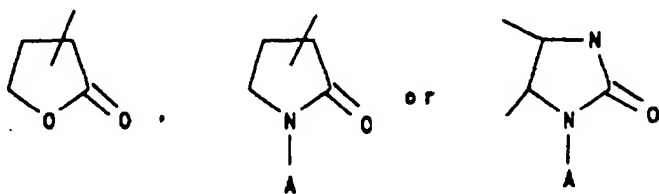
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophomorpholinyl; (C₁-C₄) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃) alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

(C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)-alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂-wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

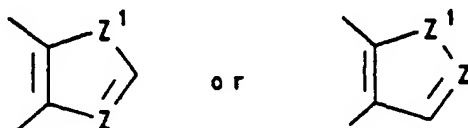
and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀) aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkyl-carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



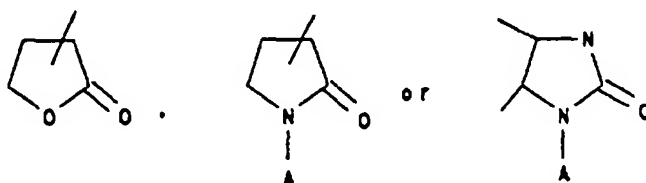
Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' - N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy);

(C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di

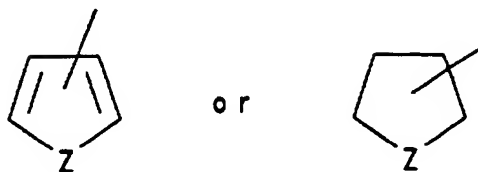
(C₁-C₃)-alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -

(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -

(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-

arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated

ring one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



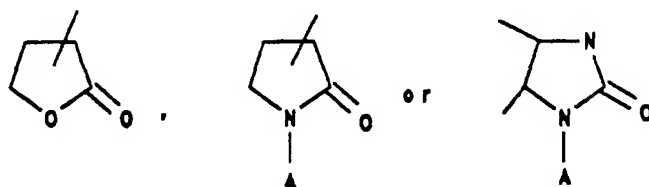
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

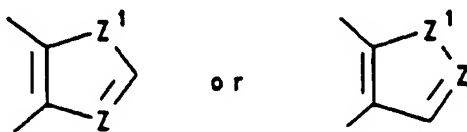
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophosphorinyl; hydroxy group; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromophenylcarbonyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as from 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with

one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



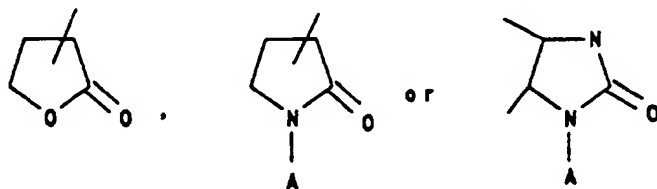
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

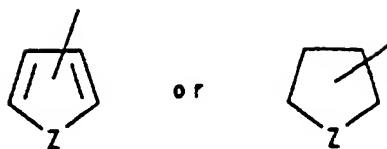


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R^{4'} (CH₂)_nSO₂- and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from as straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



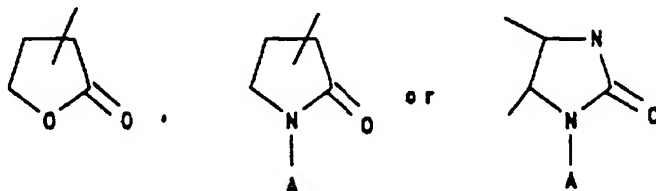
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy; (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

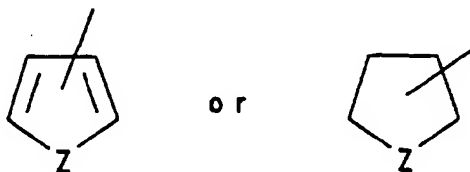
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl;

and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂-

wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)alkyl$], O or S;

R^5 is selected from hydrogen; straight or branched $(C_1-C_3)alkyl$ group selected from methyl, ethyl, n-propyl or 1-methylethyl; $(C_6-C_{10})aryl$ group selected from phenyl, α -naphthyl or β -naphthyl; $(C_7-C_9)aralkyl$ group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



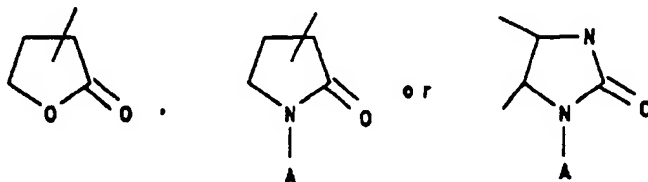
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched $(C_1-C_4)alkyl$; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, $(C_1-C_4)alkoxy$, trihalo $(C_1-C_3)alkyl$, nitro, amino, cyano, $(C_1-C_4)alkoxycarbonyl$, $(C_1-C_3)alkylamino$ or carboxy); $(C_7-C_9)aralkyl$ group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or $(C_1-C_3)alkylthiopyridazinyl$, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or $-(CH_2)_nCOOR^7$ where $n=0-4$ and R^7 is selected from hydrogen; straight or branched $(C_1-C_3)alkyl$ group selected from methyl, ethyl, n-propyl or 1-methylethyl; or $(C_6-C_{10})aryl$ group selected from phenyl, α -naphthyl or β -naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



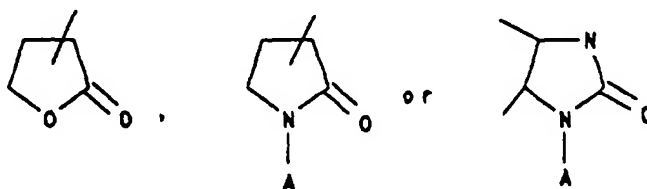
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl

[straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0011] Most particularly preferred compounds are compounds according to the above formula I and II in which X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

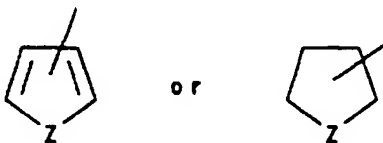
and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

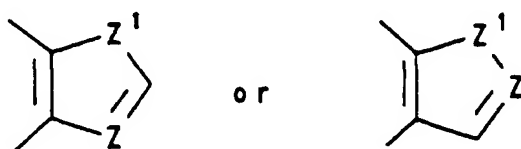
and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



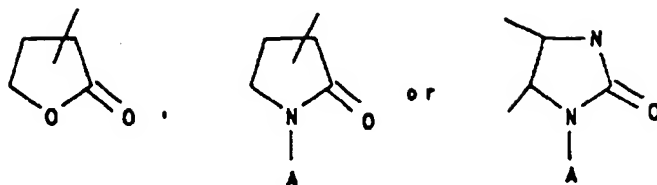
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



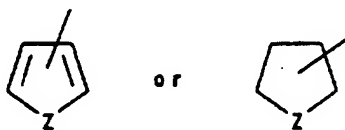
Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

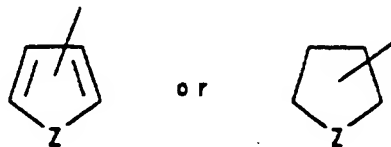


Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₂)alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)

carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



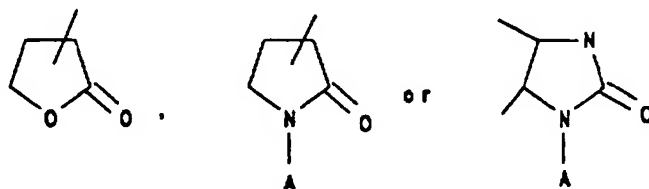
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



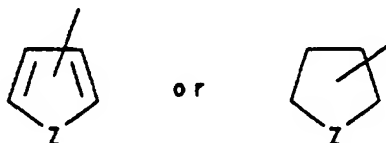
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄) alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃) alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one or two N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as

bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R' (CH₂)_nSO₂⁻ and n = 0,

R' is selected from amino; monosubstituted amino selected from as straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



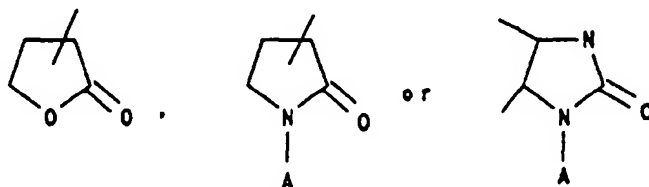
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



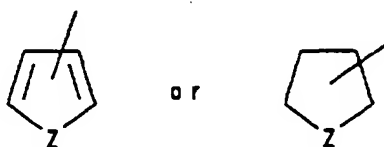
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl;

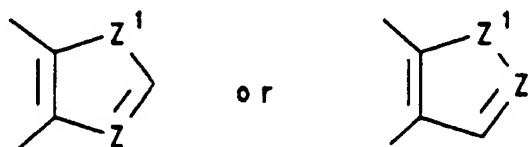
and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



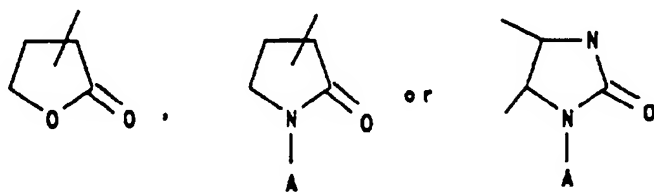
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

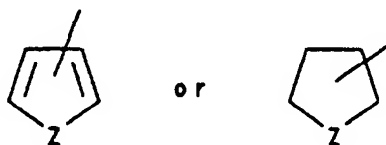
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

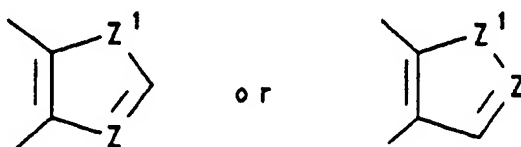
such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or -

(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl; R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



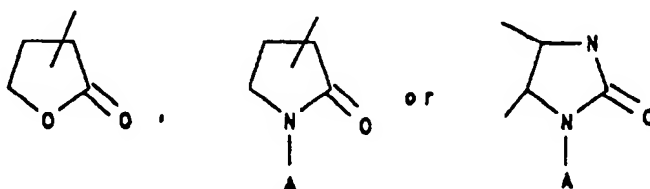
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl

[straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

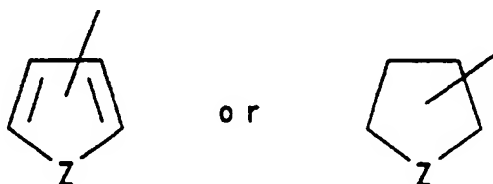
[0012] Compounds of special interest are compounds according to the above formula I and II in which X is selected from amino, NR₁R₂ or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR₁R₂ and R₁ = methyl or ethyl;

R₂ = methyl or ethyl,

R is selected from R⁴(CH₂)_nCO- or R^{4'}(CH₂)_nSO₂-;

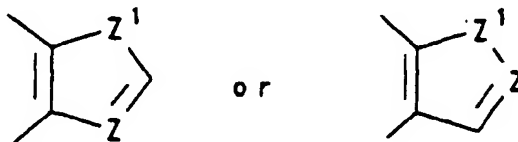
and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



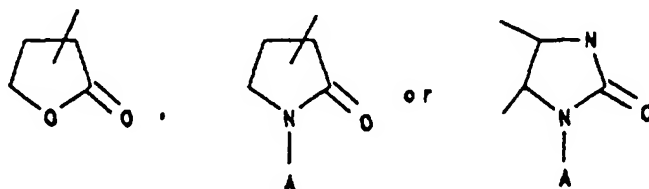
Z = N, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, or S

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycar-

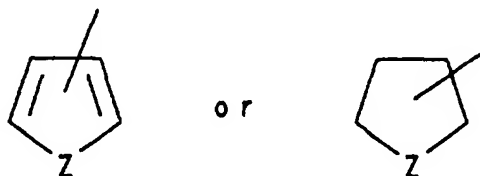
bonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₂)alkyl group, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl); (C₇-C₁₀) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₂)alkyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₂)alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, or 1-(1,2,3-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted(C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, amino, (C₁-C₄)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴'(CH₂)_nSO₂- and n = 0,

R⁴' is selected from straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, (C₁-C₄)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O \text{ or } S$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl;

and when $R = R^4 (CH_2)_n SO_2^-$ and $n = 1-4$,

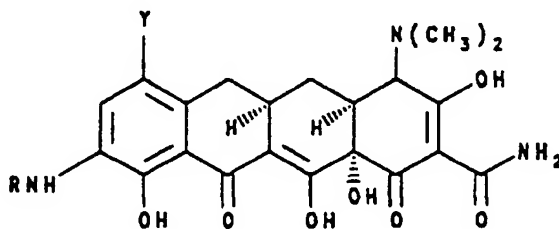
R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl;

R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

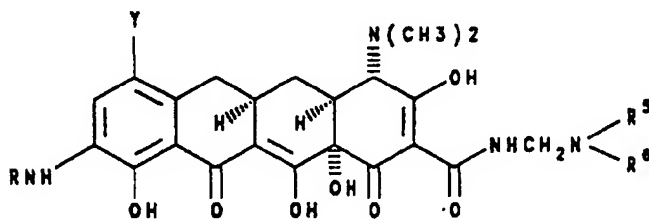
R^6 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are $-(CH_2)_2 W (CH_2)_2-$, wherein W is selected from $(CH_2)_n$ and $n = 0-1$, $-NH$, $-N(C_1-C_3)$ alkyl [straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D) proline, ethyl(L or D) proline, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0013] Also included in the present invention are compounds useful as intermediates for producing the above compounds of formula I and II. Such intermediate compounds include those having the formula:



III



IV

wherein formula III and IV, Y is NO_2 ;

R is selected from $R^4(CH_2)_n CO-$ or $R^4(CH_2)_n SO_2^-$;

and when $R = R^4(CH_2)_n CO-$ and $n = 0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl,

1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α-amino-(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)-alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group such as phenylglycyl; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; α-mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, α-mercaptoethyl, α-mercapto-1-methylethyl or α-mercaptopropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



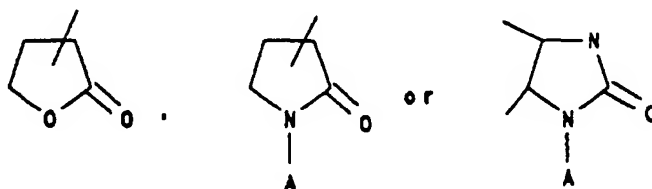
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

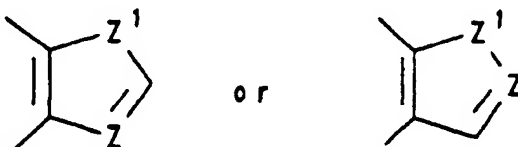
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

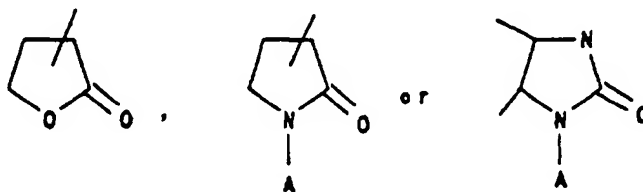
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



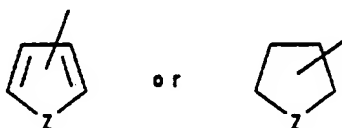
Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy);

(C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)-alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)-alkyl group, halogen, (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy), halo(C₁-C₃)-alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

(C₁-C₄)-alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)-aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)-alkyl, cyano, carboxy, or (C₆-C₁₀)-aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)-alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)-cycloalkyl group (substitution selected from (C₁-C₃)-alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); (C₇-C₉)-aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)-aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)-aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl,

(C₁-C₄)-alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



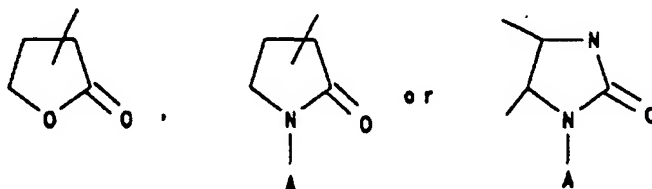
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

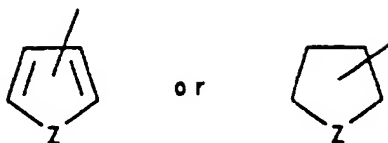
such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)aralkylthio group such as benzylthio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused

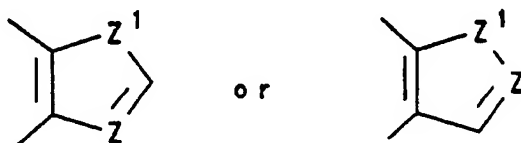
thereto:



10

Z = N, O, S or Se

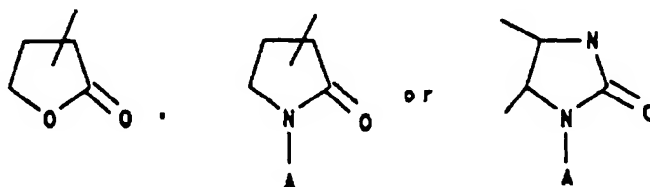
15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25

Z or Z' = N, O, S or Se

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

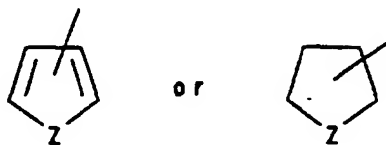


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy);

45 (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C₂-C₅)azacycloalkyl group such as aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, morpholinyl or 2-methylpyrrolidinyl; carboxy(C₂-C₄) alkylamino group selected from

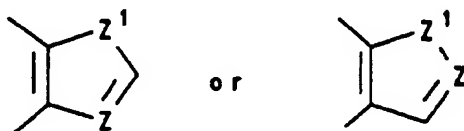
55 aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl,

2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)-aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl, 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



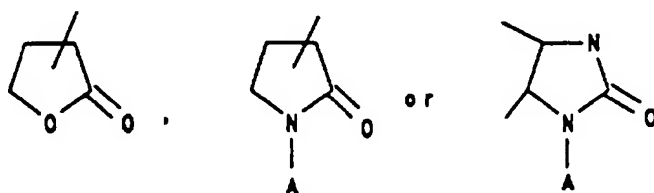
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

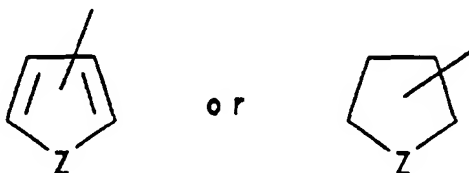


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^bamino (C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-meth-

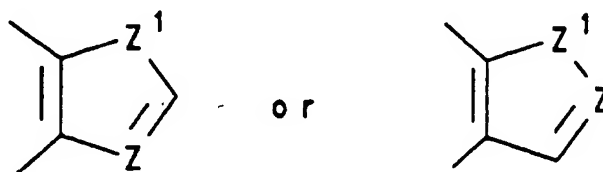
ylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W (CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)alkyl$], O or S; or $R^a R^b$ aminoxy group, wherein $R^a R^b$ is a straight or branched $(C_1-C_4)alkyl$ selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W (CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)alkyl$], O or S; and when $R = R^4 (CH_2)_n SO_2-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from straight or branched $(C_1-C_6)alkylamino$, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1-C_4)alkyl$ group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; $(C_3-C_6)cycloalkyl$ group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted $(C_3-C_6)cycloalkyl$ group (substitution selected from $(C_1-C_3)alkyl$, cyano, amino or $(C_1-C_3)acyl$); $(C_6-C_{10})aryl$ group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6-C_{10})aryl$ group (substitution selected from halo, $(C_1-C_4)alkoxy$, trihalo $(C_1-C_3)alkyl$, nitro, amino, cyano, $(C_1-C_4)alkoxycarbonyl$, $(C_1-C_3)alkylamino$ or carboxy); $(C_7-C_9)aralkyl$ group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; halo $(C_1-C_3)alkyl$ group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



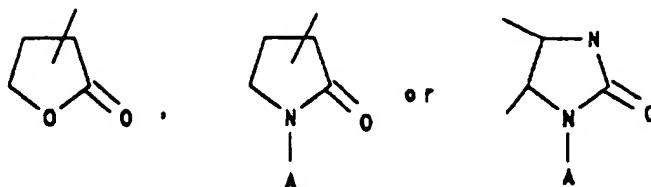
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₈)aralkylthio group such as benzythio, 1-phenylethylthio or 2-phenylethylthio; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

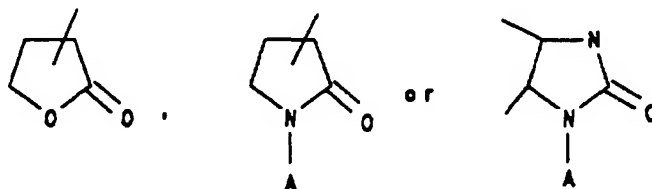
such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or

Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



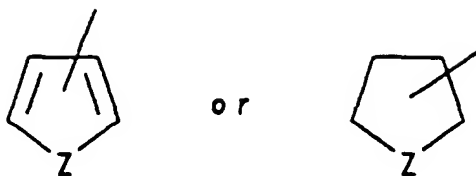
$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



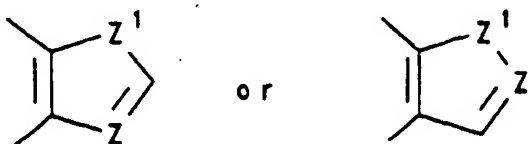
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trichloroethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)-benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



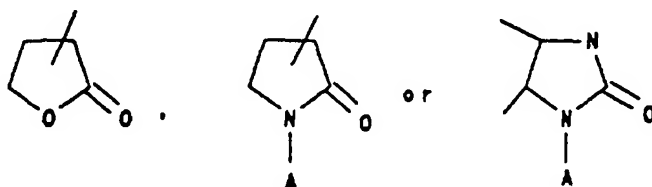
$Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy-carbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxy-carbonyl or straight or branched butoxycarbonyl;

50 R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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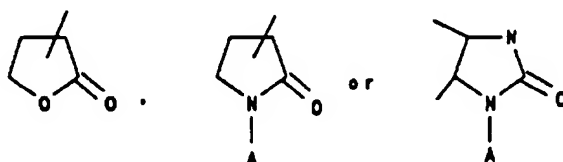
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl; R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



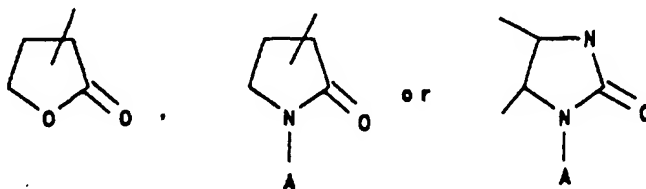
10 $Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



20 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiophorinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0014] Preferred compounds are compounds according to the above formula III and IV in which Y is NO₂;

R is selected from $R^4(CH_2)_nCO-$ or $R^4(CH_2)_nSO_2-$;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); α -amino (C_1-C_4) alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group such as phenylglycyl; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo (C_1-C_3) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



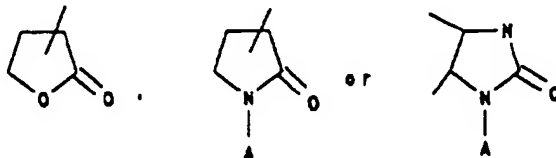
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-methyltoluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



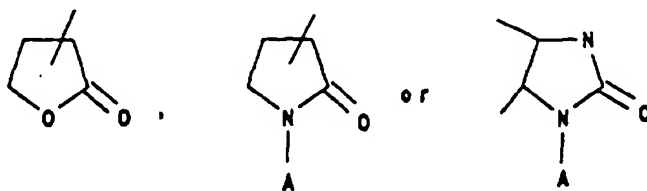
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms

and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy carbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃) alkyl group, halogen, (C₆-C₁₀) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀) aryl group (substitution selected from halo, (C₁-C₄) alkoxy, trihalo(C₁-C₃) alkyl, nitro, amino, cyano, (C₁-C₄) alkoxy carbonyl, (C₁-C₃) alkylamino or carboxy), halo(C₁-C₃) alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazoly]; (C₁-C₄) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄) alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃) alkylamino); (C₇-C₁₀) aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄) alkyl, cyano, carboxy, or (C₆-C₁₀) aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄) alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃) alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃) alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃) alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃) alkyl], O or S;

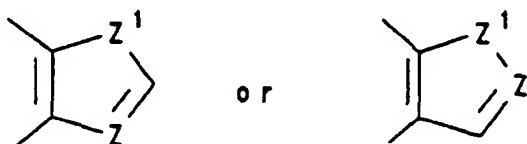
and when R = R (CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀) aryl group (substitution selected from halo, (C₁-C₄) alkoxy, trihalo(C₁-C₃) alkyl, nitro, amino, cyano, (C₁-C₄) alkoxy carbonyl, (C₁-C₃) alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆) cycloalkyl carbonyl, (C₆-C₁₀) aryl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀) aryl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄) alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



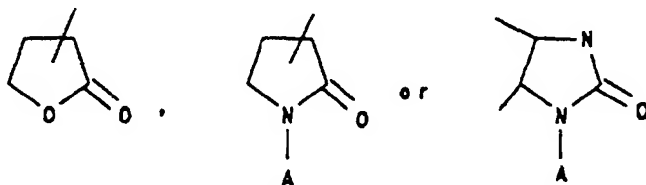
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



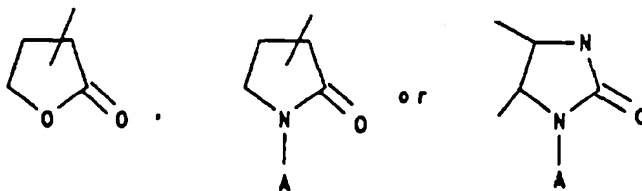
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



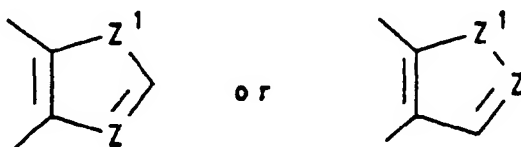
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiormorpholinyl; hydroxy group; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



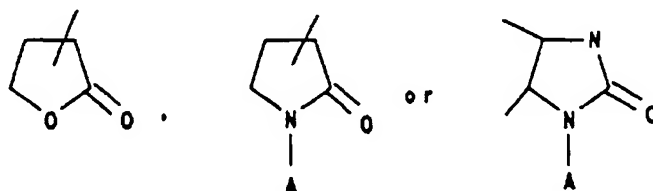
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



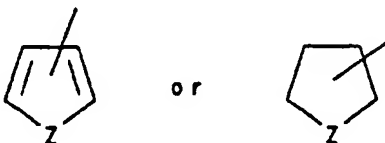
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)-alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4(CH_2)_nSO_2^-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)

alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



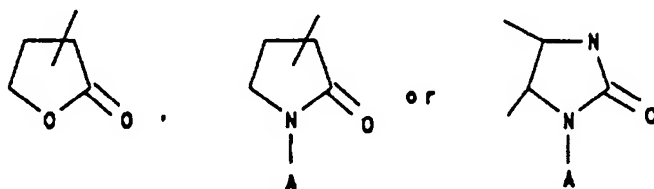
$Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



45 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

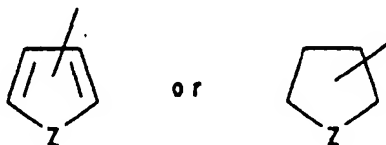
such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

50 R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)

55

alkylamino or carboxy); (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy, iso-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino; (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; (C₁-C₄)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



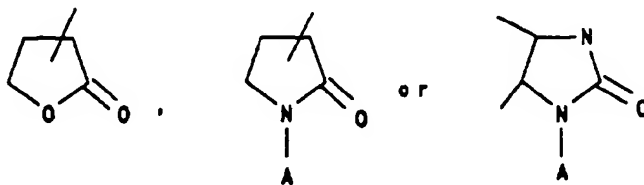
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxyl trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



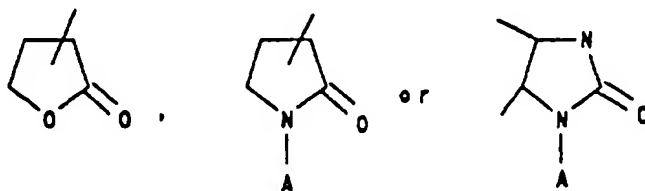
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiopholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0015] Particularly preferred compounds are compounds according to the above formula III and IV in which Y is NO₂;

R is selected from $R^4(CH_2)_nCO-$ or $R^4(CH_2)_nSO_2-$;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α -amino-(C₁-C₄)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group such as phenylglycyl; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



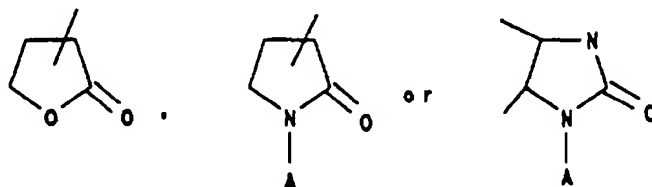
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



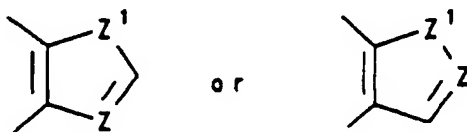
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo (C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophomorpholinyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, (2,3-dimethylcyclopropyl)carbonyl, (1,2-dimethylcyclopropyl)carbonyl, (2-ethylcyclopropyl)carbonyl, (2-methylcyclopentyl)carbonyl or (3-ethylcyclobutyl)carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-methylbenzoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



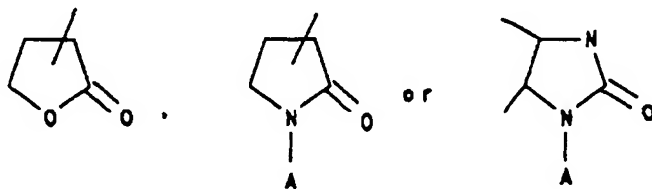
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo (C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring

with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophomorpholinyl; (C₁-C₄) alkoxy carbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl];

(C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminooxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkyl-carbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



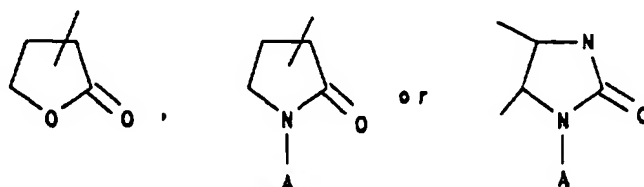
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy);

(C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄) alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di

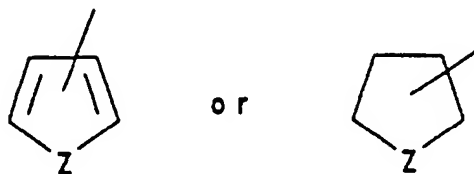
(C₁-C₃)-alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -

(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -

(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted

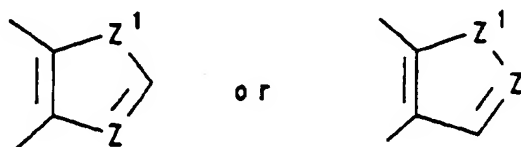
phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated

ring one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



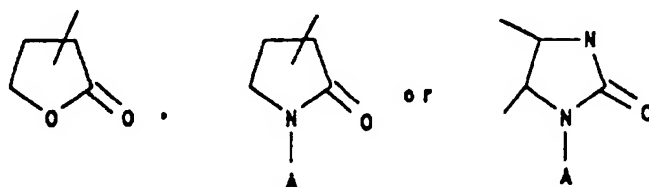
Z = N, O, S or Se

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



45 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiophorinyl; hydroxy group; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromophenylcarbonyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as from 4-toluoyl, 2-toluoyl or 4-(1-methylethyl)benzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with

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one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



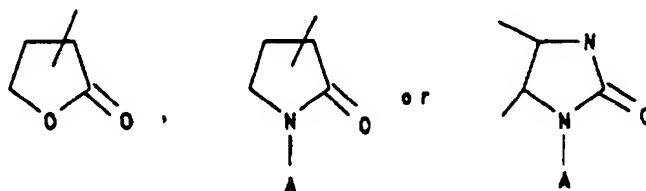
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

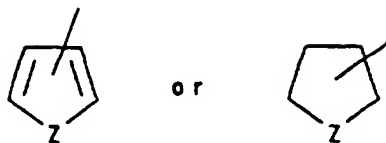


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

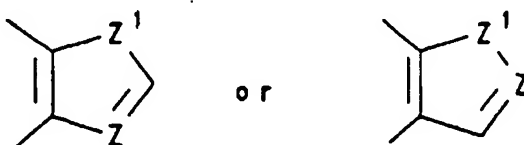
and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from as straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



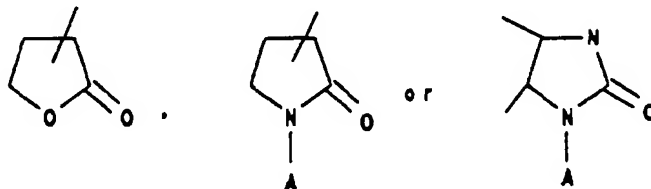
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

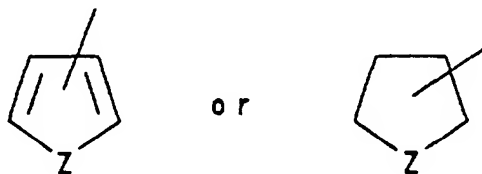
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 1-4$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, $n=2-6$, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, $n=2-6$, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)

alkyl], O or S;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



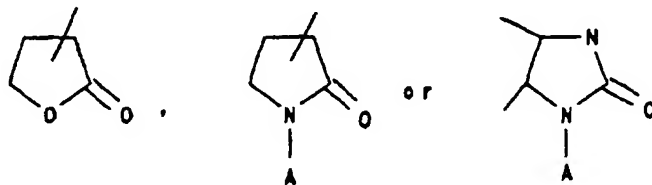
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

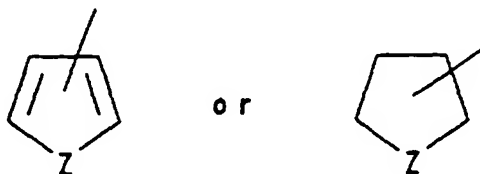


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl).

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or - (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-meth-

ylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



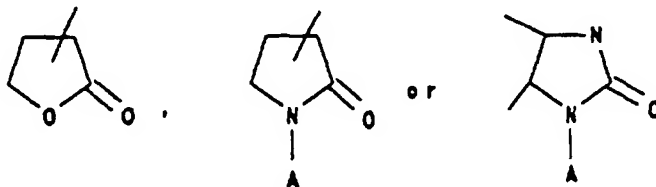
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ-butyrolactam, γ-butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L

or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

[0016] Most particularly preferred compounds are compounds according to the above formula III and IV in which Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

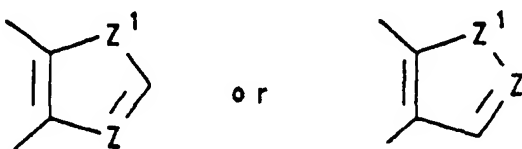
and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrollyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀) aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl-ethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



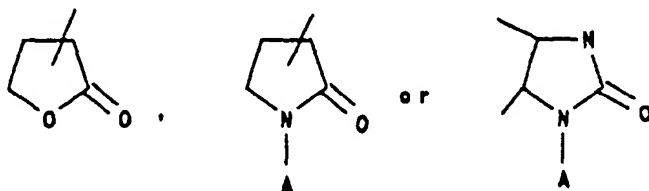
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

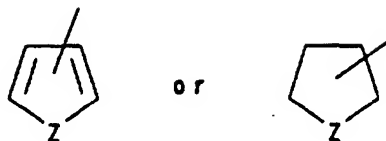
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4, R⁴ is selected from hydrogen; (C₁-C₂)alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group, selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl such as pentafluorobenzoyl, 4-chlorobenzoyl, 3-bromobenzoyl or 3,4-difluorobenzoyl, (C₁-C₄)alkylbenzoyl such as 4-toluoyl, 2-toluoyl, 4-(1-methylethyl)benzoyl or (heterocycle) carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



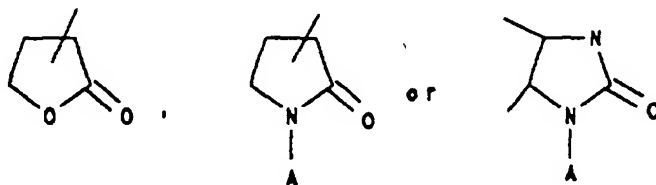
10 $Z = N, O, S \text{ or } Se$

15 such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$

30 such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended. O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl,

45 pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiopholinyl; (C₁-C₄)

alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,

50 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy

group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N

(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl,

55 trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino

bonylamino or propoxycarbonylamino;

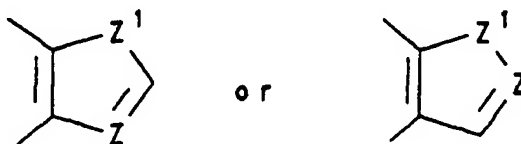
and when $R = R^4 (CH_2)_n SO_2^-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from as straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



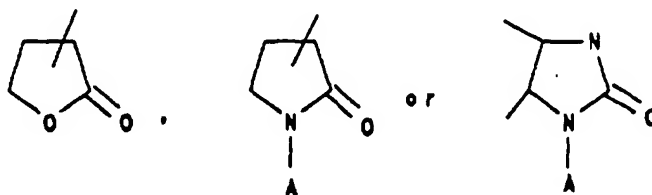
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

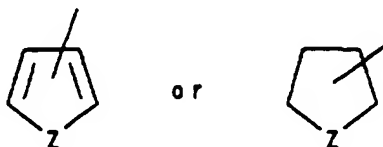
such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-

2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl or 2-dioxothiomorpholinyl;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 1-4$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl;

R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C_7-C_9) aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



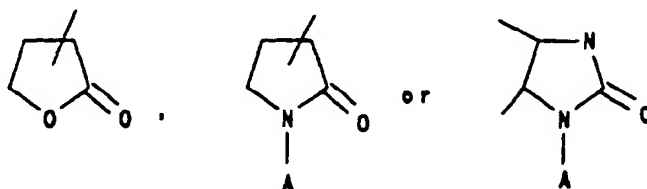
$Z = N, O, S \text{ or } Se$

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) -alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) -aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactone, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C_1-C_3) alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiomorpholinyl; or $-(CH_2)_nCOOR^7$ where $n=0-4$ and R^7 is selected from hydrogen; straight or branched (C_1-C_3) -alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl;

R^6 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

ylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group such as benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



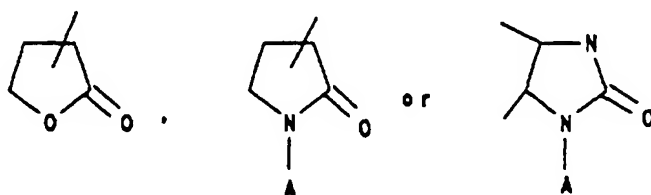
Z = N, O, S or Se

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzofuranyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms such as pyridyl, pyridazinyl, pyrazinyl, sym-triazinyl, unsym-triazinyl, pyrimidinyl or (C₁-C₃)alkylthiopyridazinyl, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom such as 2,3-dioxo-1-piperazinyl, 4-ethyl-2,3-dioxo-1-piperazinyl, 4-methyl-2,3-dioxo-1-piperazinyl, 4-cyclopropyl-2-dioxo-1-piperazinyl, 2-dioxomorpholinyl, 2-dioxothiormorpholinyl; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

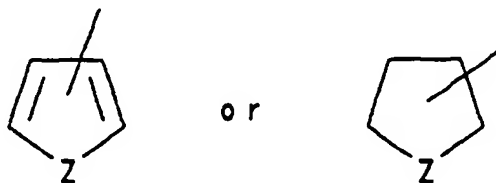
or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts

or metal complexes.

[0017] Compounds of special interest are compounds; according to the above formula III and IV in which Y is NO₂; R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

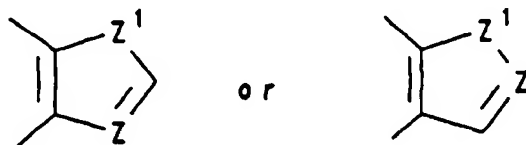
and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



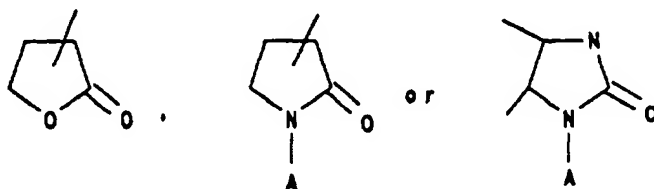
Z = N, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, or S

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-imidazo[4,5-b]pyridyl or pyridylimidazolyl, or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₂)alkyl; C₆-aryl)

such as γ -butyrolactam, γ -butyrolactone, imidazolidinone or N-aminoimidazolidinone; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₂)alkyl group, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl), halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl or 2-iodoethyl, (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl); (C₇-C₁₀)

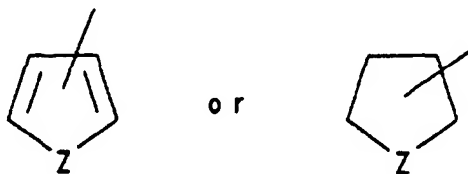
aralkyloxy group such as benzyloxy, 1-phenylethyloxy or 2-phenylethyloxy; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₂)alkyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₂)alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, or 1-(1,2,3-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted(C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, amino, (C₁-C₄)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C₁-C₄)alkoxy group such as allyloxy, methoxy, ethoxy, n-propoxy, n-butoxy or tert-butoxy; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; halo(C₁-C₃)alkyl group such as bromomethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoromethyl, 2-bromoethyl or 2-iodoethyl; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

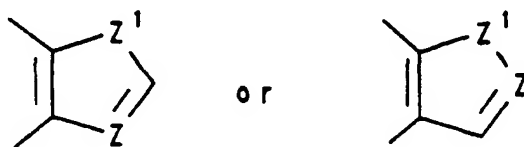
and when R = R^{4'}(CH₂)_nSO₂- and n = 0,

R^{4'} is selected from straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, nitro, (C₁-C₄)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O or S

such as pyrrolyl, N-methylindolyl, indolyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-pyrrolinyl, tetrahydrofuranyl, furanyl, benzo-furanyl, tetrahydrothienyl, thienyl, benzothienyl or selenazolyl, or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O or S

such as imidazolyl, pyrazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, indazolyl, thiazolyl, benzothiazolyl, 3-alkyl-3H-

imidazo[4,5-b]pyridyl or pyridylimidazolyl;

and when $R = R^4 (CH_2)_n SO_2^-$ and $n = 1-4$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl;

R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

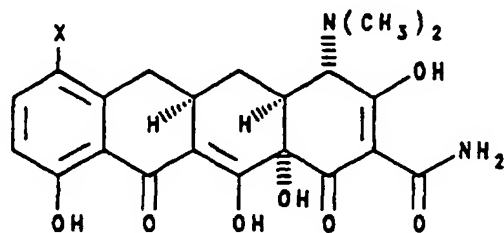
R^6 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are $-(CH_2)_2 W(CH_2)_2-$, wherein W is selected from $(CH_2)_n$ and $n=0-1$, $-NH$, $-N(C_1-C_3)$ alkyl [straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

DESCRIPTION OF THE PREFERRED EMBODIMENTS

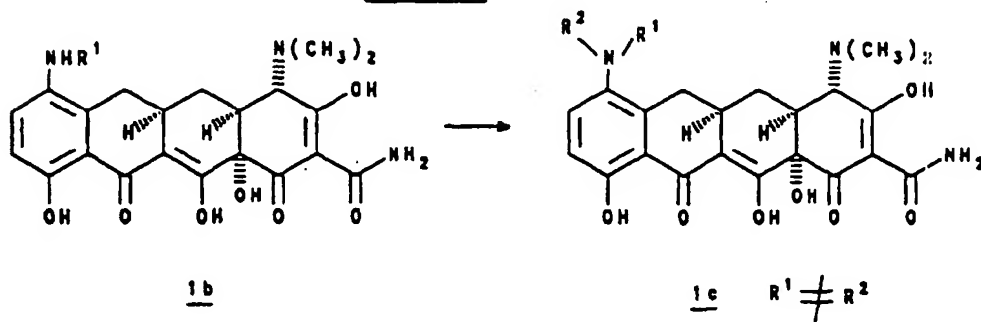
[0018] The novel compounds of the present invention may be readily prepared in accordance with the following schemes.

[0019] The starting 7-(substituted amino)-6-demethyl-6-deoxytetracyclines described in formula 1, wherein $X = NR^1R^2$ and $R^1 = R^2$ (1a) and $X = NHR^1$ (1b) or the salts thereof are prepared by procedures known to those skilled in the art including those described in U.S. Patents 3,226,436 and 3,518,306.

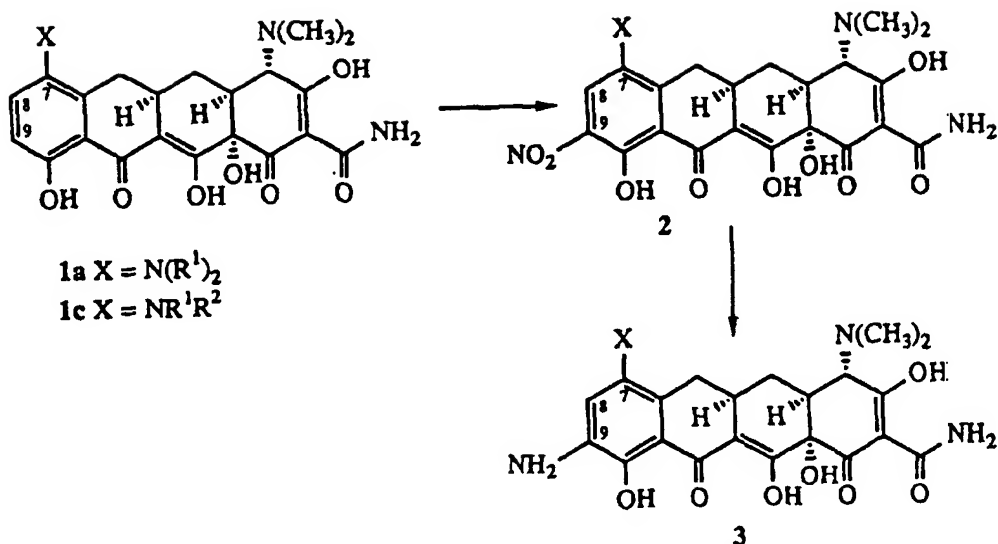


[0020] The starting 7-(substituted amino)-6-demethyl-6-deoxytetracyclines described in formula 1 wherein $X = NR^1R^2$ and $R^1 = R^2$ (1c) are prepared according to Scheme 1.

Scheme 1



In accordance with Scheme 1, a 7-(monoalkylamino)-6-demethyl-6-deoxytetracycline, 1b, in which $X = NHR^1$, is reductively alkylated with an aldehyde to give an unsymmetrical dialkylamino, 1c.

Scheme II

[0021] In accordance with Scheme II, a 7-(substituted amino)-6-demethyl-6-deoxytetracycline or its salts, 1a or 1c, is treated with

- a) a metal nitrate salt; such as calcium, potassium or sodium; and a strong acid; such as sulfuric acid, trifluoroacetic acid, methanesulfonic acid or perchloric acid or
- b) nitric acid and a strong acid; such as sulfuric acid, trifluoroacetic acid, methanesulfonic acid or perchloric acid; to form the corresponding 7-(substituted amino)-9-nitro-6-demethyl-6-deoxytetracycline 2.

[0022] To produce the 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxytetracyclines, 3, compound 2 or its salts is treated with hydrogen in an acidic alcohol solvent, in the presence of a suitable catalyst such as, for example:

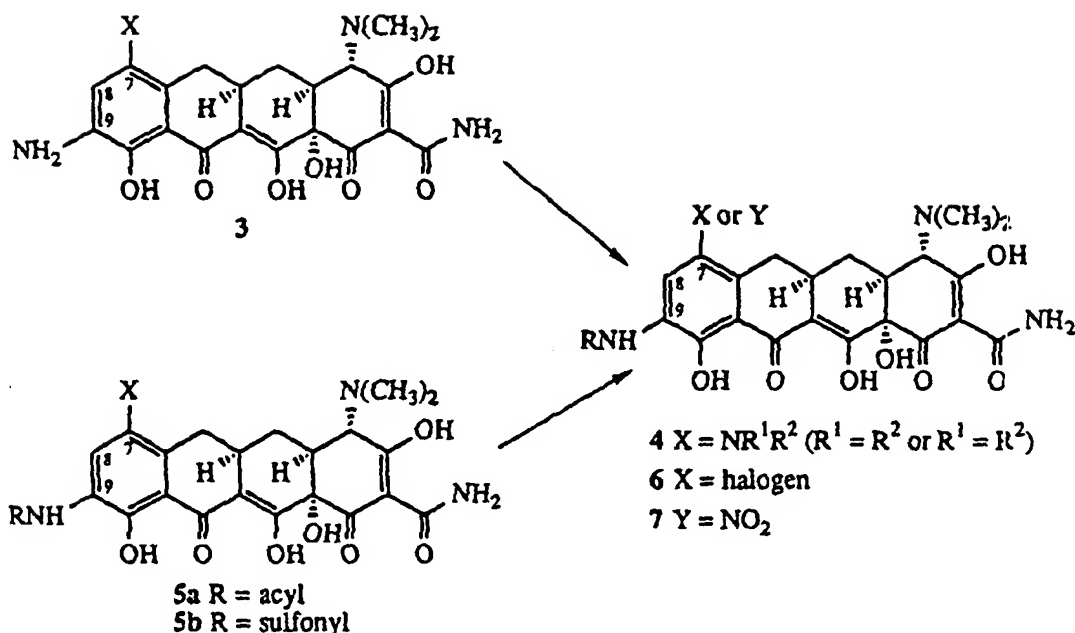
- a) any supported catalyst; such as 0.5-23% palladium-on-carbon, 0.5-25% palladium-on-barium, 0.5-25% platinum-on-carbon or 0.5-25% rhodium-on-carbon;
- b) any reducible supported catalyst; such as Raney nickel or platinum oxide; or
- c) a homogeneous hydrogenation catalyst; such as tris-(triphenylphosphine)rhodium (I) chloride; to obtain the 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline, 3.

[0023] Alternatively, the 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxytetracyclines, 3, are obtained by treating with:

- a) stannous chloride dihydrate as described by R. B. Woodward, Org. Syn., Coll. Vol. 3, 453 (1955);
- b) a soluble metal sulfide, preferably sodium sulfide, in alcoholic solvents as described by G. R. Robertson, Org. Syn., Coll. Vol. 1, 52 (1941);
- c) an active metal in mineral acid; such as iron, tin or zinc in dilute hydrochloric acid;
- d) active metal couples; such as copper-zinc, tin-mercury or aluminum amalgam in dilute acid; or
- e) transfer hydrogenation using triethylammonium formate and a supported catalyst as described by I. D. Entwistle et al., J. Chem. Soc., Perkin 1, 443 (1977).

[0024] Preferably, the 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxytetracyclines, 3, are obtained as inorganic salts such as hydrochloric, hydrobromic, hydroiodic, phosphoric, nitric or sulfate.

SCHEME III



SCHEME III

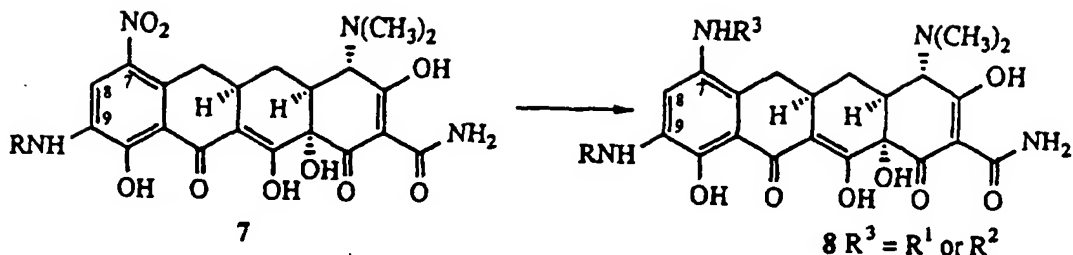
[0025] In accordance with Scheme III, a 9-(amino)-7-(substituted amino)-6-demethyl-6-deoxy-tetracycline or its salts, 3, is treated with an acyl chloride, acyl anhydride, mixed acyl anhydride, sulfonyl chloride or sulfonyl anhydride in the presence of a suitable acid scavenger in a variety of solvents to form the corresponding 9-(acyl or sulfonyl amino)-7-(substituted amino)-6-demethyl-6-deoxytetracycline, 4. The acid scavenger is selected from sodium bicarbonate, sodium acetate, pyridine, triethylamine, N,O-bis(trimethylsilyl)acetamide, N,O-bis(trimethylsilyl)trifluoroacetamide or a basic ion-exchange resin. The solvents are selected from water-tetrahydrofuran, N-methylpyrrolidone, 1,3-dimethyl-2-imidazolidione, hexamethylphosphoramide, 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinone or 1,2-dimethoxyethane.

[0026] Alternatively, in accordance with Scheme III, a 9-(acylamino)-6-demethyl-6-deoxytetracycline, 5a, prepared by the procedures described in U.S. Patent 3,239,499, or a 9-(sulfonylamino)-6-demethyl-6-deoxytetracycline, 5b, prepared by the procedures described in this invention, is treated with a halogenation agent such as bromine, N-bromoacetamide, N-bromosuccinimide, iodine monochloride, benzyltrimethylammonium chloride iodine monochloride complex or N-iodosuccinimide to give the corresponding 9-(acyl or sulfonylamino)-7-halo-6-demethyl-6-deoxytetracycline, 6.

[0027] Similarly, compound 5a or 5b can be treated with:

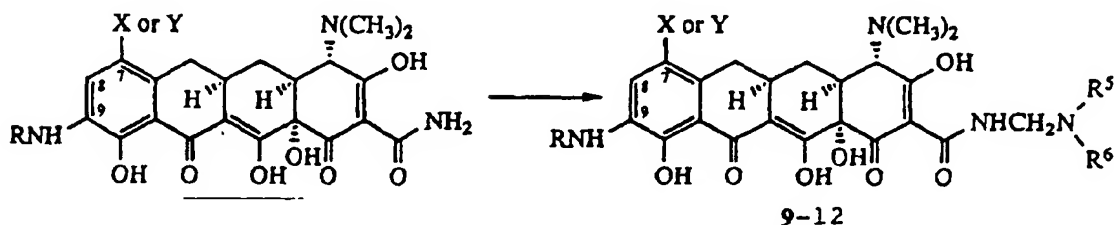
- a metal nitrate such as calcium, potassium or sodium; and a strong acid such as sulfuric, trifluoroacetic, methanesulfonic acid or trifluoromethanesulfonic; or
- nitric acid and a strong acid such as sulfuric, trifluoroacetic, methanesulfonic, trifluoromethanesulfonic or perchloric acid to give the corresponding 9-(acyl or sulfonyl amino)-7-nitro-6-demethyl-6-deoxytetracycline, 7.

SCHEME IV



[0028] In accordance with Scheme IV, a 9-(acyl or sulfonyl amino)-7-nitro-6-demethyl-6-deoxytetracycline, 7, is selectively N-alkylated with aldehydes or ketones in the presence of acid and hydrogen to the corresponding 7,9-di(substituted amino)-6-demethyl-6-deoxytetracycline, 8, by methodology known to those skilled in the art (U.S. Patents 3,226,436 and 3,518,306).

SCHEME V



4 $X = NR^1R^2 (R^1=R^2 \text{ or } R^1 \neq R^2)$

6 $X = \text{halogen}$

7 $Y = NO_2$

8 $X = NHR^3 (R^3=R^1 \text{ or } R^2)$

[0029] In accordance with Scheme V, Compounds 4, 6, 7 or 8 are selectively N-alkylated in the presence of formaldehyde and either a primary amine such as methylamine, ethylamine, benzylamine, methyl glycinate, (L or D)lysine, (L or D)alanine or their substituted congeners; or a secondary amine such morpholine, pyrrolidine, piperidine or their substituted congeners to give the corresponding Mannich base adduct, 9, 10, 11 or 12, or the desired intermediate or of the biologically active 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines. Contemplated equivalents include those substituted morpholine, pyrrolidine or piperidine moieties wherein the substituents are chosen to provide the requisite increase in solubility without adversely affecting antibacterial activity.

[0030] The 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines may be obtained as metal complexes such as aluminum, calcium, iron, magnesium, manganese and complex salts; inorganic and organic salts and corresponding Mannich base adducts using methods known to those skilled in the art (Richard C. Larock, Comprehensive Organic Transformations, VCH Publishers, 411-415, 1989). Preferably, the 7-(substituted)-9-(substituted amino)-6-demethyl-6-deoxytetracyclines are obtained as inorganic salts such as hydrochloric, hydrobromic, hydroiodic, phosphoric, nitric or sulfate; or organic salts such as acetate, benzoate, citrate, cysteine or other amino acids, fumarate, glycolate, maleate, succinate, tartrate alkylsulfonate or arylsulfonate. In all cases, the salt formation occurs with the C (4)-dimethylamino group. The salts are preferred for oral and parenteral administration.

BIOLOGICAL ACTIVITYMethods for in Vitro antibacterial evaluation (Tables I-V)

5 [0031] The minimum inhibitory concentration (MIC), the lowest concentration of the antibiotic which inhibits growth of the test organism, is determined by the agar dilution method using 0.1 ml Muller-Hinton II agar (Baltimore Biological Laboratories) per well. An inoculum level of $1-5 \times 10^5$ CFU/ml, and a range of antibiotic concentrations (32-0.004 µg/ml) is used. MIC is determined after the plates are incubated for 18 hours at 35°C in a forced air incubator. The test organisms comprise genetically defined strains that are sensitive to tetracycline and resistant strains that are insensitive to tetracycline, either by preventing the antibiotic from interacting with bacterial ribosomes (tetM) or by a tetK encoded membrane protein which confers tetracycline resistance by energy-dependent efflux of the antibiotic from the cell.

E. coli in Vitro Protein translation System (Table VI)

15 [0032] An in vitro, cell free, protein translation system using extracts from E. coli strain MRE 600 (tetracycline-sensitive) and a derivative of MRE 600 containing the tetM determinant has been developed based on literature methods. [J. M. Pratt, Coupled Transcription-translation in Prokaryotic Cell-free Systems, Transcription and Translation, a Practical Approach, (B. D. Hames and S.J. Higgins, eds.) p. 179-209, IRL Press, Oxford-Washington, 1984]

20 [0033] The antibiotics are added to exponentially growing cultures of tetracycline-susceptible E. coli at growth inhibitory concentrations. After 30 minutes, excess antibiotic is removed from the bacteria by centrifugation and the organism is resuspended in fresh growth medium. The ability of bacteria to resume growth is monitored. Washing of inhibited cells alleviates growth inhibition due to chlortetracycline, but not that caused by polymyxin. This reflects the different binding characteristics of the drugs. Chlortetracycline binds reversibly to bacterial ribosomes, while polymyxin remains tightly associated with its target, the cytoplasmic membrane, and continues to prevent bacterial growth even when excess antibiotic is removed.

In Vivo Antibacterial Evaluation (Table VII)

30 [0034] The therapeutic effects of tetracyclines are determined against acute lethal infections with various staphylococcal and E. coli strains. Female mice, strain CD-1 (Charles River Laboratories), 20 ± 2 grams, are challenged by an intraperitoneal injection of sufficient bacteria (suspended in broth or hog mucin) to kill non-treated controls within 24-48 hours. Antibacterial agents, contained in 0.5 ml of 0.2% aqueous agar, are administered subcutaneously or orally 30 minutes after infection. When an oral dosing schedule is used, animals are deprived of food for 5 hours before and 2 hours after infection. Five mice are treated at each dose level. The 7 day survival ratios from 3 separate tests are pooled for calculation of median effective dose (ED_{50}).

E. coli in Vitro Protein Translation System(Table VIII)

40 [0035] An in vitro, cell free, protein translation system using extracts from E. coli strain MRE600 (tetracycline sensitive) and a derivative of MRE600 containing the tetM determinant has been developed based on literature methods [J. M. Pratt, Coupled Transcription-translation in Prokaryotic Cell-free Systems, Transcription and Translation, a Practical Approach, (B. D. Hames and S. J. Higgins, eds) p. 179-209, IRL Press, Oxford-Washington, 1984].

45 [0036] Using the systems described above, the novel tetracycline compounds of the present invention are tested for their ability to inhibit protein synthesis in vitro. Briefly, each 10µl reaction contains S30 extract (a whole extract) made from either tetracycline sensitive cells or an isogenic tetracycline resistant (tetM) strain, low molecular weight components necessary for transcription and translation (i.e. ATP and GTP), a mix of 19 amino acids (no methionine), ^{35}S labeled methionine, DNA template (either pBR322 or pUC119), and either DMSO (control) or the novel tetracycline compound to be tested ("Novel Tc") dissolved in DMSO.

50 [0037] The reactions are incubated for 20 minutes at 37°C. Timing is initiated with the addition of the S30 extract, the lase component to be added. After 30 minutes, 2.5 µl of the reaction is removed and mixed with 0.5 ml of 1N NaOH to destroy RNA and tRNA. Two ml of 25% trichloroacetic acid is added and the mixture incubated at room temperature for 15 minutes. The trichloroacetic acid precipitated material is collected on Whatman GF/C filters and washed with a solution of 10% trichloroacetic acid. The filters are dried and the retained radioactivity, representing incorporation of ^{35}S -methionine into polypeptides, is counted using standard liquid scintillation methods.

55 [0038] The percent inhibition (P.I.) of protein synthesis is determined to be:

$$P.I.=100-\left[\frac{\text{Retained radioactivity of Novel TC containing sample}}{\text{Retained radioactivity of the DMSO control reaction}}\right] \times 100$$

5

Testing Results

[0039] The claimed compounds exhibit antibacterial activity against a spectrum of tetracycline sensitive and resistant Gram-positive and Gram-negative bacteria, especially, strains of *E. coli*, *S. aureus* and *E. faecalis*, containing the *tetM* resistance determinants (Table I). Notable is 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline, as shown in Tables I and IV, which has good *in vitro* activity against tetracycline resistant strains containing the *tetM* resistance determinant (such as *S. aureus* UBMS 88-5, *S. aureus* UBMS 90-1 and 90-2, *E. coli* UBMS 89-1 and 90-4) and is equally as effective as minocycline against susceptible strains.

[0040] 7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline demonstrates effective activity against minocycline susceptible strains including a variety of recently isolated bacteria from clinical sources (Table V). With the exception of some *Proteus* spp., 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline's activity is superior to that of minocycline against other isolates.

[0041] Protein synthesis, directed by cell-free extracts from the tetracycline susceptible strain MRE-600, are inhibited by tetracycline, minocycline and the 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline of this invention (Table 6). Protein synthesis, directed by cell-free extracts from strain MRE 600 (*tetM*), is resistant to tetracycline and minocycline, since 50% inhibition of protein synthesis required addition of approximately 5-fold more antibiotic than in extracts prepared from strain MRE 600 (Table VI). However, in contrast, 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline effectively inhibited protein synthesis in extracts prepared from either MRE 600 or MRE 600 (*tetM*) (Table VI). The evidence presented indicates that 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxy-tetracycline is an inhibitor of protein synthesis at the ribosome level. The ability of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline to inhibit bacterial growth almost certainly reflects directed inhibition of bacterial synthesis. If so, then it is expected, like other tetracyclines, to exhibit a bacteriostatic effect against susceptible bacteria.

[0042] 7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline binds reversibly to its target (the ribosome) since bacterial growth resumed when the compound was removed from the cultures by washing of the organism. Therefore, the ability of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline to inhibit bacterial growth appears to be a direct consequence of its ability to inhibit protein synthesis at the ribosome level.

[0043] The enhanced activity (Table VII) of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline against tetracycline susceptible and resistant organisms (*tetM*) is also demonstrated *in vivo* in animals infected with *S. aureus* UBMS 90-1 and 90-2. The ED_{50} 's (Table VII) obtained for 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline are lower than those of minocycline.

[0044] The improved efficacy of 7-(dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline is demonstrated by the *in vitro* activity against isogenic strains into which the resistance determinants, such as *tetM*, were cloned (Tables I-IV); the inhibition of protein synthesis by *tetM* ribosomes (Table VI); and the *in vivo* activity against experimental infections caused by strains resistant to the tetracyclines, due to the presence of resistance determinants, such as *tetM* (Table VII).

[0045] As can be seen from Tables I-V, compounds of the invention may be used to prevent or control important veterinary diseases such as mastitis, diarrhea, urinary tract infections, skin infections, ear infections, wound infections and the like.

LEGEND FOR COMPOUNDS

LETTER	NAME
A	7-(Dimethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline
B	9-(Acetylaminio)-7-(dimethylamino)-6-demethyl-6-deoxytetracycline
C	7-(Diethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline
D	7-(Diethylamino)-9-(formylamino)-6-demethyl-6-deoxytetracycline disulfate
E	9-(Acetylaminio)-7-(diethylamino)-6-demethyl-6-deoxytetracycline disulfate
F	9-(Acetylaminio)-7-(diethylamino)-6-demethyl-6-deoxytetracycline
G	9-(Formylamino)-7-iodo-6-demethyl-6-deoxytetracycline sulfate
H	9-(Acetylaminio)-7-iodo-6-demethyl-6-deoxytetracycline sulfate

(continued)

LEGEND FOR COMPOUNDS	
LETTER	NAME
I	7-(Dimethylamino)-9-[(trifluoroacetyl)amino]-6-demethyl-6-deoxytetracycline sulfate
J	7-(Dimethylamino)-9-[(phenylmethoxy)acetyl]-amino]-6-demethyl-6-deoxytetracycline
K	9-[(Acetyloxy)acetyl]amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline
L	7-(Dimethylamino)-9-[(hydroxyacetyl)amino]-6-demethyl-6-deoxytetracycline
M	9-[(Aminoacetyl)amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline mono(trifluoroacetate)
N	[7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-oxoacetic acid ethyl ester
O	7-(Dimethylamino)-6-demethyl-6-deoxytetracycline hydrochloride (minocycline hydrochloride)
P	9-(Benzoylamino)-7-(diethylamino)-6-demethyl-6-deoxytetracycline
Q	7-(Dimethylamino)-9-[(4-methoxybenzoyl)amino]-6-demethyl-6-deoxytetracycline
R	7-(Dimethylamino)-9-[(2-methylbenzoyl)amino]-6-demethyl-6-deoxytetracycline
S	7-(Dimethylamino)-9-[(2-fluorobenzoyl)amino]-6-demethyl-6-deoxytetracycline
T	7-(Dimethylamino)-9-[(pentafluorobenzoyl)amino]-6-demethyl-6-deoxytetracycline
U	7-(Dimethylamino)-9-[(3-(trifluoromethyl)benzoyl)amino]-6-demethyl-6-deoxytetracycline
V	7-(Dimethylamino)-9-[(4-nitrobenzoyl)amino]-6-demethyl-6-deoxytetracycline
W	7-(Dimethylamino)-9-[(4-dimethylamino)benzoyl]-amino]-6-demethyl-6-deoxytetracycline
X	9-[(4-Aminobenzoyl)amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline sulfate
Y	7-(Diethylamino)-9-[(2-furanylcarbonyl)amino]-6-demethyl-6-deoxytetracycline
Z	7-(Dimethylamino)-9-[(2-thienylcarbonyl)amino]-6-demethyl-6-deoxytetracycline
AA	7-(Dimethylamino)-9-[(4-nitrophenyl)sulfonyl]-amino]-6-demethyl-6-deoxytetracycline
BB	7-(Dimethylamino)-9-[(3-nitrophenyl)sulfonyl]-amino]-6-demethyl-6-deoxytetracycline
CC	7-(Dimethylamino)-9-[(phenylsulfonyl)amino]-6-demethyl-6-deoxytetracycline
DD	7-(Dimethylamino)-9-[(2-thienylsulfonyl)amino]-6-demethyl-6-deoxytetracycline
EE	9-[(4-Chlorophenyl)sulfonyl]amino]-7-(diethylamino)-6-demethyl-6-deoxytetracycline
FF	7-(Dimethylamino)-9-[(methylsulfonyl)amino]-6-demethyl-6-deoxytetracycline
GG	9-[[[(2-Acetyl)amino]-4-methyl-5-thiazolyl]sulfonyl]amino]-7-(dimethylamino)-6-demethyl-6-deoxytetracycline
HH	[7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester
II	7-(Dimethylamino)-9-[(dimethylamino)acetyl]-amino]-6-demethyl-6-deoxytetracycline sulfate
TC	Tetracycline hydrochloride
JJ	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide disulfate
KK	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
LL	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide
MM	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
NN	[7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacetamide dihydrochloride
OO	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
PP	[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(butylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
QQ	[4S-(4 α ,12 α)]-9-[(Cyclopropylamino)acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

(continued)

LEGEND FOR COMPOUNDS	
LETTER	NAME
RR	[4S-(4alpha,12aalpha)]-9-[[[(Diethylamino)acetyl]-amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,-12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
SS	[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride
TT	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
UU	[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamide dihydrochloride
VV	[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamide dihydrochloride
WW	[4S-(4alpha,12aalpha)]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride
XX	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride(Comparative Example)
YY	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride(Comparative Example)
ZZ	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
AAA	[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-l-pyrrolidineacetamide dihydrochloride (Comparative Example)
BBB	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[hexylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
CCC	[4S-(4alpha,12aalpha)]-9-[[[Butylmethylamino)-acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
DDD	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride
EEE	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[phenylmethyl)amino)acetyl]-amino]-2-naphthacenecarboxamide dihydrochloride
FFF	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide
GGG	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarboxamide
HHH	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide
III	[4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride
JJJ	[4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)-amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide

(continued)

LEGEND FOR COMPOUNDS	
LETTER	NAME
5 KKK	[7S-(7alpha,10aalpha)-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine
LLL	[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-azetidineacetamide
10 MMM	[4S-(4alpha,12aalpha)]-9-[[[(Cyclobutylamino)acetyl]amino]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

TABLE I
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-6-DE METHYL-6-DEOXYTETRACYCLINES

ORGANISM	MIC (ug/ml)															
	A	B	C	D	E	F	G	H	I	J	K	L	M	N	O	HH
<i>S. aureus</i> UBMS 88-5 (refM)	0.06	0.12	0.12	0.12	0.25	4	0.5	1	16	4	0.25	4	1	32	2	0.25
<i>S. aureus</i> UBMS 88-4 (Sensitive)	0.015	≤0.06	0.03	0.12	0.5	0.25	<0.015	0.25	8	2	0.12	4	1	2	≤0.015	0.03
<i>S. aureus</i> UBMS 90-1 (refM)	0.06	ND	0.5	0.5	8	2	4	1	16	4	0.25	8	2	>32	4	1
<i>S. aureus</i> UBMS 90-2 (refM)	0.03	ND	0.12	0.12	2	0.5	0.5	0.5	16	2	0.06	2	0.5	32	2	0.25
<i>S. aureus</i> UBMS 90-3 (Sensitive)	≤0.015	ND	0.03	0.06	0.5	0.12	0.03	0.12	4	0.5	0.03	1	0.5	1	≤0.015	0.03
<i>S. aureus</i> UBMS 88-7 (refK)	2	4	0.25	2	4	2	16	16	16	2	32	>32	>32	8	0.06	0.5
<i>S. aureus</i> IVES 2943 (meth. resistant)	4	64	1	4	8	2	32	32	ND	4	32	>32	>32	32	1	2
<i>S. aureus</i> IVES 1983 (meth. resistant)	8	ND	1	4	16	4	32	32	32	4	32	>32	>32	>32	1	2
<i>S. aureus</i> ATCC 29213 (Sensitive)	≤0.015	0.12	≤0.015	≤0.015	≤0.015	≤0.015	ND	0.12	1	0.06	≤0.015	0.5	0.5	0.25	≤0.015	≤0.015
<i>S. aureus</i> Smith (Sensitive)	≤0.015	0.12	0.03	0.03	0.5	0.12	0.03	0.12	8	0.5	≤0.015	0.5	1	2	≤0.015	0.03
<i>S. haemolyticus</i> AVAH 88-3	0.03	ND	0.12	ND	8	2	0.06	2	0.5	4	0.5	16	1	4	0.03	0.25
<i>E. faecalis</i> 12201	0.12	0.5	0.5	1	16	4	16	2	16	2	0.25	4	0.25	32	4	2
<i>E. faecalis</i> ATCC 29212	≤0.015	0.12	0.06	0.12	2.0	0.25	0.25	0.25	8	4	0.06	2	0.25	32	0.5	0.03
<i>E. coli</i> UBMS 88-1 (refB)	32	>128	16	>32	>32	>32	>32	>128	>32	>32	16	>32	2	>32	8	16
<i>E. coli</i> UBMS 88-2 (Sensitive)	0.12	2	0.25	0.5	>32	>32	1	>128	32	>32	4	>32	2	>32	0.5	ND
<i>E. coli</i> UBMS 89-1 (refM)	0.12	ND	1	ND	32	4	1	128	32	>32	1	>32	2	>32	16	4
<i>E. coli</i> UBMS 89-2 (Sensitive)	0.12	ND	0.5	0.5	>32	>32	1	16	32	>32	8	>32	2	>32	0.5	4
<i>E. coli</i> ATCC 25922	0.06	2	0.25	0.5	32	4	0.5	16	32	32	4	32	2	32	0.25	2

TABLE II
ANTIBACTERIAL ACTIVITY OF 9-(AROYLAMINO) AND 9-(HETEROYLAMINO)-7-(SUBSTITUTED)-6-DEMETHYL-6-DEOXYTETRACYCLINES

ORGANISM	MIC (μ g/ml)												
	COMPOUND												
	P	Q	R	S	T	U	V	W	X	Y	Z	O	
<i>S. aureus</i> UBMS 88-5 (tetM)	4	8	4	2	4	1	2	32	8	16	8	2	
<i>S. aureus</i> UBMS 88-4 (Sensitive)	4	8	2	2	4	0.5	2	8	1	4	8	≥ 0.015	
<i>S. aureus</i> UBMS 90-1 (tetM)	4	8	8	4	4	1	2	16	16	32	4	4	
<i>S. aureus</i> UBMS 90-2 (tetM)	4	8	2	1	2	1	1	8	8	8	4	2	
<i>S. aureus</i> UBMS 90-3 (Sensitive)	1	4	1	1	2	0.5	0.5	8	1	2	2	≤ 0.015	
<i>S. aureus</i> UBMS 88-7 (tetM)	8	16	4	8	4	1	4	16	8	> 32	32	0.06	
<i>S. aureus</i> IVES 2943 (meth. resistant)	16	8	4	8	4	1	4	8	> 32	> 32	32	4	
<i>S. aureus</i> IVES 1983 (meth. resistant)	8	16	8	4	4	1	8	8	> 32	> 32	32	4	
<i>S. aureus</i> ATCC 29213 (Sensitive)	0.25	1	0.12	0.5	1	0.5	0.25	2	0.5	0.5	0.5	≤ 0.015	
<i>S. aureus</i> Smith (Sensitive)	1	4	1	1	4	1	0.5	4	1	2	2	≤ 0.015	
<i>S. hemolyticus</i> AVAH 88-3	4	8	8	4	4	1	4	16	8	> 32	8	0.03	
<i>E. faecalis</i> 12201	8	8	8	4	4	1	4	16	32	32	8	4	
<i>E. faecalis</i> ATCC 29212	4	8	2	4	4	1	4	8	8	8	8	0.5	
<i>E. coli</i> UBMS 88-1 (tetB)	> 32	> 32	2	> 32	> 32	> 32	> 32	> 32	> 32	> 32	> 32	8	
<i>E. coli</i> UBMS 88-2 (Sensitive)	> 32	> 32	> 32	> 32	> 32	> 32	> 32	> 32	> 32	> 32	> 32	0.5	
<i>E. coli</i> UBMS 89-1 (tetM)	ND	ND	ND	ND	ND	> 32	> 32	> 32	> 32	> 32	> 32	16	
<i>E. coli</i> UBMS 89-2 (Sensitive)	> 32	> 32	> 32	> 32	> 32	≥ 32	> 32	> 32	> 32	> 32	> 32	0.5	
<i>E. coli</i> ATCC 25922	> 32	> 32	> 32	> 32	> 32	≥ 32	> 32	> 32	> 32	> 32	> 32	0.25	

TABLE III
ANTIBACTERIAL ACTIVITY OF 9-(SULFONYLAMINO)-7-(SUBSTITUTED)-
6-DEMETHYL-6-DEOXYTETRACYCLINES

ORGANISM	MIC (µg/ml)							
	AA	BB	CC	DD	EE	FF	GG	O
<i>S. aureus</i> UBMS 88-5 (tetM)	0.12	ND	4	0.5	0.12	0.25	16	4
<i>S. aureus</i> UBMS 88-4 (Sensitive)	0.12	1	0.03	0.5	0.12	0.25	4	0.03
<i>S. aureus</i> UBMS 90-1 (tetM)	0.5	2	4	1	0.25	0.25	32	2
<i>S. aureus</i> UBMS 90-2 (tetM)	0.12	0.5	0.06	0.25	0.12	0.06	4	2
<i>S. aureus</i> UBMS 90-3 (Sensitive)	0.06	0.12	4	0.25	0.12	0.12	2	≤0.015
<i>S. aureus</i> UBMS 88-7 (tetK)	2	4	4	2	1	8	32	0.06
<i>S. aureus</i> IVES 2943 (meth. resistant)	4	4	4	4	0.5	16	>32	2
<i>S. aureus</i> IVES 1983 (meth. resistant)	8	8	4	4	1	16	32	1
<i>S. aureus</i> ATCC 29213 (Sensitive)	0.12	0.06	≤0.015	0.03	0.03	0.03	0.5	≤0.015
<i>S. aureus</i> Smith (Sensitive)	0.12	0.25	4	0.03	0.12	0.12	2	≤0.015
<i>S. haemolyticus</i> AVAH 88-3	2	4	4	2	ND	ND	ND	0.06
<i>E. faecalis</i> 12201	ND	ND	ND	ND	ND	ND	ND	8
<i>E. faecalis</i> ATCC 29212	0.12	0.12	0.06	0.25	0.06	0.06	1	0.5
<i>E. coli</i> UBMS 88-1 (tetB)	16	>32	16	32	>32	8	>32	16
<i>E. coli</i> UBMS 88-2 (Sensitive)	8	4	8	8	>32	2	>32	0.5
<i>E. coli</i> UBMS 89-1 (tetM)	4	ND	ND	ND	ND	ND	32	16
<i>E. coli</i> UBMS 89-2 (Sensitive)	16	16	16	16	>32	2	>32	0.5
<i>E. coli</i> ATCC 25922	4	2	2	4	>32	2	>32	0.5

TABLE IA
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-
6-DE METHYL-6-DEOXYTETRACYCLINES

	<u>JJ</u>	<u>KK</u>	<u>LL</u>	<u>MM</u>	<u>NN</u>	<u>OO</u>	<u>PP</u>
E. coli UBMS 88-1 TetB	0.25	0.25	0.25	1	>32	1	0.5
E. coli J3272 Tet sens.	0.25	0.12	0.12	1	>32	1	0.5
E. coli MC4100 Tet sens.	NT	NT	NT	NT	NT	NT	NT
E. coli MC4100 TetB	0.25	0.25	0.25	1	>32	1	0.5
E. coli PRP1 TetA	2	1	1	16	>32	2	1
E. coli J3272 TetC	1	1	0.5	8	>32	2	0.5
E. coli UBMS 89-1 TetM	0.25	0.12	0.12	1	32	1	0.25
E. coli UBMS 89-2 Tet Sens.	0.25	0.25	0.12	1	>32	1	0.5
E. coli J2175	0.25	0.25	0.12	1	>32	1	0.25
E. coli 8AJ9003	0.03	0.03	NG	0.25	0.5	0.12	0.12
E. coli UBMS 90-4 TetM	0.25	0.25	0.25	CONT	CONT	0.5	0.25
E. coli UBMS 90-5	0.25	0.25	0.12	1	>32	1	0.5
E. coli #311 (MP)	0.25	0.25	0.12	1	>32	1	0.25
E. coli ATCC 25922	0.25	0.25	0.12	1	>32	1	0.25
E. coli J3272 TetD	0.12	0.12	0.06	0.05	32	0.5	0.25
S. maritima FPOR 8733	4	2	2	16	>32	8	2
X. maltophilia NEMC 87210	0.5	0.25	0.25	8	32	2	0.5
P. aeruginosa ATCC 27853	8	4	4	16	>32	16	16
S. aureus NEMC 8769/89-4	0.06	0.06	<0.015	0.5	0.5	0.5	0.12
S. aureus UBMS 88-4	0.25	0.12	0.06	0.5	2	1	0.25
S. aureus UBMS 88-5 TetM	0.25	0.25	0.12	0.5	4	1	0.5
S. aureus UBMS 88-7 TetK	1	0.25	0.5	16	32	8	2
S. aureus UBMS 90-1 TetM	0.25	0.25	0.12	1	4	1	0.5
S. aureus UBMS 90-3	0.12	0.03	0.06	0.5	2	0.5	0.25
S. aureus UBMS 90-2 TetM	0.25	0.12	0.12	0.5	2	0.5	0.5
S. aureus IVE 2943	2	1	1	32	>32	8	2
S. aureus ROSE (MP)	2	1	1	32	>32	8	2
S. aureus SMITH (MP)	0.12	0.06	0.06	0.5	2	0.5	0.12
S. aureus IVE 1983	2	1	1	16	>32	8	2
S. aureus ATCC 29213	0.03	<0.015	0.06	1	2	1	0.25
S. hemolyticus AVHAN 88-3	0.25	0.12	0.12	1	32	1	0.25
Enterococcus 12201	0.12	0.12	0.06	0.25	2	0.25	0.12
E. faecalis ATCC 29212	0.12	0.06	0.06	0.25	2	0.25	0.12

NG = No Growth

CONT = Contaminated

NT = Not Tested

TABLE IA (CONT)
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-
6-DE METHYL-6-DEOXYTETRACYCLINES

	QO	RR	SS	II	UU	VV	WW
<i>E. coli</i> UBMS 88-1 TetB	4	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> J3272 Tet sens.	2	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> MC4100 Tet sens.	NT	NT	NT	NT	NT	NT	NT
<i>E. coli</i> MC4100 TetB	2	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> PRP1 TetA	32	2	0.5	2	1	>32	1
<i>E. coli</i> J3272 TetC	8	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> UBMS 89-1 TetM	1	0.25	0.12	0.25	0.12	>32	0.25
<i>E. coli</i> UBMS 89-2 Tet Sens.	2	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> J2175	2	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> 8AJ9003	0.25	0.06	<0.015	0.06	0.06	1	0.06
<i>E. coli</i> UBMS 90-4 TetM	2	0.5	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> UBMS 90-5	2	1	0.25	0.5	0.5	>32	0.25
<i>E. coli</i> #311 (NP)	2	0.5	0.12	0.5	0.25	>32	0.25
<i>E. coli</i> ATCC 25922	2	0.5	0.25	0.5	0.25	>32	0.25
<i>E. coli</i> J3272 TetD	2	0.25	0.12	0.12	0.25	>32	0.12
<i>S. maritima</i> FPOR 8733	>32	4	2	4	4	>32	2
<i>X. maltophilia</i> NEMC 87210	2	0.25	0.5	0.5	0.12	>32	0.5
<i>Pa. aeruginosa</i> ATCC 27853	>32	32	16	16	32	>32	8
<i>S. aureus</i> NEMC 8769/89-4	0.12	0.06	0.03	0.03	0.06	4	0.06
<i>S. aureus</i> UBMS 88-4	0.5	0.25	0.12	0.25	0.25	8	0.25
<i>S. aureus</i> UBMS 88-5 TetM	0.5	0.25	0.25	0.25	0.25	32	0.25
<i>S. aureus</i> UBMS 88-7 TetK	4	0.5	0.5	2	0.25	32	1
<i>S. aureus</i> UBMS 90-1 TetM	0.5	0.25	0.12	0.25	0.25	32	0.12
<i>S. aureus</i> UBMS 90-3	0.5	0.25	0.12	0.12	0.12	4	0.12
<i>S. aureus</i> UBMS 90-2 TetM	0.5	0.25	0.12	0.25	0.12	16	0.25
<i>S. aureus</i> IVE 2943	16	1	1	2	0.25	>32	2
<i>S. aureus</i> ROSE (MP)	16	1	1	2	0.5	>32	2
<i>S. aureus</i> SMITH (MP)	0.25	0.25	0.12	0.12	0.12	4	0.12
<i>S. aureus</i> IVE 1983	8	0.25	0.5	2	0.5	>32	2
<i>S. aureus</i> ATCC 29213	0.5	0.12	0.12	0.25	0.12	8	0.25
<i>S. hemolyticus</i> AVHAH 88-3	2	0.5	0.25	0.5	0.12	>32	0.25
<i>Enterococcus</i> 12201	0.5	0.12	0.12	0.25	0.12	4	0.12
<i>E. faecalis</i> ATCC 29212	0.25	0.12	0.12	0.25	0.06	4	0.25

TABLE IA (CONT)
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-
6-DE METHYL-6-DEOXYTETRACYCLINES

	<u>XX</u>	<u>YY</u>	<u>ZZ</u>	<u>AAA</u>	<u>BBB</u>	<u>CCC</u>	<u>DDD</u>
<i>E. coli</i> UBMS 88-1 TetB	0.5	0.5	>32	0.5	0.5	1	0.5
<i>E. coli</i> J3272 sens.	0.5	0.5	NT	NT	NT	NT	NT
<i>E. coli</i> HC4100 Tet sens.	NT	NT	2	0.12	0.25	0.25	0.12
<i>E. coli</i> HC4100 TetB	1	0.5	>32	0.5	0.5	2	0.5
<i>E. coli</i> PRP1 TetA	1	2	>32	0.5	0.5	2	1
<i>E. coli</i> J3272 TetC	1	1	32	0.5	0.5	1	0.5
<i>E. coli</i> UBMS 89-1 TetM	0.12	0.5	32	0.12	0.25	0.25	0.25
<i>E. coli</i> UBMS 89-2 Tet Sens.	0.5	0.5	16	0.5	0.25	2	0.5
<i>E. coli</i> J2175	0.5	0.5	16	0.5	0.25	2	0.5
<i>E. coli</i> BAJ9003	0.06	0.06	1	0.06	0.06	0.12	0.12
<i>E. coli</i> UBMS 90-4 TetM	0.5	0.5	16	0.5	0.25	1	0.5
<i>E. coli</i> UBMS 90-5	0.5	0.5	16	0.5	0.25	2	0.5
<i>E. coli</i> #311 (MP)	0.5	0.5	16	0.25	0.5	1	0.5
<i>E. coli</i> ATCC 25922	0.5	0.5	8	0.25	0.12	1	0.5
<i>E. coli</i> J3272 TetD	0.25	0.25	4	0.12	0.12	0.5	0.25
<i>S. mariescens</i> FPOR 8733	4	8	>32	4	4	16	8
<i>X. maltophilia</i> NEMC 87210	0.5	4	32	0.5	4	0.25	0.5
<i>Ps. aeruginosa</i> ATCC 27853	32	16	>32	>32	16	>32	32
<i>S. aureus</i> NEMC 8769/89-4	0.12	0.12	1	0.12	0.06	0.12	0.25
<i>S. aureus</i> UBMS 88-4	0.25	0.5	2	0.25	0.25	0.5	0.5
<i>S. aureus</i> UBMS 88-5 TetM	0.25	0.5	8	0.25	0.5	0.5	0.5
<i>S. aureus</i> UBMS 88-7 TetK	1	4	16	0.5	2	1	2
<i>S. aureus</i> UBMS 90-1 TetM	0.25	0.25	8	0.5	0.25	1	1
<i>S. aureus</i> UBMS 90-3	0.25	0.12	2	0.25	0.06	0.25	0.5
<i>S. aureus</i> UBMS 90-2 TetM	0.25	0.25	4	0.25	0.25	0.25	0.5
<i>S. aureus</i> LIVES 2943	1	8	>32	0.5	4	1	4
<i>S. aureus</i> ROSE (MP)	1	8	>32	2	16	2	4
<i>S. aureus</i> SMITH (MP)	0.25	0.25	2	0.25	0.12	0.5	0.25
<i>S. aureus</i> LIVES 1983	1	4	>32	0.5	4	1	4
<i>S. aureus</i> ATCC 29213	0.25	0.5	2	0.25	0.25	0.5	1
<i>S. hemolyticus</i> AVHAN 88-3	0.5	0.5	8	0.5	0.5	0.5	0.5
<i>Enterococcus</i> 12201	0.12	0.25	8	0.12	0.25	0.25	0.25
<i>E. faecalis</i> ATCC 29212	0.12	0.12	4	0.12	0.12	0.12	0.25

TABLE 1A (CONT)
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-
6-DE METHYL-6-DEOXYTETRACYCLINES

	EEE	FFF	GGG	HHH	III
<i>E. coli</i> UBMS 88-1 TetB	2	0.25	0.25	0.25	>32
<i>E. coli</i> J3272 sens.	NT	NT	NT	NT	16
<i>E. coli</i> MC4100 Tet sens.	0.5	0.06	0.06	0.12	NT
<i>E. coli</i> MC4100 TetB	4	0.25	0.25	0.25	>32
<i>E. coli</i> PRP1 TetA	4	2	1	2	>32
<i>E. coli</i> J3272 TetC	2	1	1	0.5	>32
<i>E. coli</i> UBMS 89-1 TetM	0.5	0.12	0.12	0.25	4
<i>E. coli</i> UBMS 89-2 Tet Sens.	4	0.25	0.25	0.25	32
<i>E. coli</i> J2175	4	0.25	0.25	0.25	32
<i>E. coli</i> BAJ9003	0.25	≥0.015	0.03	0.03	0.25
<i>E. coli</i> UBMS 90-4 TetM	0.5	0.12	0.25	0.25	---
<i>E. coli</i> UBMS 90-5	0.5	0.25	0.25	0.25	16
<i>E. coli</i> #311 (MP)	0.5	0.25	0.25	0.25	8
<i>E. coli</i> ATCC 25922	0.5	0.12	0.12	0.25	16
<i>E. coli</i> J3272 TetD	0.5	0.12	0.12	0.12	32
<i>S. mariescens</i> FPOR 8733	4	4	4	4	>32
<i>X. maltophilia</i> NEMC 87210	1	0.25	0.5	0.5	4
<i>Ps. aeruginosa</i> ATCC 27853	32	8	8	8	>32
<i>S. aureus</i> NEMC 8769/89-4	0.12	0.25	0.25	0.25	0.12
<i>S. aureus</i> UBMS 88-4	0.25	0.12	0.12	0.25	0.5
<i>S. aureus</i> UBMS 88-5 TetM	0.5	0.12	0.12	0.25	1
<i>S. aureus</i> UBMS 88-7 TetK	2	1	1	0.5	2
<i>S. aureus</i> UBMS 90-1 TetM	0.5	0.12	0.25	0.25	1
<i>S. aureus</i> UBMS 90-3	0.25	0.12	0.12	0.12	0.5
<i>S. aureus</i> UBMS 90-2 TetM	0.25	0.12	0.12	0.12	0.5
<i>S. aureus</i> IVES 2943	2	2	2	2	4
<i>S. aureus</i> ROSE (MP)	8	2	2	2	8
<i>S. aureus</i> SMITH (MP)	0.25	0.12	0.12	0.12	0.5
<i>S. aureus</i> IVES 1983	2	2	2	2	4
<i>S. aureus</i> ATCC 29213	0.5	0.12	0.25	0.25	0.5
<i>S. hemolyticus</i> AVHAH 88-3	2	0.25	0.5	0.5	2
<i>Enterococcus</i> 12201	0.25	0.12	0.12	0.12	1
<i>E. faecalis</i> ATCC 29212	0.25	0.06	0.06	0.06	0.5

TABLE IA (CONT)
ANTIBACTERIAL ACTIVITY OF 9-(ACYLAMINO)-7-(SUBSTITUTED)-
6-DE METHYL-6-DEOXYTETRACYCLINES

	JJJ	KKK	LLL	MMM
<i>E. coli</i> UBMS 88-1 TetB	>32	>32	0.5	0.5
<i>E. coli</i> J3272 sens.	>32	>32	0.25	0.06
<i>E. coli</i> MC4100 Tet sens.	NT	32	NT	NT
<i>E. coli</i> MC4100 TetB	>32	>32	0.5	0.25
<i>E. coli</i> PRP1 TetA	>32	>32	1	4
<i>E. coli</i> J3272 TetC	>32	>32	0.5	0.5
<i>E. coli</i> UBMS 89-1 TetM	32	>32	0.25	0.25
<i>E. coli</i> UBMS 89-2 Tet Sens.	>32	>32	0.5	0.5
<i>E. coli</i> J2175	>32	>32	0.25	0.25
<i>E. coli</i> BAJ9003	4	16	0.06	0.03
<i>E. coli</i> UBMS 90-4 TetM	>32	>32	0.25	0.25
<i>E. coli</i> UBMS 90-5	>32	>32	0.25	0.5
<i>E. coli</i> #311 (MP)	>32	>32	0.25	0.25
<i>E. coli</i> ATCC 25922	>32	>32	0.25	0.25
<i>E. coli</i> J3272 TetD	>32	>32	0.12	0.12
<i>S. maritima</i> FFOR 8733	>32	>32	2	8
<i>X. maltophilia</i> NEMC 87210	16	>32	1	0.5
<i>Ps. aeruginosa</i> ATCC 27853	>32	>32	8	32
<i>S. aureus</i> NEMC 8769/89-4	4	32	0.12	0.5
<i>S. aureus</i> UBMS 88-4	8	32	0.25	0.25
<i>S. aureus</i> UBMS 88-5 TetM	8	>32	0.25	0.25
<i>S. aureus</i> UBMS 88-7 TetK	16	>32	2	4
<i>S. aureus</i> UBMS 90-1 TetM	16	>32	0.25	0.5
<i>S. aureus</i> UBMS 90-3	2	16	0.12	0.12
<i>S. aureus</i> UBMS 90-2 TetM	8	32	0.25	0.25
<i>S. aureus</i> IVES 2943	32	>32	2	4
<i>S. aureus</i> ROSE (MP)	>32	>32	2	8
<i>S. aureus</i> SMITH (MP)	4	16	0.25	0.25
<i>S. aureus</i> IVES 1983	32	>32	2	4
<i>S. aureus</i> ATCC 29213	4	32	0.25	0.25
<i>S. hemolyticus</i> AVHAN 88-3	16	>32	0.25	0.24
<i>Enterococcus</i> 12201	16	>32	0.25	0.24
<i>E. faecalis</i> ATCC 29212	16	16	0.25	0.25

TABLE IV

Susceptibility of Sensitive and Resistant (<i>tetM</i>) Organisms to Tetracyclines			
Organisms	MIC(μg/ml)		
	A	O	TC
<i>E. coli</i> UBMS 88-2 (Sensitive)	0.12	0.5	ND
<i>E. coli</i> UBMS 90-4 (<i>tetM</i>)	1	64	64
<i>S. aureus</i> UBMS 88-4 (Sensitive)	<0.015	0.03	0.12
<i>S. aureus</i> UBMS 88-5 (<i>tetM</i>)	0.03	2	32
<i>S. aureus</i> UBMS 90-3 (Sensitive)	<0.015	0.03	0.12
<i>S. aureus</i> UBMS 90-1 (<i>tetM</i>)	0.12	4	32
<i>N. gonorrhoeae</i> IL 611 (Sensitive)	0.06	0.5	ND
<i>N. gonorrhoeae</i> 6418 (<i>tetM</i>)	1	>32	>32

TABLE IV (continued)

Susceptibility of Sensitive and Resistant (<i>tetM</i>) Organisms to Tetracyclines			
Organisms	MIC(μ g/ml)		
	A	O	TC
<i>E. faecalis</i> UBMS 90-6 (<i>tetM</i>)	0.12	8	32
<i>E. faecalis</i> UBMS 90-7 (<i>tetM</i>)	0.5	8	32

TABLE V

In vitro Activity of Compounds A and O Against Clinical Isolates

Organism	No. Tested	Antibiotic	MIC (μ g/ml) ⁺		
			Range	MIC ₅₀	MIC ₉₀
<i>Neisseria gonorrhoeae</i>	(9)	A	0.015 - 1.00	0.03	1.00
		O	0.03 - >32.00	0.25	>32.00
<i>Haemophilus influenzae</i>	(18)	A	<0.008 - 0.06	0.06	0.06
		O	0.06 - 0.25	0.12	0.25
<i>Enterococcus faecalis</i>	(14)	A	<0.015 - 2.00	0.12	1.00
		O	<0.015 - 16.00	4.00	16.00
<i>Enterococcus faecium</i>	(11)	A	<0.015 - 2.00	0.06	2.00
		O	<0.015 - 16.00	8.00	16.00
<i>Escherichia coli</i>	(10)	A	0.06 - >32.00	0.25	>32.00
		O	0.12 - 32.00	0.25	16.00
<i>Klebsiella pneumoniae</i>	(10)	A	0.25 - >32.00	0.50	0.50
		O	1.00 - >32.00	1.00	4.00
<i>Proteus spp. indole +</i>	(9)	A	0.50 - >32.00	2.00	>32.00
		O	1.00 - >32.00	16.00	>32.00
<i>Bacteroides spp.</i>	(15)	A	<0.15 - 4.00	0.25	2.00
		O	<0.15 - 16.00	1.00	4.00

⁺ MIC₅₀ = minimum concentration required to inhibit 50% of strains tested.

MIC₉₀ = minimum concentration required to inhibit 90% of strains tested

TABLE V (CONT)

In Vitro Activity of KK and Comparative
Antibiotics vs Recent Clinical and Agricultural Isolates

	Organism	[No. Tested]	MIC (μ g/ml)		
			KK	O	TC
10	Staphylococcus aureus, methicillin-resistant	[15]	0.12-2	0.06-4	0.25->64
15	Staphylococcus aureus, methicillin-susceptible	[15]	0.12-0.25	0.03-0.12	0.12-1
20	Staphylococcus Coagulase-negative, methicillin-susceptible	[16]	0.12-8	0.03-1	0.12->64
	Enterococcus faecalis	[10]	0.015-0.12	0.03-16	0.12-64
	Enterococcus faecium	[10]	0.03-0.12	0.03-16	0.12-64
25	Enterococcus spp. Vancomycin-resistant	[8]	0.015-0.06	0.03-16	0.12->64
	Streptococcus pyogenes	[10]	0.06-0.12	0.03-2	0.12-16
30	Streptococcus agalactiae	[10]	0.06-0.25	0.12-16	0.25-64
	Streptococcus pneumoniae	[10]	0.03-0.25	0.06-0.5	0.12-2
35	Listeria monocytogenes	[8]	0.06-0.12	0.015-0.03	0.12-0.5
	Escherichia coli (Clinical)	[30]	0.12-4	0.25-32	0.5->64
40	Escherichia coli (Agricultural)	[15]	0.12-4	1-16	2->64
	Shigella spp.	[14]	0.06-0.5	0.25-8	0.25->64
45	Klebsiella pneumoniae	[10]	0.25-8	0.5-8	0.5->64
	Klebsiella oxytoca	[10]	0.5-1	0.5-4	0.5-1
	Citrobacter freundii	[10]	0.25-8	0.03-32	0.5-16
50	Citrobacter diversus	[10]	0.25-1	0.25-4	0.5-4
	Salmonella spp. (Clinical)	[11]	0.25-0.5	0.5-16	0.5->64

TABLE V (CONT)
In Vitro Activity of KK and Comparative
Antibiotics vs Recent Clinical and Agricultural Isolates

5	Organism	[No. Tested]	MIC (μ g/ml)		
			KK	O	TC
10	<i>Salmonella choleraesuis</i> (Agricultural)	[15]	0.5-16	2->64	1->64
	<i>Serratia mercescens</i>	[10]	2-8	1-8	8->64
15	<i>Enterobacter cloacae</i>	[10]	0.5-1	0.25-4	0.5-2
	<i>Enterobacter aerogenes</i>	[10]	0.5-1	0.5-1	0.5-1
	<i>Providencia</i> spp.	[13]	2-8	4->64	1->64
20	<i>Proteus mirabilis</i>	[26]	1-32	1-32	0.5-64
	<i>Proteus vulgaris</i>	[18]	0.5-4	0.5-16	0.25-64
25	<i>Morganella morganii</i>	[16]	0.5-4	0.25-32	0.25->64
	<i>Pseudomonas aeruginosa</i>	[10]	1-16	1-16	2-32
	<i>Xanthomonas maltophilia</i>	[10]	0.5-2	0.12-1	8-16
30	<i>Moraxella catarrhalis</i>	[18]	0.06-0.12	0.03-0.12	0.06-0.5
	<i>Neisseria gonorrhoeae</i>	[14]	0.25-1	0.5-64	1->64
35	<i>Haemophilus influenzae</i>	[15]	0.5-2	0.5-2	1-32
	<i>Pasturella multocida</i> (Agricultural & Clinical)	[17]	0.03-0.25	0.015-4	0.06-16
40	<i>Bordetella bronchiseptica</i> (Agricultural)	[10]	0.12	0.06-0.12	0.12-0.25
	<i>Bacteroides fragilis</i>	[11]	0.06-0.2	<0.008-16	0.25->64
45	<i>Bacteroides fragilis</i> group	[10]	0.06-2	<0.008-4	0.25-32
	<i>Bacteroides</i> spp.	[9]	0.03-1	0.03-16	0.25->64
	<i>Clostridium difficile</i>	[12]	0.03	0.015-16	0.12-32
50	<i>Clostridium perfringens</i>	[16]	0.03-1	<0.008-16	0.015-16
	<i>Clostridium</i> spp.	[9]	0.015-0.12	<0.008-16	0.015-64
55	Anaerobic Gram (+) Cocci	[15]	0.015-0.06	0.05-8	4->64

TABLE VI

Inhibition of Protein Synthesis Directed by <i>E. coli</i> Cell-free Ribosomes with Tetracyclines		
	IC ₅₀ (μg/ml) ⁺	
Antibiotic	TC Sensitive Host	Tet M Host
Tetracycline	0.6	2.0
Compound O	0.4	2.0
Compound A	<0.3	0.4

⁺Concentration of antibiotic required to inhibit protein synthesis by 50% compared to a drug-free control

TABLE VII

***In vivo* Protective Activity of Compounds A and O in Mice Infected with Staphylococci Containing the *tetM* Determinant**

<u>Organism</u>	<u>Compound</u>	<u>ED₅₀ (mg/kg)⁺</u>
<i>S. aureus</i> UBMS 90-1	A	0.22
	O	1.7
<i>S. aureus</i> UBMS 90-2	A	0.49
	O	3.0

⁺ Median effective dose protecting 50% of the infected mice, single subcutaneous dosing.

TABLE VII (CONT)
In Vitro Protective Activity in Mice

Compounds (ED₅₀ (mg/kg))

Organism	Route of Antibiotic Administration	JJ	KK	LL	PP	RR	SS	TT
<i>S. aureus</i> SMITH (sens)	Oral	9.6	8-16	4-8	>16	8-16	8-16	8-16
<i>S. aureus</i> SMITH (sens)	Intravenous	0.61	0.68	0.25-0.5	1-2	----	1-2	1-2
<i>S. aureus</i> SMITH (sens)	Subcutaneous	0.66	----	----	----	----	----	----
<i>Escherichia coli</i> UBMS 90-4 (Tet-M)	Intravenous	----	2.49	----	----	----	----	----
<i>S. aureus</i> SMITH (sens)	Oral	4-8	>16	8-16	>16	>16	8-16	8-16
<i>S. aureus</i> SMITH (sens)	Intravenous	1.8	0.82	0.5-1	0.5-1	----	----	----
<i>S. aureus</i> SMITH (sens)	Subcutaneous	----	----	----	----	----	----	----
<i>Escherichia coli</i> UBMS 90-4 (Tet-M)	Intravenous	----	----	----	----	----	----	----

TABLE VIII

In Vitro Transcription and Protein Translation Sensitivity to Tetracycline Compounds			
COMPOUND		% INHIBITION	
Organism	Concentration	Wild Type S30	TetM S30
KK	1.0 mg/ml	92	95
	0.5 mg/ml	90	96
	0.25 mg/ml	89	93
	0.12 mg/ml	84	93
	0.06 mg/ml	82	89
	0.03 mg/ml	81	75
MM	1.0 mg/ml	99	99
	0.2 mg/ml	98	97
	0.06 mg/ml	95	92
OO	1.0 mg/ml	99	99
	0.2 mg/ml	97	95
	0.06 mg/ml	94	87
QQ	1.0 mg/ml	99	99
	0.2 mg/ml	97	95
	0.06 mg/ml	92	85
RR	1.0 mg/ml	99	99
	0.2 mg/ml	97	97
	0.06 mg/ml	93	90
VV	1.0 mg/ml	99	98
	0.2 mg/ml	93	92
	0.06 mg/ml	91	79
WW	1.0 mg/ml	99	98
	0.2 mg/ml	99	97
	0.06 mg/ml	93	88
XX	1.0 mg/ml	98	97
	0.2 mg/ml	96	89
	0.06 mg/ml	85	78
Minocycline	1.0 mg/ml	98	68
	0.2 mg/ml	89	43
	0.06 mg/ml	78	0

[0046] When the compounds are employed as antibacterials, they can be combined with one or more pharmaceutically acceptable carriers, for example, solvents, diluents and the like, and may be administered orally in such forms as tablets, capsules, dispersible powders, granules, or suspensions containing, for example, from about 0.05 to 5% of suspending agent, syrups containing, for example, from about 10 to 50% of sugar, and elixirs containing, for example, from about 20 to 50% ethanol, and the like, or parenterally in the form of sterile injectable solutions or suspensions containing from about 0.05 to 5% suspending agent in an isotonic medium. Such pharmaceutical preparations may contain, for example, from about 25 to about 90% of the active ingredient in combination with the carrier, more usually between about 5% and 60% by weight.

[0047] An effective amount of compound from 2.0 mg/kg of body weight to 100.0 mg/kg of body weight should be administered one to five times per day via any typical route of administration including but not limited to oral, parenteral (including subcutaneous, intravenous, intramuscular, intrasternal injection or infusion techniques), topical or rectal, in dosage unit formulations containing conventional non-toxic pharmaceutically acceptable carriers, adjuvants and vehicles. It will be understood, however, that the specific dose level and frequency of dosage for any particular patient may be varied and will depend upon a variety of factors including the activity of the specific compound employed, the metabolic stability and length of action of that compound, the age, body weight, general health, sex, diet, mode and time of administration, rate of excretion, drug combination, the severity of the particular condition, and the host undergoing therapy.

[0048] These active compounds may be administered orally as well as by intravenous, intramuscular, or subcutaneous routes. Solid carriers include starch, lactose, dicalcium phosphate, microcrystalline cellulose, sucrose and kaolin, while liquid carriers include sterile water, polyethylene glycols, non-ionic surfactants and edible oils such as corn, peanut and sesame oils, as are appropriate to the nature of the active ingredient and the particular form of administration desired. Adjuvants customarily employed in the preparation of pharmaceutical compositions may be advantageously included, such as flavoring agents, coloring agents, preserving agents, and antioxidants, for example, vitamin E, ascorbic acid, BHT and BHA.

[0049] The preferred pharmaceutical compositions from the standpoint of ease of preparation and administration are solid compositions, particularly tablets and hard-filled or liquid-filled capsules. Oral administration of the compounds is preferred.

[0050] These active compounds may also be administered parenterally or intraperitoneally. Solutions or suspensions of these active compounds as a free base or pharmacologically acceptable salt can be prepared in water suitably mixed with a surfactant such as hydroxypropylcellulose. Dispersions can also be prepared in glycerol, liquid, polyethylene glycols and mixtures thereof in oils. Under ordinary conditions of storage and use, these preparations contain a preservative to prevent the growth of microorganisms.

[0051] The pharmaceutical forms suitable for injectable use include sterile aqueous solutions or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersions. In all cases, the form must be sterile and must be fluid to the extent that easy syringability exists. It must be stable under the conditions of manufacture and storage and must be preserved against the contaminating action of microorganisms such as bacterial and fungi. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (e.g., glycerol, propylene glycol and liquid polyethylene glycol), suitable mixtures thereof, and vegetable oil.

[0052] The invention will be more fully described in conjunction with the following specific examples which are not to be construed as limiting the scope of the invention.

Example 1

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:1)

[0053] To a stirred ice bath cooled solution of 0.444 g of [4S-(4 α ,12 α)]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, dissolved in 15 ml of sulfuric acid is added 0.101 g of sodium nitrate. The mixture is stirred in the cold for 45 minutes followed by the dropwise addition to 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.6 g of the desired product as a solid.

MS(FAB): m/z 503(M+H) and 601(M+H₂SO₄+H).

Example 2

[4S-(4 α ,12 α)]-9-Amino-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:1)

[0054] A mixture of 2.0 g of product from Example 1 in 20 ml of 2-methoxyethanol is stirred for 10 minutes and filtered. The filtrate is shaken, in a pressure bottle, with 1.0 g of 10% palladium-on-carbon and 5 ml of 2N sulfuric acid, under 30 lbs. of hydrogen pressure, for 1 hour. The reaction mixture is filtered and the filtrate concentrated *in vacuo* to half volume. The solution is poured into 100 ml of diethyl ether, the solid collected, washed with diethyl ether and dried to give 1.6 g of the desired product as a solid.

MS(FAB): m/z 473(M+H).

Example 3

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0055] To a stirring 0°C solution of 3.0 g of product from Example 2, 0.451 g of anhydrous sodium acetate and 50 ml of 98% formic acid is added, dropwise, 7.4 ml of acetic anhydride. The reaction is stirred at 0°C for 10 minutes followed by stirring at room temperature for 1 hour. The mixture is poured into 500 ml of diethyl ether and the precipitate collected. The solid is washed with diethyl ether and dried to give 2.9 g of the desired product.

MS(FAB): m/z 501 (M+H).

Example 4

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0056] To a solution of 3.5 g of product from Example 3 in 150 ml of distilled water is added sufficient 0.75N sulfuric acid to bring the reaction solution of pH 3.6. The solution is lyophilized to give 3.6 g of the desired salt.

MS(FAB): m/z 501 (M+H).

Example 5

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride

[0057] To a solution of 3.5 g of product from Example 3 in 150 ml of distilled water is added sufficient 0.75N hydrochloric acid to bring the reaction solution of pH 3.6. The solution is lyophilized to give 3.6 g of the desired salt.

MS(FAB): m/z 501 (M+H).

Example 6

[4S-(4 α ,12 α)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0058] To a stirring solution of 0.468 g of product from Example 2 in 5 ml of water is added 0.50 g of sodium acetate and 0.2 ml of acetic anhydride. The reaction is stirred at room temperature for 10 minutes followed by the addition of 0.2 ml of concentrated ammonium hydroxide. After stirring 5 hours at room temperature, the reaction is treated with 0.5 ml of concentrated sulfuric acid. The reaction solution is extracted with 4 portions of n-butyl alcohol and the aqueous layer is concentrated in vacuo to dryness. The residue is triturated with 20 ml of methyl alcohol, filtered and the organic layer is concentrated in vacuo to give 0.35 g of the desired product.

MS(FAB): m/z 515 (M+H).

Example 7

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[trifluoroacetyl]amino]-2-naphthacenecarboxamide sulfate

[0059] A mixture of 0.20 g of product from Example 2 and 3.0 ml of trifluoroacetic anhydride is stirred at room temperature for 6 hours. The reaction liquid is decanted from the solid residue. The solid is dried, dissolved in 10 ml of methyl alcohol, stirred for 20 minutes and the mixture is poured into 100 ml of diethyl ether. The solid is collected and dried to give 0.16 g of the desired product.

MS(FAB): m/z 569 (M+H).

Example 8

[4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0060] To a stirred ice cooled solution of 0.660 g of [4S-(4 α ,12 α)]-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, dissolved in 15 ml of sulfuric acid is added 0.151 g of sodium nitrate. The mixture is stirred in the cold followed by dropwise addition to 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.8 g of the desired product as a solid. MS(FAB): m/z 531(M+H) and 629(M+H₂SO₄+H).

Example 9

[4S-(4 α ,12 α)]-9-Amino-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0061] The title compound is prepared by the procedure of Example 2, using 0.82 g of product from Example 8, to give 0.65 g of the desired product as a solid.

¹H NMR (CD₃SOCD₃): δ 4.25(s,1H,4-H) and 7.27(s,1H,8-H). MS(FAB): m/z 501(M+H) and 599(M+H₂SO₄+H).

Example 10

[4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0062] To a solution of 0.238 g of product from Example 9 in 6 ml of formic acid is added 0.035 g of sodium acetate and 0.75 ml of acetic anhydride. The reaction mixture is stirred at room temperature for 1.5 hours then poured into 200 ml of diethyl ether. The solid is collected and dried at 50°C to give 0.125 g of the desired product.

MS(FAB): m/z 529 (M+H) and 627 (M+H₂SO₄+H).

Example 11

[4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2)

[0063] To a solution of 0.16 g of product from Example 9 in 0.6 ml of water is added 0.125 g of sodium acetate. After stirring for 5 minutes, 0.05 ml of acetic anhydride is added. The reaction is stirred for 15 minutes, 0.025 ml of ammonium hydroxide is added and the stirring continued for an additional 5 minutes. The mixture is acidified with 0.125 ml of sulfuric acid, extracted with n-butyl alcohol and concentrated *in vacuo*. The residue is dissolved in methyl alcohol and added to diethyl ether. The solid is collected and dried to give 0.10 g of the desired product.

MS(FAB): m/z 543 (M+H) and 641 (M+H₂SO₄+H).

Example 12

[4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0064] A solution of 0.2 g of product from Example 10 in 10 ml of water is treated with sodium acetate to achieve pH 5-6. The mixture is extracted with chloroform. The organic extracts are dried with sodium acetate, concentrated *in vacuo* and the solid triturated with diethyl ether/hexane to give 0.11 g of the desired product.

MS(FAB): m/z 529 (M+H).

Example 13

[4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0065] A solution of 0.25 g of product from Example 11 in 10 ml of water is treated with sodium acetate to achieve pH 6. The mixture is extracted with chloroform. The organic extracts are dried with sodium acetate, concentrated in vacuo and the solid triturated with diethyl ether/hexane to give 0.090 g of the desired product.
MS(FAB): m/z 543 (M+H).

Example 14

[4S-(4 α ,12 α)]-4-(Dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride

[0066] A solution of 0.460 g of [4S-(4 α ,12 α)]-4-(dimethylamino)-7-(ethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride, prepared by the procedure described in U.S. Patent 3,226,436, in 0.5 ml of 97% formic acid and 0.75 ml of 40% aqueous formaldehyde is heated at reflux temperature for 2 hours, concentrated to 1/2 volume and poured into diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.30 g of the desired product.

Example 15

[4S-(4 α ,12 α)]-4-(Dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0067] The title compound is prepared by the procedure of Example 8, using 0.460 g of product from Example 14, 15 ml of sulfuric acid and 0.101 g of sodium nitrate to give 0.5 g of the desired product.

Example 16

[4S-(4 α ,12 α)]-9-Amino-4-(dimethylamino)-7-(ethylmethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0068] The title compound is prepared by the procedure of Example 2, using 1.0 g of product from Example 15, 20 ml of 2-methoxyethanol, 1.0 g of 10% palladium-on-carbon and 5 ml of 2N sulfuric acid to give 0.8 g of the desired product.

Example 17

[4S-(4 α ,12 α)]-4-(Dimethylamino)-7-(ethylmethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0069] The title compound is prepared by the procedure of Example 3, using 1.5 g of product from Example 16, 0.235 g of anhydrous sodium acetate, 25 ml of 98% formic acid and 3.7 ml of acetic anhydride to give 1.35 g of the desired product.

Example 18

[4S-(4 α ,12 α)]-9-(Acetylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0070] To a solution of 3.2 g of [4S-(4 α ,12 α)]-9-amino-4-dimethylamino-1,2,3,4,4a,5,5a,6,11,11a,12, 12a-dodecahydro-10,12 α -dihydroxy-1,3,11,12-tetraoxo-2-naphthacenecarboxamide, prepared by the procedure described in U.S. Patent 3,239,499, in 50 ml of water is added a solution of 2.5 g of sodium acetate in 12 ml of water. The mixture is cooled to 0°C and 1 ml of acetic anhydride is added with stirring. The reaction is stirred for 20 minutes, 0.5 ml of ammonium hydroxide is added and stirred for 5 minutes. Two and one half ml of sulfuric acid is added, the reaction is extracted twice with n-butyl alcohol, the combined organic layers are washed with water and concentrated in vacuo.

The residue is dissolved in methyl alcohol and added dropwise to 500 ml of diethyl ether. The solid is collected and dried to give 2.3 g of the desired product.

MS(FAB): m/z 472 (M+H) and 570 (M+H₂SO₄+H).

Example 19

[4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene-carboxamide monohydrochloride

[0071] To a 0°C solution of 1.06 g of [4S-(4 α ,12 α)]-9-amino-4-dimethylamino-1,2,3,4,5a,6,11,11a,12,12a-dodecahydro-10,12aa-dihydroxy-1,3,11,12-tetraoxo-2-naphthacene-carboxamide; prepared by the procedures described in U.S. Patent 3,239,499, in 50 ml of formic acid is added 2.4 ml of acetic anhydride. After stirring for 5 minutes, the cooling bath is removed and the reaction is stirred for 55 minutes. The mixture is added to 400 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 1.1 g of the desired product.

MS(FAB): m/z 458 (M+H).

This procedure is a modification of U.S. Patent 3,239,499.

Example 20

[4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacene-carboxamide sulfate

[0072] To a well stirred 0°C solution of 0.278 g of product from Example 19 in 10 ml of sulfuric acid is added, in portions, 0.1344 g of N-iodosuccinimide. The reaction is stirred at 0°C for 20 minutes then poured into 500 ml of diethyl ether. The resulting solid is collected, washed with diethyl ether and dried to give 0.251 g of the desired product.

MS(FAB): m/z 584 (M+H).

Example 21

[4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacene-carboxamide sulfate

[0073] To a well stirred 0°C solution of 0.278 g of product from Example 19 in 10 ml of sulfuric acid is added 0.3 ml of 10% nitric acid in sulfuric acid. The reaction is stirred at 0°C for 20 minutes then poured into 500 ml of diethyl ether.

The resulting solid is collected, washed with diethyl ether and dried to give 0.26 g of the desired product.

MS(FAB): m/z 503 (M+H).

Example 22

[4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-7-[(1-methylethyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene-carboxamide sulfate

[0074] A solution of 0.2 g of product from Example 21 (1:2 salt), 0.5 ml of acetone, 0.5 ml of 0.5N sulfuric acid and 10 ml of 2-methoxyethanol is shaken under 35 lbs. of hydrogen, in the presence of platinum oxide, for 2 hours. The catalyst is removed by filtration, the filtrate concentrated *in vacuo* to 1/2 volume and poured into diethyl ether. The resulting solid is collected and dried to give 0.135 g of the desired product.

Example 23

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacene-carboxamide

[0075] To a well stirred solution of 0.055 g of product from Example 2, 0.200 g of sodium bicarbonate and 1 ml of N-methylpyrrolidone is added a solution of 0.011 g of methoxyacetyl chloride in 0.5 ml of acetonitrile. After 5 minutes, the suspension is filtered and the filtrate diluted with 50 ml of tert-butyl methyl ether. The resulting solid is collected and dried to give 0.040 g of the desired product.

MS(FAB): m/z 545 (M+H).

Example 24

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(cyclopropylcarbonylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0076] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml N-methylpyrrolidone, 0.010 g of cyclopropanecarbonyl chloride and 0.5 ml of acetonitrile to give 0.030 g of the desired product.

Example 25

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(chloroacetyl amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0077] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1 ml of N-methylpyrrolidone, 0.013 g of chloroacetyl chloride and 0.5 ml of acetonitrile to give 0.035 g of the desired product.

Example 26

[4S-(4 α , 12 α)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0078] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1 ml of N-methylpyrrolidone, 0.025 g of 4-bromobutyl chloride and 0.5 ml of acetonitrile to give 0.050 g of the desired product.
MS(FAB): m/z 622 (M+H).

Example 27

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino) -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacenecarboxamide

[0079] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml N-methylpyrrolidone, 0.011 g of acryloyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.
MS(FAB): 513 (M+H).

Example 28

[4S-(4 α ,12 α)]-9-[[Acetoxy]acetyl]amino]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0080] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.013 g of acetoxyacetyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.
MS(FAB): m/z 573 (M+H).

Example 29

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(phenylthioacetyl amino)-1,4,4a,5,5a,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0081] The title compound is prepared by the procedure of Example 23, using 0.110 g of product from Example 2, 0.40 g of sodium bicarbonate, 4.0 ml of N-methylpyrrolidone, 0.035 g of phenylthioacetyl chloride and 0.5 ml of acetonitrile to give 0.075 g of the desired product.

Example 30

[4S-(4 α ,12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-9-(pyruvylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0082] The title compound is prepared by the procedure of Example 23, using 0.110 g of product from Example 2, 0.40 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.018 g of pyruvyl chloride and 0.5 ml of acetonitrile to give 0.060 g of the desired product.

Example 31

[4S-(4 α ,12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-9-(ethoxycarbonylacetyl amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0083] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.013 g of ethyl malonyl chloride and 0.5 ml of acetonitrile to give 0.035 g of the desired product.

Example 32

[4S-(4 α ,12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-9-(4-bromophenylacetyl amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0084] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.018 g of 4-bromophenylacetyl chloride and 0.5 ml of acetonitrile to give 0.040 g of the desired product.

Example 33

[4S-(4 α ,12 $\alpha\alpha$)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0085] To a vigorously stirring solution of 0.066 g of product from Example 2, 0.085 g of sodium acetate and 3 ml of tetrahydrofuran is added 0.015 ml of benzoyl chloride and 0.25 ml of water. The reaction is stirred for 1 hour. The organic layer is decanted, washed with saturated sodium chloride, dried and concentrated in vacuo. The residue is chromatographed on acid-washed diatomaceous earth using a two phase system of hexane:ethyl acetate:2-methoxyethanol:water (50:50:17:6) to give in the second void volume 0.030 g of the desired product as an orange solid.

MS(FAB): m/z 577 (M+H).

¹H NMR (d₆-DMSO): δ 2.45 (s,6H,C(4)N(CH₃)₂), 2.57(s,6-H,C(7)N(CH₃)₂), 7.5-7.6(m,3H, benzoyl), 7.86(s,1H,H-8), 7.96(d,J=7Hz,2H, benzoyl).

Examples 34-41 (Table I)

[0086] Substantially following the method described in detail hereinabove in Example 33 using [4S-(4 α ,12 $\alpha\alpha$)]-9-amino-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (product from Example 2), the compounds of this invention listed below in Examples 34-41 are prepared.

Table I

Ex.	Acid Chloride	Product	Spectra
34	4-Methoxybenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide	MS (FAB): m/z 607 (M+H); ¹ H NMR (d ₆ -DMSO): delta 2.45 (s, 6H, C(8)NMe ₂), 2.57 (s, 6H, C(7)NMe ₂), 7.06 (d, J=9Hz, 2H of 4-methoxybenzoyl), 7.84 (s, 1H, H-8), 7.97 (d, J=9Hz, 2H of 4-methoxybenzoyl)
35	2-Methylbenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide	MS (FAB): m/z 591 (M+H); ¹ H NMR (d ₆ -DMSO): delta 2.52 (m, 12H, C(8)NMe ₂ & C(7)NMe ₂), 7.25-7.56 (m, 4H from 2-methylbenzoyl), 7.98 (s, 1H, H-8)
36	2-Fluorobenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide	MS (FAB): m/z 595 (M+H); ¹ H NMR (d ₆ -DMSO): delta 2.47-2.51 (m, 6H, C(4)NMe ₂), 2.57 (bs, 6H, C(7)NMe ₂), 7.39 (m, 2H from 2-fluorobenzoyl), 7.63 (m, 1H from 2-fluorobenzoyl), (m, 1H from 2-fluorobenzoyl), 8.24 (s, 1H, H-8)

Table I (cont'd)

Ex.	Acid Chloride	Product	Spectra
37	Pentafluorobenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 667 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.5(m,12H, C(4)NMe ₂ & C(7)NMe ₂), 8.08 (s,1H,H-8)
38	3-Trifluoromethylbenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacenecarboxamide	MS(FAB):m/z 645 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.50(m,6H, C(4)NMe ₂), 2.57(m,6H,C(7)NMe ₂), 7.85(m,2H of 3-trifluoromethylbenzoyl), 7.99 (m,1H of 3-trifluoromethylbenzoyl), 8.28(1H of 3-trifluoromethylbenzoyl), 8.33 (s,1H,H-8), 8.31-8.42(m,2H)
39	2-Furoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 567 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.47(m,6H, C(4)NMe ₂), 2.56(s,6H,C(7)NMe ₂), 6.73(s,1H of furanyl), 7.31(s,1H of furanyl), 7.95 (s,1H of furanyl), 8.00(s,1H,H-8)

Table I (cont'd)

Ex.	Acid Chloride	Product	Spectra
40	2-Thiophene-carbonyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienyl-carbonyl)amino]-2-naphthacenecarboxamide	MS(FAB):m/z 583 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.49(m,6H, C(8)NMe ₂), 2.56(s,6H,C(7)NMe ₂), 7.21(m,1H of thienyl), 7.70(s,1H,H-8), 7.85(m,1H of thienyl), 8.01(m,1H of thienyl)
41	4-Nitrobenzoyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacene-carboxamide	MS(FAB):m/z 622 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.50(m,6H, C(8)NMe ₂), 2.57(s,6H,C(7)NMe ₂), 7.76(s,1H,H-8), 8.20(d,3=9Hz,2H of 4-nitrobenzoyl), 8.36(d,J=9Hz,2H of 4-nitrobenzoyl)

Example 42

[4S-(4 α ,12 α)]-9-[(4-Aminobenzoyl)amino]-4,7-Bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0087] A mixture of 0.030 g of product from Example 41, 0.010 g of 10% palladium-on-carbon, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 40 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and codistilled with benzene. The oily residue is dissolved in 0.5 ml of 2-methoxyethanol, precipitated with diethyl ether and the solid collected to give 0.018 g of the desired product.
MS(FAB): m/z 592 (M+H).

Example 43

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

[0088] A mixture of 0.065 g of product from Example 41, 2.0 ml of 2-methoxyethanol, 0.025 g of 10% palladium-on-carbon, 0.4 ml of 2N sulfuric acid and 0.3 ml of 37% aqueous formaldehyde, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 50 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and codistilled with heptane. The oily residue is dissolved in 1.0 ml of 2-methoxyethanol, precipitated with diethyl ether to give 0.085 g of the desired product as the sulfate salt. The sulfate salt is dissolved in 0.5 ml of water and 6 ml of tetrahydrofuran followed by the addition of 0.10-g of sodium acetate. The organic layer is washed with saturated sodium chloride, dried and concentrated in vacuo. The residue is triturated with ethyl acetate/heptane to give 0.035 g of the desired product as the free base.
MS(FAB): m/z 620 (M+H)
¹H NMR (d₆-DMSO): δ 2.50(m,6H,C(4)NMe₂), 2.57(s,6H, C(7)NMe₂), 3.33(s,6H,NMe₂ of 4-dimethylaminobenzoyl), 7.76(s,1H,H-8), 8.20(d,J=9Hz,2H of 4-dimethylaminobenzoyl), 8.37(d,J=9Hz,2H of 4-dimethylaminobenzoyl).

Example 44

[7S-(7 α ,10 α)]-[2-[[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester

[0089] A mixture of 0.850 g of product from Example 2 (as the disulfate), 0.680 g of sodium acetate in 25 ml of tetrahydrofuran and 5 ml of water is stirred at 25°C for 5 minutes. The solution is treated with 0.359 g of (succinimylloxycarbonyl)methyl carbamic acid tert-butyl ester, stirred for 2 hours and extracted with chloroform. The organic layer is concentrated in vacuo to give 0.50 g of the desired product.
MS(FAB): m/z 630 (M+H).

Example 45

[4S-(4 α ,12 α)]-9-[(Aminoacetyl)amino]-4,7-Bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate)

[0090] A solution of 0.030 g of product from Example 44 and 1.0 ml of trifluoroacetic acid is maintained at 24°C for 24 hours followed by concentrating in vacuo. The residue is triturated with methyl alcohol and the solid collected to give 0.024 g of the desired product.
MS(FAB): m/z 530 (M+H).

Example 46

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0091] A mixture of 0.030 g of product from Example 45, 0.020 g of 10% palladium-on-carbon, 0.5 ml of 37% formaldehyde, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid, in a pressure bottle, is shaken under 30 lbs. of hydrogen pressure for 40 minutes. The catalyst is removed by filtration and the filtrate is concentrated in vacuo and

codistilled with benzene. The oily residue is dissolved in 0.5 ml of 2-methoxyethanol, precipitated with diethyl ether and the precipitate collected to give 0.025 g of the desired product.

Example 47

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide

[0092] A mixture of 0.30 g of product from Example 2, 0.40 g of sodium acetate in 10 ml of tetrahydrofuran and 1.5 ml of water is stirred for 10 minutes under argon. The organic layer is separated, dried over anhydrous sodium sulfate and treated with 0.125 ml of benzenesulfonyl chloride and 0.60 g of sodium bicarbonate. The reaction is stirred vigorously for 1.5 hours. The organic layer is decanted and codistilled with heptane. The residue is dissolved in ethyl acetate, dried and concentrated *in vacuo*. The residue is chromatographed on diatomaceous earth using hexane:- ethyl acetate: 2-methoxyethanol:water (35:65:15:5) to give 0.036 g of the desired product as a yellow solid.

MS(FAB): m/z 613 (M+H).

¹H NMR (CDCl₃): δ 2.44(bs,6H,C(4)NMe₂), 2.55(s,6H,C(7)-NMe₂), 7.38-7.45(m,2H,m-H's from benzenesulfonyl), 7.52-7.56(m,1H,p-H from benzenesulfonyl), 7.58(s,1H,H-8), 7.78(d,J=7Hz,2H,o-H's from benzenesulfonyl).

Examples 48-53 (Table II)

[0093] Substantially following the method described in detail hereinabove in Example 47 using [4S-(4 α ,12 α)]-9-amino-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (product from Example 2) and the appropriate alkyl, aryl or heteroarylsulfonyl chloride, the compounds of this invention listed below in Examples 48-53 are prepared.

Table II

Ex.	Sulfonyl Chloride	Product	Spectra
48	4-Chlorobenzene-sulfonyl chloride	[4S-(4alpha,12aalpha)]-9-[[[(4-chlorophenyl)sulfonyl]-amino]-4,7-bis(dimethyl-amino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 622 (M+H); ¹ H NMR (d ₆ -DMSO):delta 2.48(m,12H, C(4)NMe ₂ & C(7)NMe ₂), 7.16 (s,1H,H ² -8), 7.62(d,J=9Hz,2H of 4-chlorobenzenesulfonyl), 7.75(d,J=9Hz,2H of 4-chlorobenzenesulfonyl)
49	3-Nitrobenzene-sulfonyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 658 (M+H); ¹ H NMR (d ₆ -DMSO): delta 2.44-2.45 (m,12H,C(4)NMe ₂) & C(7)NMe ₂) 7.51-7.62(m,3H of 3-nitrobenzenesulfonyl), 7.74-7.78 (m,1H of 3-nitrobenzenesulfonyl), 7.75(s,1H,H-8)
50	4-Nitrobenzene-sulfonyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 658 (M+H); ¹ H NMR (CDCl ₃):delta 2.46(s,6H,C(4)NMe ₂), 2.58(s,6H,C(7)NMe ₂), 7.59(s,1H,H-8), 7.96(d,J=9Hz,2H of 4-nitrobenzenesulfonyl), 8.25(d,J=9Hz,2H of 4-nitrobenzenesulfonyl).

Table II (cont'd)

Ex.	Sulfonyl Chloride	Product	Spectra
51	2-Thiophene sulfonyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2-naphthacenecarboxamide	MS(FAB):m/z 619 (M+H); ¹ H NMR (d ₂ -DMSO): delta 2.50(m,6H, C(4)NMe ₂), 2.54(s,6H,C(7)NMe ₂), 7.14(m,1H of thienyl), 7.20(m,1H of thienyl), 7.51(s,1H of thienyl), 7.91(s,1H,H-8)
52	2-Acetamido-4-methyl-5-thiazole sulfonyl chloride	[4S-(4alpha,12aalpha)]-9-[[[(2-(Acetylamino)-4-methyl-5-thiazolyl)sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 691 (M+H); ¹ H NMR (CDCl ₃): delta 2.21(s,3H,thiazoyl H ₃ C), 2.40(s,3H,thiazoyl H ₃ C), 2.54(s,6H,C(4)NMe ₂), 2.57(s,6H,C(7)NMe ₂), 7.65(s,6H,C(7)NMe ₂), 7.65(s,1H,H-8)
53	Ethane sulfonyl chloride	[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide	MS(FAB):m/z 565 (M+H); ¹ H NMR (CDCl ₃): delta 0.88(t,3H,CH ₃ CH ₂ SO ₂), 2.4-2.6(m,12H,C(4)NMe ₂ & C(7)NMe ₂), 3.34(q,2H,CH ₃ CH ₂ SO ₂), 7.61(s,1H,H-8)

Example 54

[4S-(4 α , 12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide

[0094] A solution of 0.30 g of product from Example 3 and 1.2 equivalents of 30% aqueous formaldehyde in 6.0 ml of 2-methoxyethanol is treated with 5.0 equivalents of pyrrolidine. The reaction is stirred vigorously at room temperature for 1.5 hours. The crystalline solid is collected and dried to give 0.25 g of the desired product.
MS(FAB): m/z 584 (M+H).

Example 55

[4S-(4 α , 12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide

[0095] A mixture of 0.30 g of product from Example 2, 0.40 g of sodium acetate in 10 ml of tetrahydrofuran and 1.5 ml of water is stirred for 10 minutes at room temperature under argon. The organic layer is separated, dried over sodium sulfate, filtered and treated with 0.10 ml of methanesulfonyl chloride and 0.60 g of sodium bicarbonate. The reaction is stirred vigorously for 1.5 hours. The organic layer is decanted and codistilled with heptane. The residue is dissolved in ethyl acetate, dried and concentrated in vacuo. The crude product is chromatographed on diatomaceous earth using hexane:ethyl acetate:2-methoxyethanol:water (35:-65:15:5) to give 0.016 g of the desired product as a yellow solid.
MS(FAB): m/z 551 (M+H).

Example 56

[4S-(4 α , 12 α)]-4,7-Bis(dimethylamino)-9-[(methanesulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(pyrrolidinylmethyl)-2-naphthacenecarboxamide

[0096] A solution of 0.30 g of product from Example 55 and 1.2 equivalents of 30% aqueous formaldehyde in 6.0 ml of 2-methoxyethanol is treated with 5.0 equivalents of pyrrolidine. The reaction is stirred vigorously at room temperature for 1.5 hours. The crystalline solid is collected and dried to give 0.250 g of the desired product.
MS(FAB): m/z 634 (M+H).

Example 57

[4S-(4 α , 12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[phenyl(methoxy)acetyl]amino]-2-naphthacenecarboxamide

[0097] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidine, 0.018 g of benzyloxyacetyl chloride and 0.5 ml of acetonitrile to give 0.060 g of the desired product.
MS(FAB): m/z 622 (M+H).

Example 58

[7S-(7 α , 10 α)]-[[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino] oxo-acetic acid ethyl ester

[0098] The title compound is prepared by the procedure of Example 23, using 0.055 g of product from Example 2, 0.20 g of sodium bicarbonate, 1.0 ml of N-methylpyrrolidone, 0.015 g of ethyl oxalyl chloride and 0.5 ml of acetonitrile to give 0.030 g of the desired product.
MS(FAB): m/z 574 (M+H).

Example 59

[4S-(4 α ,12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide

[0099] A mixture of 0.048 g of product from Example 28 and 0.6 ml of concentrated sulfuric acid is stirred at room temperature for 2 hours, poured into diethyl ether and the precipitated salt collected. The salt is dissolved in 10 ml of tetrahydrofuran, 0.250 g of sodium acetate is added and the mixture stirred for 1 hour. The reaction is filtered and the filtrate is concentrated *in vacuo*. The residue is chromatographed on a poly(styrene-vinyl benzene)copolymer column with water:acetonitrile (1:1) to give 0.018 g of the desired product as a light yellow solid.
MS(FAB): m/z 532 (M+H).

Example 60

[4S-(4 α ,12 $\alpha\alpha$)]-9-(Acetylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0100] To a 0°C solution of 1.06 g of [4S-(4 α ,12 $\alpha\alpha$)]-9-amino-4-(dimethylamino)-1,2,3,4,4a,5,5a,6,11,11a,12,12a-dodecahydro-10,12 $\alpha\alpha$ -dihydroxy-1,3,11,12-tetraoxo-2-naphthacenecarboxamide, prepared by the procedures described in U.S. Patent 3,239,499, in 50 ml of acetic acid is added 2.4 ml of acetic anhydride. After 5 minutes, the reaction is allowed to warm to room temperature. The reaction mixture is poured into 500 ml of diethyl ether and the resulting precipitate is collected. The precipitate is washed with diethyl ether and dried to give 1.1 g of the desired product.
MS(FAB): m/z 472 (M+H).

Example 61

[4S-(4 α ,12 $\alpha\alpha$)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0101] To a stirring 0°C solution of 0.278 g of product from Example 60 in 10 ml of sulfuric acid is added, portionwise, 0.1344 g of N-iodosuccinimide. After stirring at 0°C for 20 minutes, the reaction mixture is poured into 400 ml of diethyl ether. The resultant precipitate is collected, washed with diethyl ether and dried to give 1.1 g of the desired product as a solid.
MS(FAB): m/z 598 (M+H) and 696 (M+H₂SO₄+H).

Example 62

[7S-(7 α ,10 $\alpha\alpha$)]-[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester

[0102] To a room temperature mixture of 0.60 g of product from Example 2 in 2 ml of 1-methyl-2-pyrrolidinone is added 0.60 g of sodium bicarbonate. The mixture is stirred for 5 minutes followed by the addition of 0.12 ml of methyl chloroformate. The reaction is stirred at room temperature for 30 minutes and filtered into 200 ml of t-butyl methyl ether. The resulting solid is collected and dried to give 0.370 g of the desired product.
MS(FAB): m/z 531 (M+H).
¹H NMR (d₆DMSO) : δ 2.6(s, 12H, C(4)NMe₂ and C(7)NMe₂), 3.7(m, 3H, o-CH₃), 7.8(s, 1H, H-3), 8.7(s, 1H, aromatic MH), 9.1(d, 2H, CONH₂).

Example 63

[7S-(7 α ,10 $\alpha\alpha$)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester

[0103] The title compound is prepared by the procedure of Example 62, using 0.443 g of product from Example 2, 2 ml of 1-methyl-2-pyrrolidinone, 0.165 g of β -diethylaminoethyl chlorocarbonate hydrochloride and 0.443 g of sodium bicarbonate to give 0.350 g of the desired product.
¹H NMR (d₆DMSO): δ 1.2(m, 6H, -N(CH₂CH₃)₂), 2.5(s, 6H, C(7)NMe₂), 2.7(s, 6H, C(4)NMe₂), 3.4(m, 2H, OCH₂CH₂N),

3.51(m,4H,-N(CH₂CH₃)₂), 4.0(m,2H,-OCH₂CH₂N), 6.8(s,1H,H-3), 9.0(d,2H,CONH₂).

Example 64

[7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbamic acid ethenyl ester

[0104] The title compound is prepared by the procedure of Example 62, using 0.189 g of product from Example 2, 1 ml of 1-methyl-2-pyrrolidone, 0.75 ml of acetonitrile, 0.20 g of sodium bicarbonate and 0.037 g of vinyl chloroformate to give 0.133 g of the desired product.

MS(FAB): m/z 548 (M+H).

¹H NMR (d₆DMSO+TFA): δ 4.35(s,1H,H-7), 4.6(d,1H, CH=CH₂cis), 4.9(d,1H,CH=CH₂, trans), 7.2(m,2H, -O-CH=CH₂), 8.1(s,1H,H-3), 9.6 & 9.1(s,2H,CONH₂), 9.61(s,H,aromatic NH)

Example 65

[7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbamic acid 2-propenyl ester

[0105] The title compound is prepared by the procedure of Example 62, using 0.213 g of product from Example 2, 1 ml of 1-methyl-2-pyrrolidone, 0.75 ml of acetonitrile, 0.20 g of sodium bicarbonate and 0.054 g of allyl chloroformate to give 0.143 g of the desired product. ¹H NMR (d₆DMSO+TFA): δ 4.65(d,2H,=CHCH₂), 5.25(d,1H, CH=CH₂cis), 5.4(d,1H,CH=CH₂trans), 6.0(m,1H,CH₂=CH-CH₂), 8.1(s,1H,H-3), 9.1(s,1H,aromatic NH), 9.6 & 9.0(s,2H,CONH₂).

[0106] Substantially following the methods described in detail hereinabove in Example 23, the compounds of this invention listed below in Examples 66-82 are prepared. Example 72 uses the appropriate anhydride rather than the acid chloride.

Example 66

[0107] [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-[(4-fluorophenoxy) acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide.

Example 67

[0108] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-Bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-2-thiopheneacetamide.

Example 68

[0109] [4S-(4 α ,12 α)]-9-[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

Example 69

[0110] [4S-(4a,12aa)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-9-[(methylthio)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide.

Example 70

[0111] [4S-(4 α ,12 α)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[(1-methylethyl) amino]-1,11-dioxo-9-[(3,3,3-trichloro-1-oxopropyl)amino]-2-naphthacenecarboxamide.

Example 71

[0112] [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(1,3-dioxo-3-phenylpropyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,12-dioxo-2-naphthacenecarboxamide.

Example 72

[0113] [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[4-(dimethylamino)-1-oxobutyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

Example 73

[0114] [4S-(4 α ,12 α)]-4-(Dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[phenylsulfonyl]acetyl]amino]-2-naphthacenecarboxamide.

Example 74

[0115] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-7-(dimethylamino)-5,5a,6,6a,7,10,10a-octahydro-1,8,10a,11-tetrahydroxy-4-iodo-10,12-dioxo-2-naphthacenyl]-5-methyl-2-furanacetamide.

Example 75

[0116] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-2-thiazoleacetamide.

Example 76

[0117] [7S-(7 α ,10 α)]-2-[[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]carbonyl] benzoic acid.

Example 77

[0118] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-3-methyl-2-oxo-1-imidazolidineacetamide.

Example 78

[0119] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-5,6-dimethylpyrazinecarboxamide.

Example 79

[0120] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-3-methyl-3H-imidazo[4,5-b]pyridine-2-acetamide.

Example 80

[0121] [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[pentafluorophenyl]acetyl]amino]-2-naphthacenecarboxamide.

Example 81

[0122] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-4-iodo-10,12-dioxo-2-naphthacenyl]-4-ethyl-2,3-dioxo-1-piperazinecarboxamide.

Example 82

[0123] [7S-(7 α ,10 α)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-ethyl-2,3-dioxo-1-piperazinecarboxamide.

Examples 83-86

[0124] Substantially following the methods described in detail hereinabove in Example 44, the compounds of this

invention listed below in Examples 83-86 are prepared.

Example 83

5 [0125] [7S-(7a,10aa)]-[2-[[9-Aminocarbonyl-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-1,12-dioxo-2-naphthaceny]amino]-2-oxoethyl] carbamic acid 1,1-dimethylethyl ester.

Example 84

10 [0126] [7S-[2(S*), (7α,10α)]]-[2-[[9-(Aminocarbonyl)-4-(diethylamino)-7-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-1-methyl-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester.

Example 85

15 [0127] [7S-[2(S*), (7α,10α)]]-[2-[[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-2-oxo-1-phenylethyl]carbamic acid 1,1-dimethylethyl ester.

Example 86

20 [0128] [7S-[2(S*), (7α,10α)]]-[4-[[9-(Aminocarbonyl)-4,7-bis (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-3-[[1,1-dimethylethoxy)carbonyl]amino]-4-oxobutanoic acid 1,1-dimethylethyl ester.

25 Examples 87-91

[0129] Substantially following the methods described in detail hereinabove in Example 45, the compounds of this invention listed below in Examples 87-91 are prepared.

30 Example 87

[0130] [4S-(4α,12α)]-9-[(Aminoacetyl)amino]-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

35 Example 88

[0131] [4S-(4α,9(S*),12α)]-9-[(2-Amino-1-oxopropyl)amino]-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

40 Example 89

[0132] [4S-(4α,9(S*),12α)]-9-[(Aminophenylacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.

45 Example 90

[0133] [7S-[2(S*),7α,10α)]]-3-Amino-4-[[9-(aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny] amino]-4-oxobutanoic acid.

50 Example 91

[0134] [7S-[2(S*),7α,10α)]]-4-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10,10a-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-3-(dimethylamino)-4-oxobutanoic acid.

55 Examples 92-94

[0135] Substantially following the methods described in detail hereinabove in Example 47, the compounds of this invention listed below in Examples 92-94 are prepared.

Example 92

[0136] [4S-(4 α , 12 $\alpha\alpha$)]-4-(Dimethylamino)-9-[[[(2,2-dimethylpropyl)sulfonyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-[(1-methylethyl)amino]-1,11-dioxo-2-naphthacenecarboxamide.

Example 93

[0137] [7S-(7 α , 10 $\alpha\alpha$)]-4-[[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino] sulfonyl]butanoic acid.

Example 94

[0138] [4S-(4 α ,12 $\alpha\alpha$)]-4-(Dimethylamino)-9-[[[(1,1-dimethylethyl)sulfonyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide.

Example 95

[4S-(4 α , 12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-9-[[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate

[0139] The title compound is prepared by the procedure of Example 46, using 0.030 g of product from Example 45, 0.020 g of 10% palladium-on-carbon, 2.5 equivalents of acetaldehyde, 1.5 ml of 2-methoxyethanol and 0.175 ml of 2N sulfuric acid to give the desired product as a solid.

Example 96Dimethylaminoacetyl chloride hydrochloride

[0140] A mixture of 15 g of N,N-dimethylglycine hydrochloride (pulverized and dried in a vacuum oven at 45-50°C for 24 hours) and 13.85 ml of thionyl chloride is heated, very slowly, in a sand bath to 78°C and kept at this temperature for 1 1/2 hours. Toluene is added to the mixture and the excess liquid is removed by pipette. This step is repeated several times. The solid is then transferred to a Buchner funnel, washed with methylene chloride and dried under vacuum at 50°C for 24 hours to yield 14.2 g of the desired intermediate.

Example 97

[4S-(4 α , 12 $\alpha\alpha$)]-4,7-Bis(dimethylamino)-9-[[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0141] To a mixture of 6.68 g of 9-amino-4,7-bis(dimethylamino)-6-demethyl-6-deoxytetracycline in 120 ml of DMPU and acetonitrile is added 6.57 g of sodium carbonate. The mixture is stirred for 5 minutes, followed by the addition of 2.83 g of product from Example 96. The reaction is stirred for 1 hour, filtered and the filtrate is added slowly to a mixture of methylene chloride/diethyl ether (1200 ml/400 ml). The solid is collected, dissolved in 250 ml methyl alcohol and added slowly to 1600 ml of methylene chloride. The precipitate is collected, washed with diethyl ether and dried to give 5.75 g of the desired product.
MS(FAB): m/z 558 (M+H).

Example 98

[4S-(4 α ,12 $\alpha\alpha$)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0142] To a room temperature solution of 0.334 g of 9-amino-4,7-bis(dimethylamino)-6-demethyl-6-deoxytetracycline sulfate, 6 ml of 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)pyrimidinone, hereinafter called DMPU, and 2 ml of acetonitrile is added 0.318 g of sodium carbonate. The mixture is stirred for 5 minutes followed by the addition of 0.068 g of chloroacetyl chloride. The reaction is stirred for 30 minutes, filtered, and the filtrate added dropwise to 100 ml of diethyl ether, containing 1 ml of 1M hydrochloric acid in diethyl ether. The resulting solid is collected and dried to give 0.340 g of the desired product.

MS(FAB): m/z 549 (M+H).

Example 99

5 [4S-(4 α ,12 α)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,-
10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0143] The title compound is prepared by the procedure of Example 98, using 0.668 g of 9-amino-4,7-bis(dimethyl-
amino)-6-demethyl-6-deoxytetracycline sulfate, 6 ml of DMPU, 2 ml of acetonitrile, 0.636 g of sodium carbonate and
10 0.215 g of bromoacetyl chloride. Seven tenths of a gram of the desired product is obtained.
MS(FAB): m/z 593 (M+H).

Example 100

15 [4S-(4 α ,12 α)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,-
10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base)

[0144] To 0.20 g of product from Example 99 in 3 ml of 1,3-dimethyl-2-imidazolidenone is added 0.30 g of sodium
bicarbonate. The reaction is stirred at room temperature for 15 minutes and filtered. The filtrate is added to 15 ml of
20 diethyl ether and the resulting precipitate is collected to give 0.150 g of the desired product as the free base.

Example 101

25 [4S-(4 α ,12 α)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,
12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide

[0145] To a solution of 5.01 g of 9-amino-4,7-bis-(dimethylamino)-6-demethyl-6-deoxytetracycline, 100 ml of DMPU
and 25 ml of acetonitrile is added 5.0 g of sodium carbonate. The reaction is stirred, under argon, at room temperature
for 5 minutes, followed by the addition of 3.03 g of bromoacetyl bromide. The stirring is continued for an additional
30 hour. The solid is collected and the filtrate is added slowly to isopropyl alcohol/diethyl ether (200 ml/750 ml). The yellow
solid is collected, washed with isopropanol and diethyl ether to give 5.77 g of the desired intermediate.
MS(FAB):m/z 593 (M+H).

Example 102

35 [4S-(4 α ,12 α)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-
3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide

[0146] The title compound is prepared by the procedure of Example 98, using 1.00 g of 9-amino-4,7-bis(dimethyl-
amino)-6-demethyl-6-deoxytetracycline, 1.0 g of sodium carbonate and 0.648 g of 2-bromopropionyl bromide to give
40 0.981 g of the desired product.
MS(FAB): m/z 607 (M+H).

Example 103

45 [4S-(4 α ,12 α)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-
3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0147] The title compound is prepared by the procedure of Example 98, using 1.34 g of 9-amino-4,7-bis(dimethyl-
amino)-6-demethyl-6-deoxytetracycline sulfate, 1.3 g of sodium carbonate, 24 ml of DMPU, 8 ml of acetonitrile and
50 0.389 g of 4-bromobutyl chloride to give 1.45 g of the desired product.

Example 104

55 [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-
3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride

[0148] To a solution of 0.15 g of product from Example 99 in 4 ml of DMPU is added 0.85 g of dimethylamine (40%

in water). The reaction is stirred for 20 minutes followed by concentration *in vacuo* to remove any excess dimethylamine. The mixture is filtered and the filtrate added, dropwise, to 70 ml of isopropyl alcohol/diethyl ether (1:1). To this solution is added 1 ml of 1M hydrochloric acid/diethyl ether. The resulting precipitate is collected, washed with isopropyl alcohol and diethyl ether, and dried to give 0.11 g of the desired product.

MS(FAB): m/z 558 (M+H).

Example 105

[4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride (331,256)

[0149] A mixture of 0.1258 g of product from Example 99, 5 ml of 40% methylamine in water and 5 ml of methyl alcohol, under Argon, is stirred at room temperature for 30 minutes. The excess methylamine is removed *in vacuo* and the residue diluted with a small volume of methyl alcohol. The diluted reaction solution is added dropwise to 100 ml of diethyl ether containing 1 ml of 1M hydrochloric acid in diethyl ether and 10 ml of isopropyl alcohol. The resulting solid is collected and dried to give 0.106 g of the desired product.

MS(FAB): m/z 544 (M+H).

[0150] Substantially following the methods described in detail herein above in Example 105, the compounds of this invention listed below in Examples 106-125 are prepared.

Example #	Name	Starting Material Prod. of Exp.	Reactant	Rx Time	MS(M+H): m/z
106	[7S-(7alpha,10alpha)-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4-morpholinacetamide dihydrochloride.	99	Morpholine	0.5 hr.	600(M+H)
107	[4S-(4alpha,12alpha)-4,7-Bis(dimethylamino)-9-[[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene]carboxamide dihydrochloride.	99	Ethylamine (70% in water)	2 hr.	558(M+H)
108	[4S-(4alpha,12alpha)-9-[[[(Cyclopropylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene]carboxamide dihydrochloride.	99	Cyclopropylamine	2 hr.	570(M+H)
109	[4S-(4alpha,12alpha)-4,7-Bis(dimethylamino)-9-[[[(butylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene]carboxamide dihydrochloride.	99	Butylamine	2 hr.	586(M+H)
110	[4S-(4alpha,12alpha)-9-[[[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,12,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene]carboxamide dihydrochloride.	99	Diethylamine	2 hr.	586(M+H)
111	[7S-(7alpha,10alpha)-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride.	99	Pyrrolidine	0.5 hr.	584(M+H)
112	[4S-(4alpha,12alpha)-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2-naphthacene]carboxamide dihydrochloride.	99	Isobutylamine	2 hr.	586(M+H)

Example #	Name	Starting Material Prod. of Exp.	Reactant	Rx Time	MS(FAB): m/z
113	[7S-(7alpha,10alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamide dihydrochloride.	99	piperidine	1 hr.	598(M+H)
114	[7S-(7alpha,10alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamide dihydrochloride.	99	imidazole	1 hr.	579(M+H)
115	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride.	99	propylamine	0.75 hr.	570(M+H)
116	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-9-[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide disulfate.	99	dimethylamine	0.5 hr.	558(M+H)
117	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-9-[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide.	99	dimethylamine	0.5 hr.	558(M+H)
118	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-9-[[hexylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride.	99	n-hexylamine	2 hr.	614(M+H)
119	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-9-[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride.	102	dimethylamine (40% in water)	2.5 hr.	572(M+H)
120	[4S-(4alpha,12alpha)]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride.	102	methylamine (40% in water)	2 hr.	558(M+H)

Example #	Name	Starting Material Prod. of Exp.	Reactant	Rx Time	MS(FAB): m/z
121	[7S-(7 α lpha,10 α lpha))-N-(9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl)-alpha-methyl-1-pyrrolidineacetamide dihydrochloride.	102	Pyrrolidine	1 hr.	598(M+H)
122	[4S-(4 α lpha,12 α lpha))-4,7-8is(dimethylamino)-9-((4-(dimethylamino)-1-oxobutylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride.	103	Dimethylamine (40% in water)	2 hr.	586(M+H)
123	[4S-(4 α lpha,12 α lpha))-9-(((8butylmethylamino)acetyl)amino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride	99	N-Methylbutylamine	2 hr.	600(M+H)
124	[4S-(4 α lpha,12 α lpha))-4,7-8is(dimethylamino)-1,4,4a,5,5a-6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-(((pentylamino)acetyl)amino)-2-naphthacenecarboxamide dihydrochloride.	99	Amylamine	2 hr.	600(M+H)
125	[4S-(4 α lpha,12 α lpha))-4,7-8is(dimethylamino)-1,4,4a,5,5a-6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-(((phenylmethylamino)acetyl)amino)-2-naphthacenecarboxamide dihydrochloride.	99	Benzylamine	1 hr.	620(M+H)

Example 126

[7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine phenylmethyl ester

[0151] To 0.30 g of benzylglycine hydrochloride in 3 ml of 1,3-dimethyl-2-imidazolidinone is added 0.60 g of sodium bicarbonate. The mixture is stirred at room temperature for 15 minutes and filtered. To the filtrate is added 0.20 g of product from Example 100. The reaction mixture is stirred at room temperature for 1 hour and then added to diethyl ether. The resulting solid is collected.

Example 127

[7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]glycine

[0152] One-tenth of a gram of product from Example 126 in 10 ml of monomethyl ethylene glycol is reduced catalytically, in a Parr shaker, with 0.10 g of 10% palladium on carbon, at 30 psi of hydrogen, for 2 hours. The reaction mixture is filtered and the filtrate concentrated to give 0.050 g of the desired product.
CI-MS: m/z 588 (M+H).

General Procedure for the Preparation of Mannich Bases

[0153] A mixture of 0.5 g of product from Example 117, 3 ml of t-butyl alcohol, 0.55 ml of 37% formaldehyde, and 0.55 ml of pyrrolidine, morpholine or piperidine is stirred at room temperature for 30 minutes followed by heating at 100°C for 15 minutes. The reaction mixture is cooled to room temperature and triturated with diethyl ether and hexane. The solid is collected, washed with diethyl ether and hexane, and dried to give the desired product. In this manner the following compounds are made:

Example 128

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinyl-methyl)-2-naphthacenecarboxamide

Example 129

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinyl-methyl)-2-naphthacenecarboxamide

Example 130

[4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide

Example 131

[7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-azetidineacetamide

[0154] The title compound is prepared by the procedure of Example 105 using 0.20 g of product from Example 99, 0.50 g of azetidine and 5 ml of DMPU to give 0.126 g of the desired product.
MS(FAB): m/z 570(M+H).

Example 132 (Comparative Example)

[4S-(4alpha,12aalpha)]-9-[[Cyclobutylamino)-acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride

[0155] To a solution of 0.200 g of 9-(bromoacetyl-amino)-7-dimethylamino-6-demethyl-6-deoxytetracycline in 2 ml of

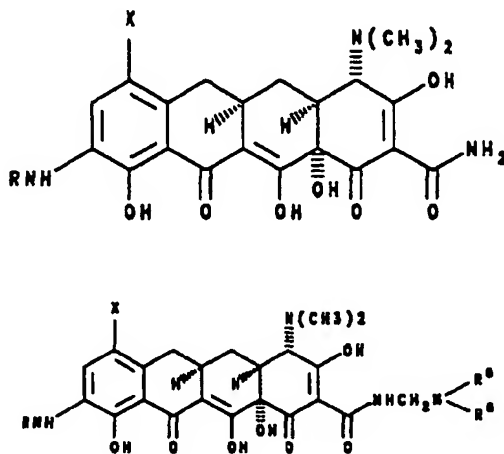
1,3-dimethyl-2-imidazolidinone is added 0.1 ml of cyclobutylamine. The resulting solution is stirred at room temperature for 45 minutes and then added to 50 ml of diethyl ether. An oil layer is formed and the diethyl ether layer is decanted and the oil is dissolved in 5 ml of 0.1 N methanolic hydrogen chloride. The resulting solution is added to 50 ml of diethyl ether, yielding 0.050 g of solid.

MS(FAB): m/z 584(M+H)

Claims

Claims for the following Contracting States : AT, BE, CH, LI, DE, DK, FR, GB, IT, LU, NL, PT, SE, IE

1. A compound of the formula:



wherein:

X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R¹ = n-propyl,

R² = n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R¹ = 1-methylethyl,

R² = n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R¹ = n-butyl,

R² = n-butyl, 1-methylpropyl or 2-methylpropyl;

and when R¹ = 1-methylpropyl,

R² = 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

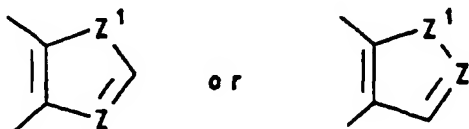
R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α-amino-(C₁-C₄)alkyl group selected from aminome-

thyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C₂-C₄)-alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; a-mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo-(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



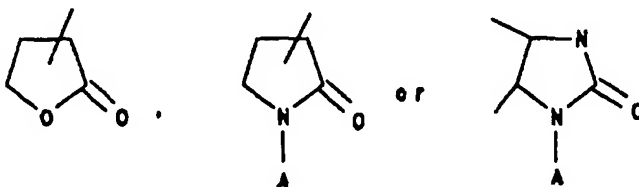
Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



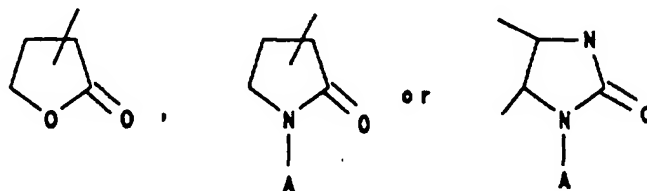
Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



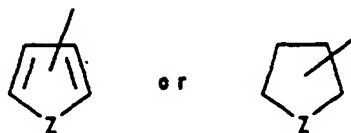
Z or Z' - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted(C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group; acyloxy or haloacyloxy group selected from acetyloxy, propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C₃-C₆)cycloalkylcarbonyloxy, (C₆-C₁₀)aroyloxy selected from benzyloxy or naphthoyloxy, halo substituted (C₆-C₁₀)aroyloxy, (C₁-C₄)alkylbenzyloxy, or (heterocycle)-carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



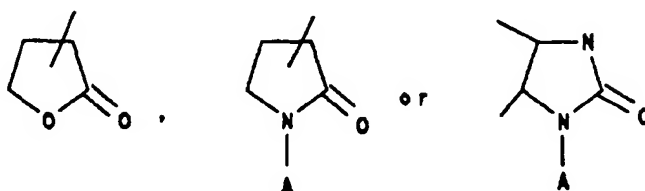
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



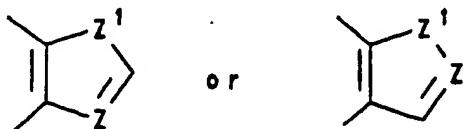
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



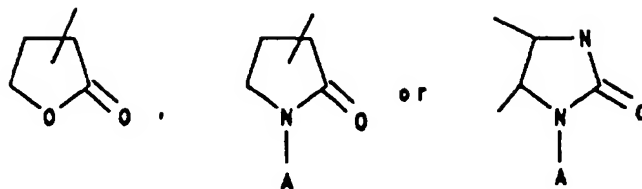
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C₂-C₅)azacycloalkyl group; carboxy(C₂-C₄) alkylamino group selected from aminoacetic acid, α-aminopropionic acid, α-aminobutyric acid and their optical isomers; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



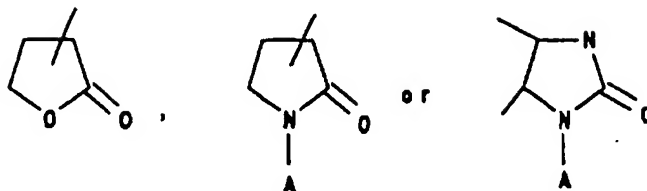
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b-amino (C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R^{4'} (CH₂)_nSO₂- and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



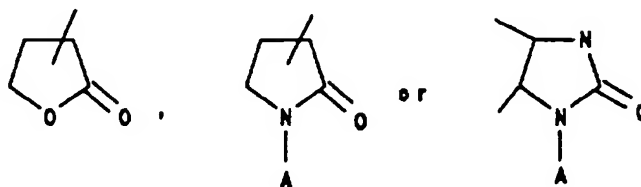
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴ (CH₂)_nSO₂- and n= 1-4,

R⁴ is selected from hydrogen; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)_n- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₉)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



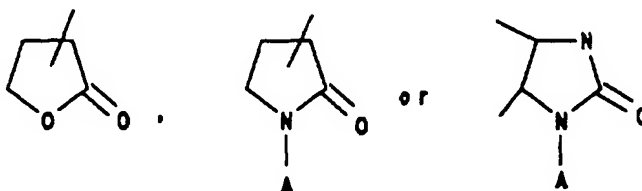
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

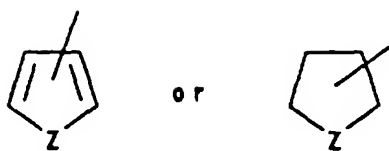


$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



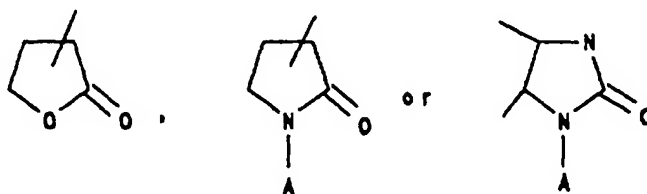
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
 45 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

50 R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



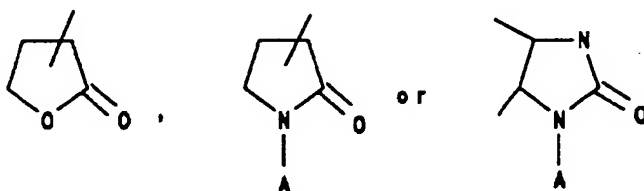
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

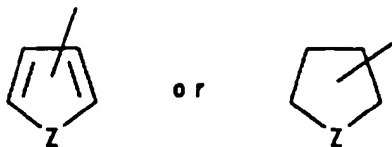
or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



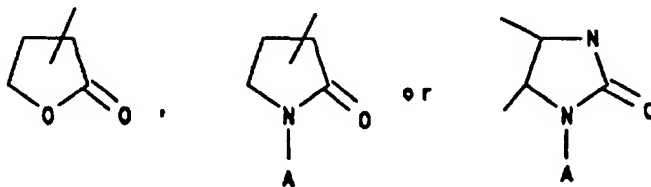
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aryl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR' where n=0-4 and R' is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

2. The compound according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

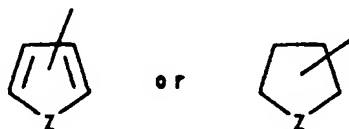
and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C_3-C_6)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6)cycloalkyl group (substitution selected from (C_1-C_3)alkyl, cyano, amino or (C_1-C_3)acyl); (C_6-C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10})aryl group (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); α -amino(C_1-C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2-C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9)aralkylamino group; (C_1-C_4)alkoxycarbonylamino substituted (C_1-C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1-C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1-C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



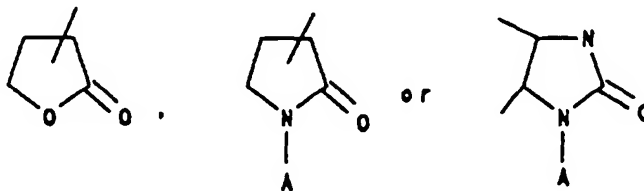
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected

from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



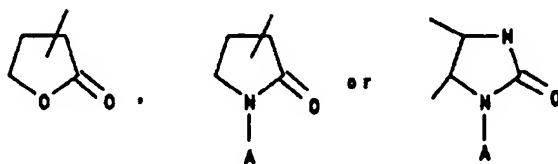
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

};

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,

1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



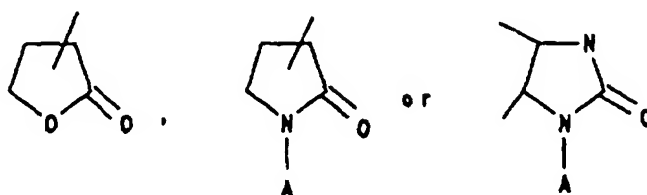
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminox group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



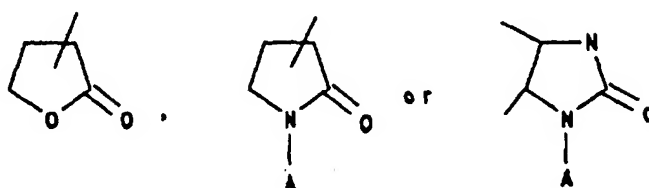
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido fused thereto:



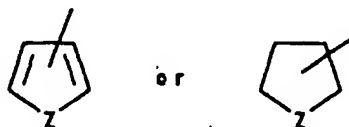
10 $Z \text{ or } Z' = N, O, S \text{ or } Se$

15 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



25 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



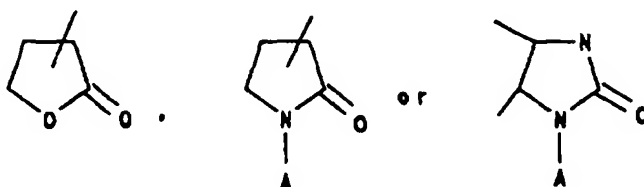
45 $Z = N, O, S \text{ or } Se$

50 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R^{4'} (CH₂)_nSO₂- and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



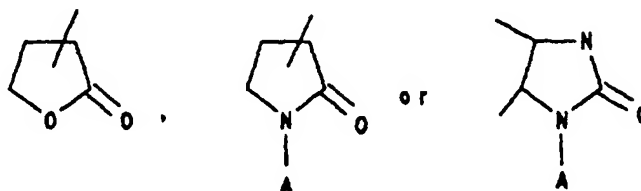
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = \text{N, O, S or Se}$

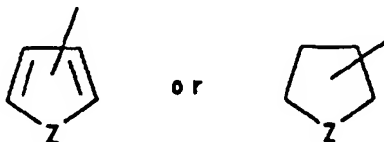
or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; and when R = R⁴ (CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₄)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



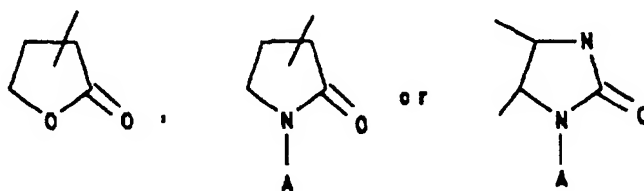
$Z = \text{N, O, S or Se}$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



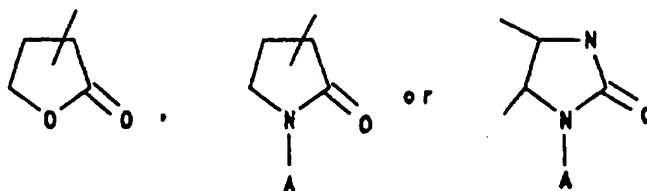
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl, or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

3. The compound according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino-(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from

hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



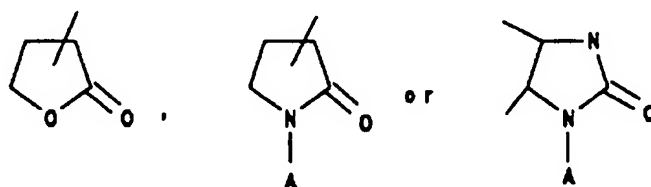
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



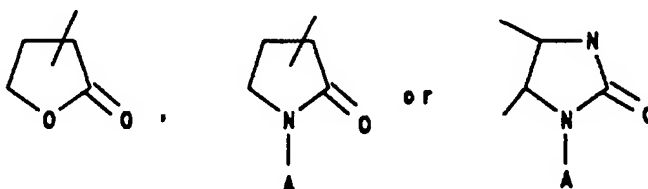
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4, R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



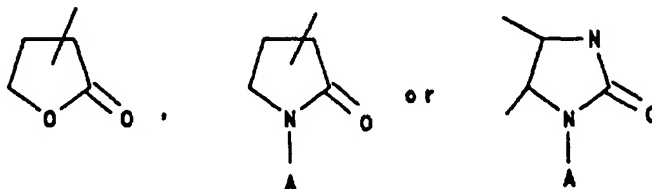
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



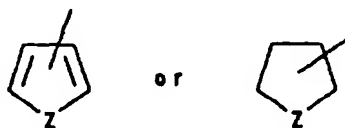
Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



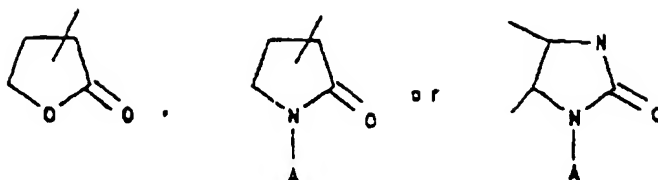
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se




or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-





Z - N, O, S or Se

$$Z \text{ or } Z' = N, O, S \text{ or } Se$$



 or
 

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R^{4'} (CH₂)_nSO₂⁻ and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



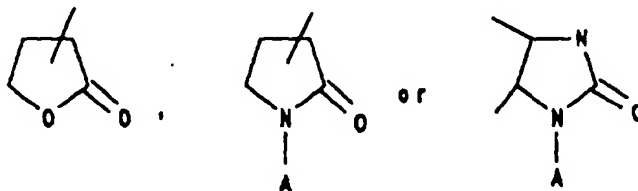
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected

from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R⁴(CH₂)_nSO₂⁻ and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



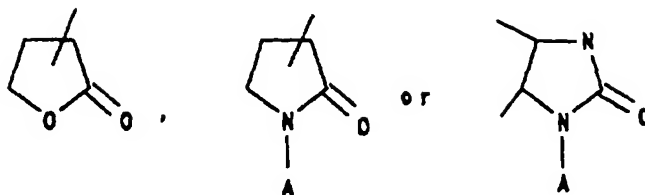
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

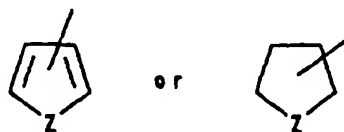


Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



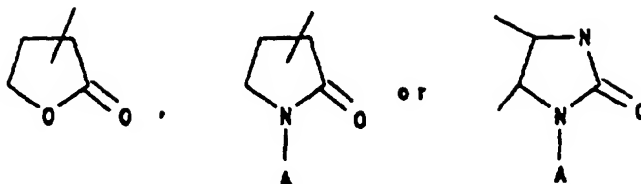
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

4. The compound according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl-ethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



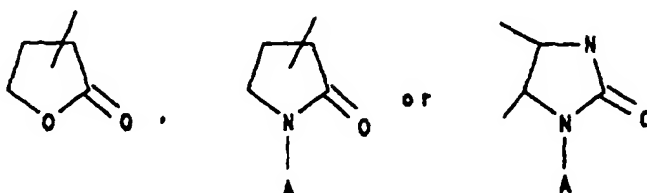
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



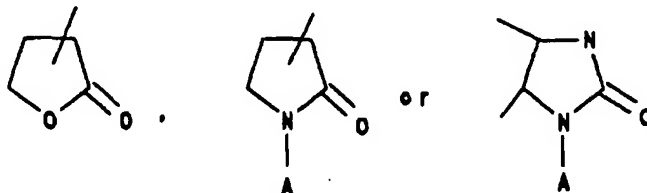
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂-

wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; α-hydroxy(C₁-C₃)-alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl-ethyl or α-hydroxypropyl; halo(C₁-C₃)-alkyl group; (C₁-C₄)-alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R^{4'} (CH₂)_nSO₂- and n = 0,

R^{4'} is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)-alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)-alkyl group selected from methyl or ethyl; (C₆-C₁₀)-aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



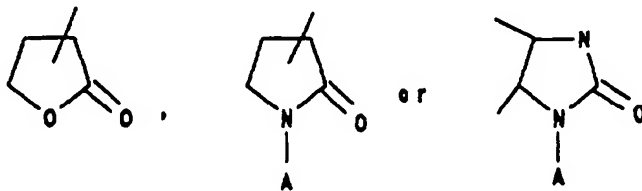
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)-alkyl; C₆-aryl; substituted C₆-aryl (substitution selected

from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R^{4'} (CH₂)_nSO₂- and n = 1-4,

R^{4'} is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



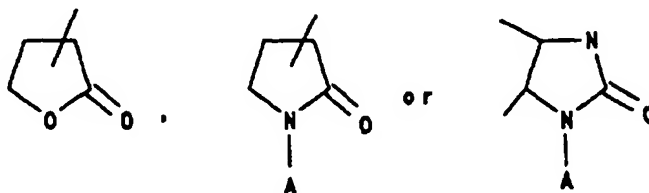
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally

having a benzo or pyrido ring fused thereto:



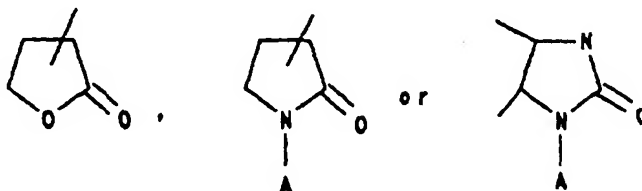
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

50 or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)proline, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

55 5. The compound according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;
the halogen is selected from bromine, chlorine, fluorine or iodine;
and when X = NR¹R² and R¹ = methyl or ethyl,

R^2 = methyl or ethyl,

R is selected from $R^4(CH_2)_nCO-$ or $R^4(CH_2)_nSO_2-$;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



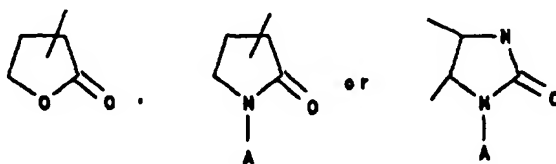
Z - N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z - N, O or S

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl)

(C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo- (C_1-C_3) alkyl group]; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) alkyl); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) -alkyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^b aminooxy group, wherein R^aR^b is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO-$ and $n=1-4$,

R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phe-

nylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group, (substitution selected from halo, (C₁-C₄)alkoxy, nitro, amino, (C₁-C₄)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C₁-C₄)alkoxy group; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl] O or S; halo (C₁-C₃)-alkyl group; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

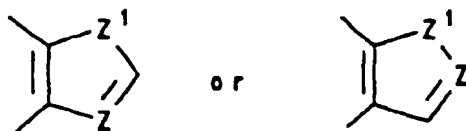
and when R = R⁴ (CH₂)_nSO₂⁻ and n = 0,

R⁴ is selected from straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group, (substitution selected from halo, (C₁-C₄)alkoxy, nitro, (C₁-C₄)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' - N, O or S

and when R = R⁴ (CH₂)_nSO₂⁻ and n = 1-4,

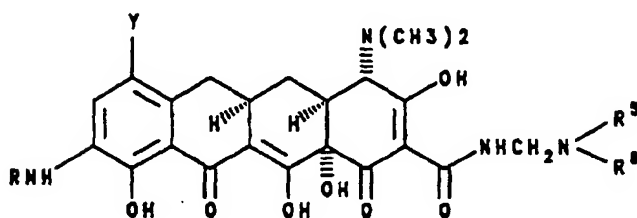
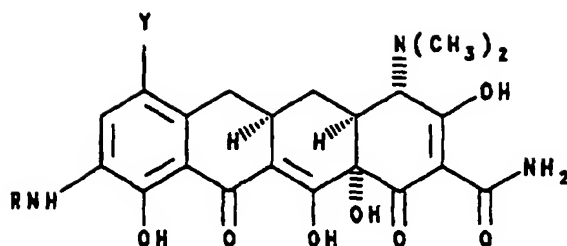
R⁴ is selected from hydrogen, straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

6. A compound of the formula:



wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

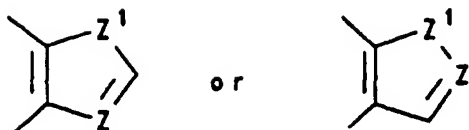
R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α-amino(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; α-mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, α-mercaptoethyl, α-mercapto-1-methylethyl or α-mercaptopropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

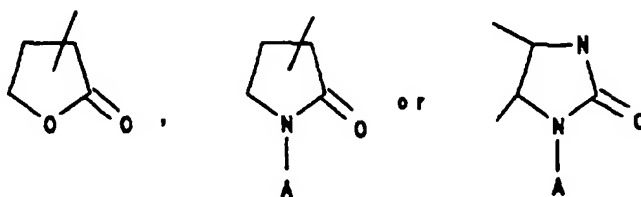
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:



10 $Z \text{ or } Z' = N, O, S \text{ or } Se$

15 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

35



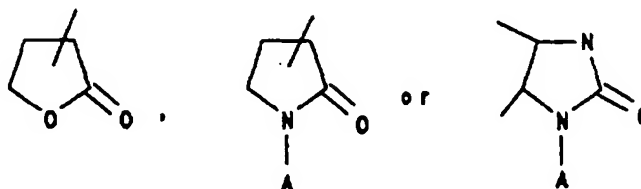
45 $Z = N, O, S \text{ or } Se$

50 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl, substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when

$R = R^4(CH_2)_nCO-$ and $n=1-4$,

R^4 is selected from hydrogen; amino; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group; acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



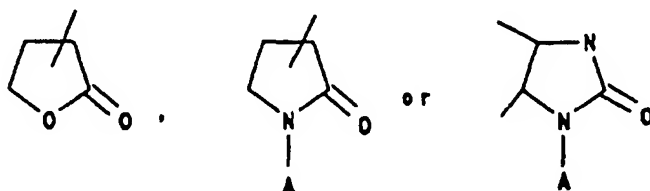
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



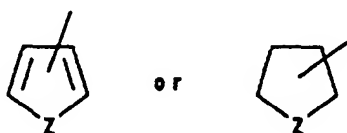
$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4) alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



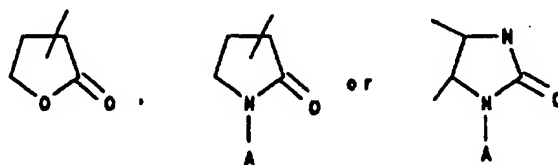
Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' - N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C₂-C₅)azacycloalkyl group; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminopropionic acid, α-aminobutyric acid and their optical

isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)-alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)-cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



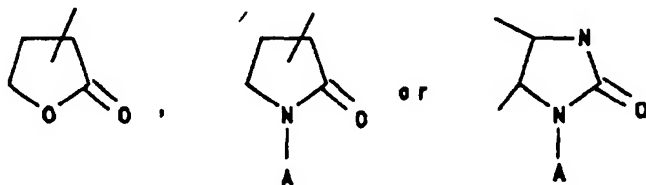
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1 - C_4)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; $R^a R^b$ -amino (C_1 - C_4)alkoxy group, wherein $R^a R^b$ is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W(CH_2)_2$ wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or $R^a R^b$ aminoxy group, wherein $R^a R^b$ is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W(CH_2)_2$

wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)-alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidiny, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)-alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)-cycloalkyl group (substitution selected from (C₁-C₃)-alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)-aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); (C₇-C₉)-aralkyl group; halo(C₁-C₃)-alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



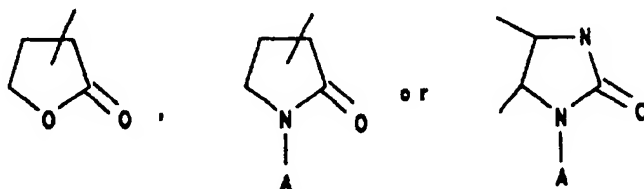
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)-alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring

with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino(C_1 - C_4)alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W-(CH_2)_2-$ wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)-alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W(CH_2)_2-$ wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S;

and when $R = R^4 (CH_2)_nSO_2-$ and $n=1-4$,

R^4 is selected from hydrogen; straight or branched (C_1 - C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_1 - C_4)carboxyalkyl group; (C_3 - C_6)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3 - C_6)cycloalkyl group (substitution selected from (C_1 - C_3)alkyl, cyano, amino or (C_1 - C_3)acyl); (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C_1 - C_4)alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1 - C_3)alkyl, nitro, cyano, thiol, amino, carboxy, di(C_1 - C_3)alkylamino); (C_7 - C_{10})aralkyloxy group; R^aR^b amino(C_1 - C_4)-alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W(CH_2)_2-$ wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2W(CH_2)_2-$ wherein W is selected from -N(C_1 - C_3)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; (C_1 - C_3)alkylthio group selected from methylthio, ethylthio or n-propylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1 - C_3)alkyl, nitro, cyano, thiol, amino, carboxy, di(C_1 - C_3)alkylamino); (C_7 - C_9)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

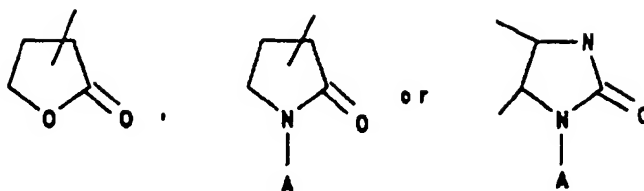
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

eroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



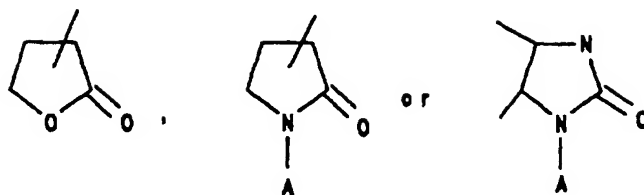
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

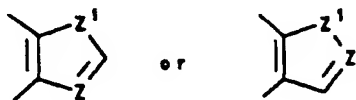


(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;
R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



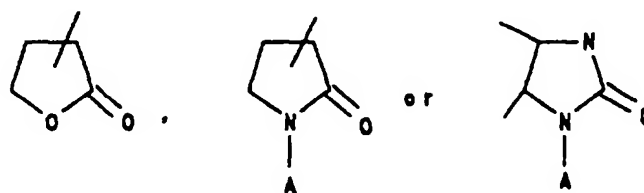
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

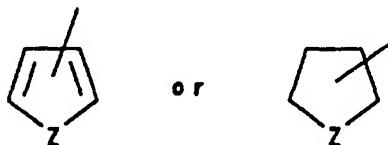


Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;
 R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



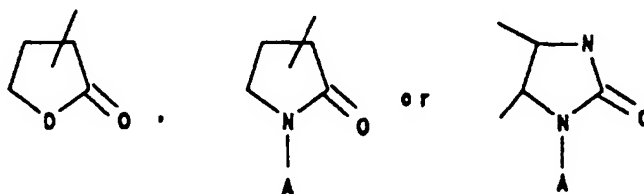
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)proline, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

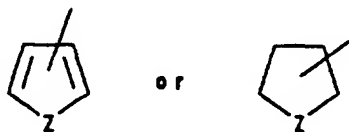
7. The compound according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C₃-C₆)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



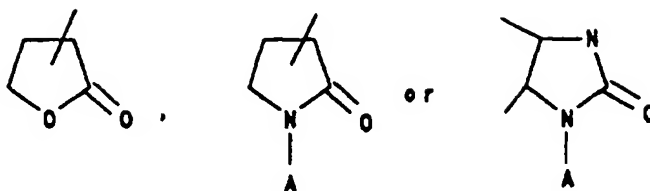
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



10 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring
 15 with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



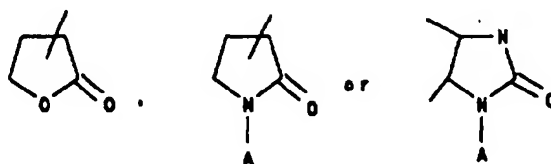
Z = N, O, S or Se

30 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

45 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected

from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4, R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

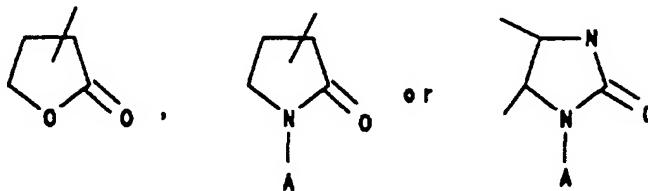
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



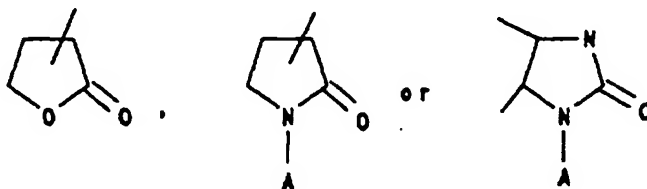
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido fused thereto:



Z or Z¹ = N, O, S or Se

15
or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30
or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo (C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



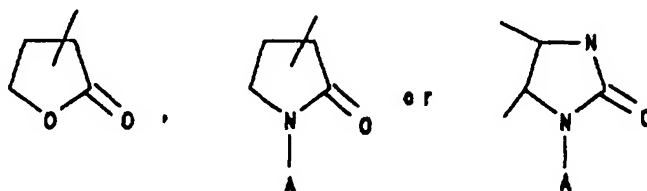
Z = N, O, S or Se

50
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



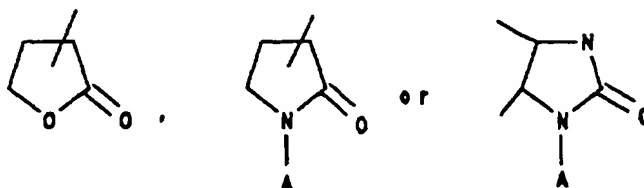
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₁-C₄)-alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₄)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto;



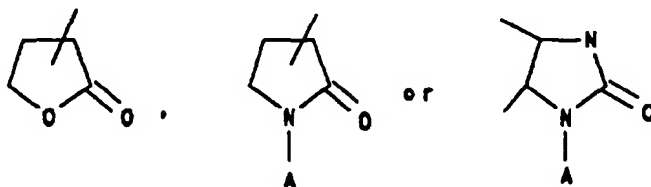
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



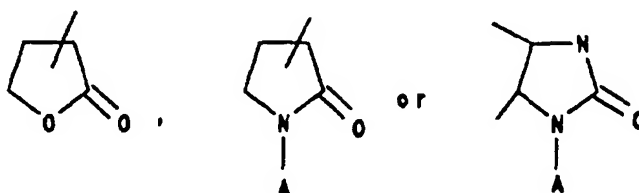
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

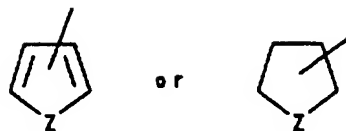
8. The compound according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino-(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)-alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



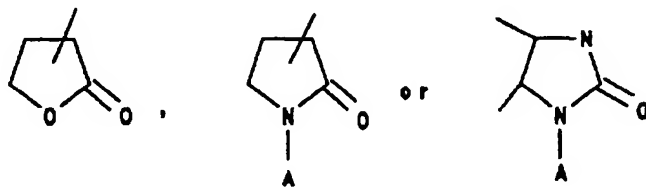
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



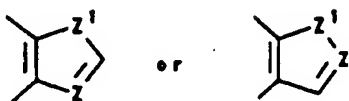
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



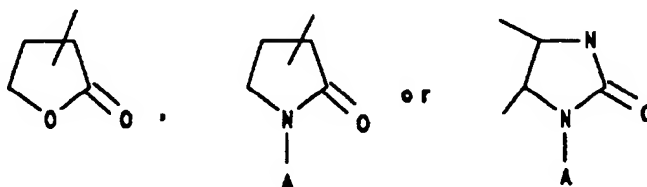
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)-alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

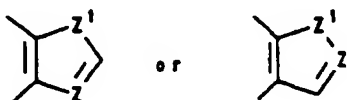
and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



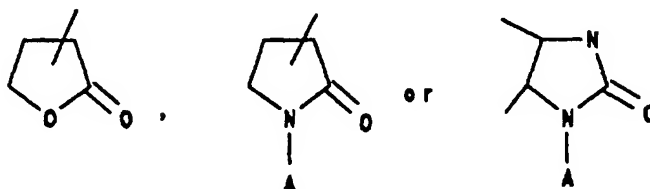
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



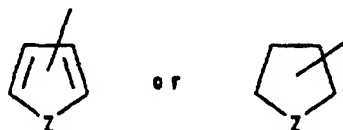
Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



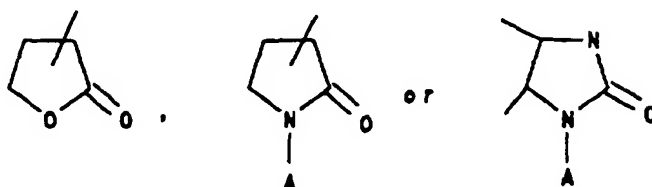
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

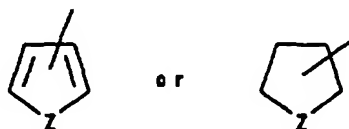


Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy (C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)-aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



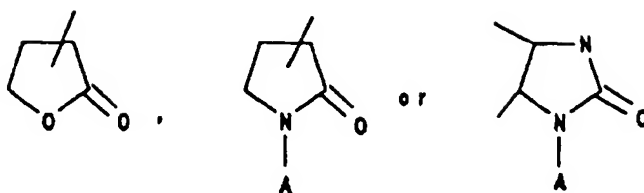
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



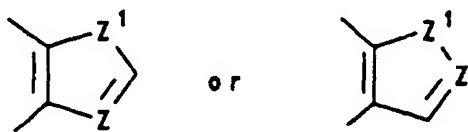
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



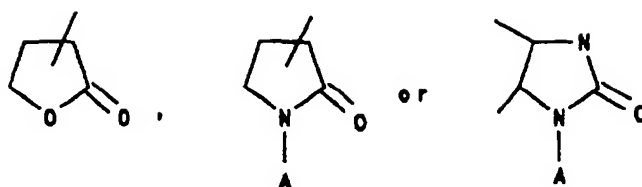
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R^{4'} (CH₂)_nSO₂- and n = 1-4,

R^{4'} is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



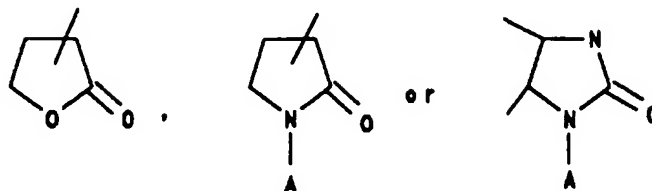
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



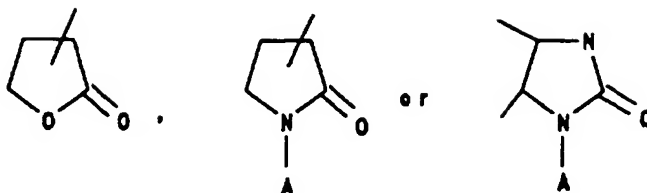
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

9. The compound according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



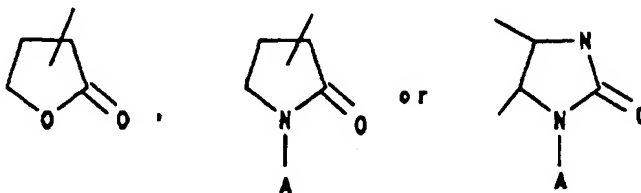
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₁-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

];

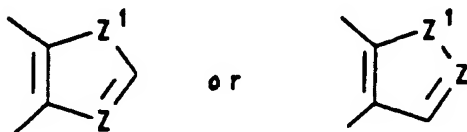
(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



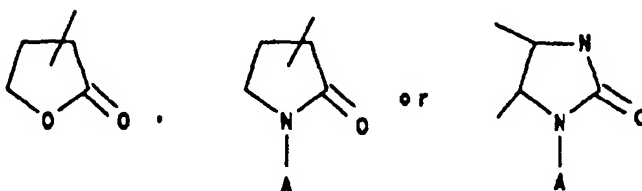
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-

propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W(CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)\text{-alkyl}$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)\text{-alkyl}$], O or S; or $R^a R^b$ aminoxy group, wherein $R^a R^b$ is a straight or branched $(C_1-C_4)\text{-alkyl}$ selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W-$ wherein W is selected from $-N(C_1-C_3)\text{-alkyl}$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)\text{-alkyl}$], O or S; a-hydroxy $(C_1-C_3)\text{-alkyl}$ group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo $(C_1-C_3)\text{-alkyl}$ group; $(C_1-C_4)\text{-alkoxycarbonylamino}$ group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

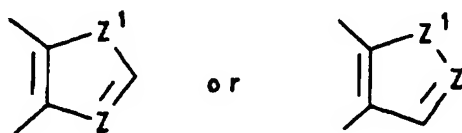
and when $R = R^4(CH_2)_n SO_2-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from straight or branched $(C_1-C_6)\text{-alkylamino}$, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl (1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1-C_2)\text{-alkyl}$ group selected from methyl or ethyl; $(C_6-C_{10})\text{-aryl}$ group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6-C_{10})\text{-aryl}$ group (substitution selected from halo, $(C_1-C_4)\text{-alkoxy}$, trihalo $(C_1-C_3)\text{-alkyl}$, nitro, amino, cyano, $(C_1-C_4)\text{-alkoxycarbonyl}$, $(C_1-C_3)\text{-alkylamino}$ or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



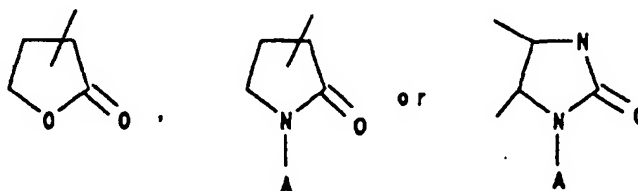
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R^{4'} (CH₂)_nSO₂⁻ and n= 1-4,

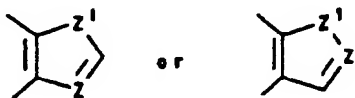
R^{4'} is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl:

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



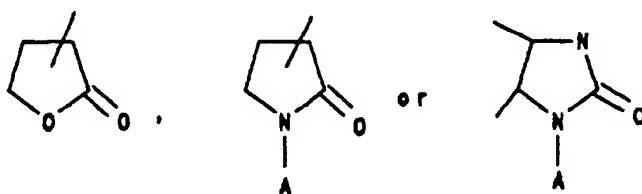
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-

cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



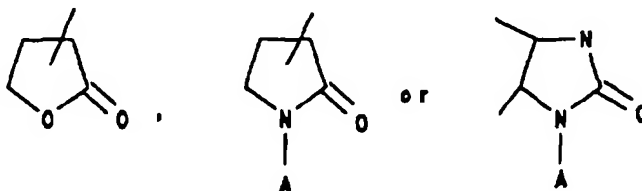
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

10. The compound according to Claim 6, wherein:

Y is NO₂,

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



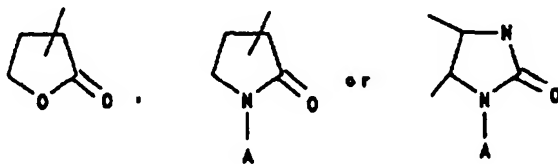
$Z = N, O \text{ or } S$

or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z = N, O \text{ or } S$

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl)

(C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo (C_1-C_3) alkyl group); (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) -alkyl); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) alkyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

and when $R = R^4(CH_2)_nCO-$ and $n=1-4$,

R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monometh-

ylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group, (substitution selected from halo, (C₁-C₄) alkoxy, nitro, amino, (C₁-C₄)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C₁-C₄)alkoxy group; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; halo (C₁-C₃)-alkyl group; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; and when R = R⁴ (CH₂)_nSO₂- and n = 0, R⁴ is selected from straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group, (substitution selected from halo, (C₁-C₄)alkoxy, nitro, (C₁-C₄)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z - N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' - N, O or S

and when R = R⁴ (CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen, straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

11. The compound according to Claim 1, [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacene-carboxamide; [4S-(4 α ,12 α)]-4,7-Bis

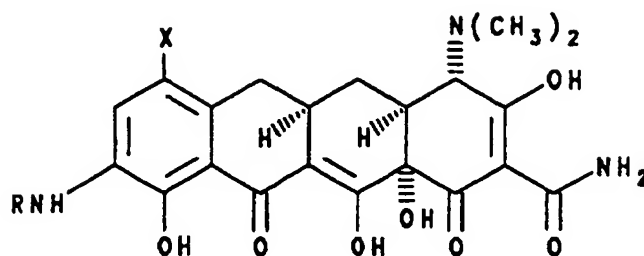
(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride; [4S-(4 α ,12 α)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate; [4S-(4a,12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate (1:2); [4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2); [4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[[[Acetyloxy]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[[[4-(dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7 α ,10 α)]-2-[[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbamate 1,1-dimethylethyl ester; [4S-(4 α ,12 α)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate); [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[[[4-Chlorophenyl)sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl]amino-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[[[2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[

5.

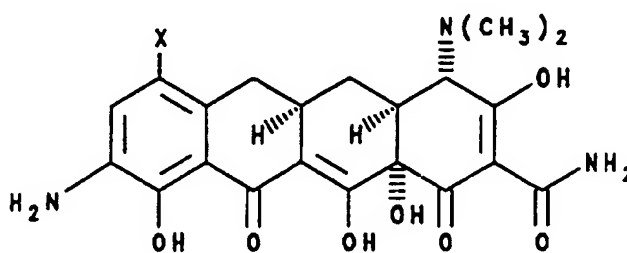
12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,-12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobromide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-4-morpholineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Cyclopropylamino)acetyl]amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(butylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-piperidineacetamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1H-imidazole-1-acetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(hexylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-(dimethylamino)-1-oxopropyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-(methylamino)-1-oxopropyl)amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-alpha-methyl-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(4-(dimethylamino)-1-oxobutyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylmethyl)amino]acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-2-oxoethyl]glycine; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-azetidineacetamide; [4S-(4alpha,12aalpha)]-9-[(Cyclobutylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride.

12. A compound according to Claim 6, [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacenecarboxamide sulfate.

13. A method of producing a compound of the formula:

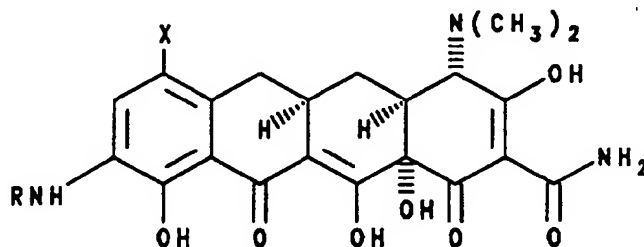


according to Claim 1, wherein $X = NR^1R^2$, which comprises reacting a 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline of the formula:

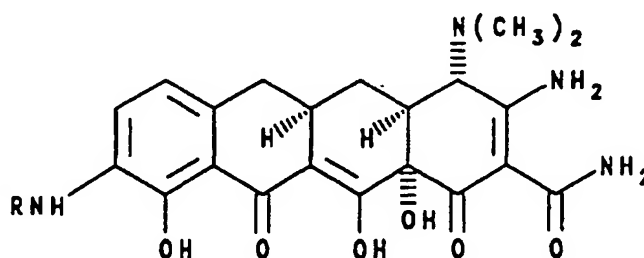


with an acyl halide of the formula R-halide, an acylanhydride of the formula R-anhydride, a mixed acyl anhydride of the formula R-anhydride, a sulfonyl halide of the formula R-halide, or a sulfonyl anhydride of the formula R-anhydride in the presence of a suitable acid scavenger in a suitable solvent.

14. A method of producing a compound of the formula:

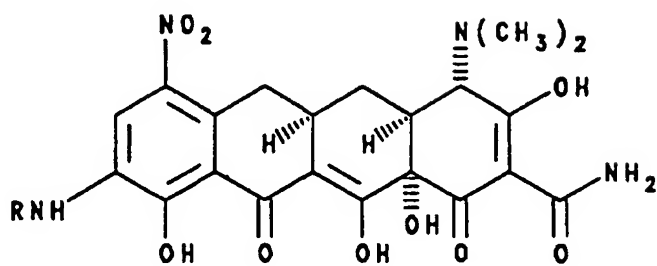


according to Claim 1, wherein X is a halogen, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

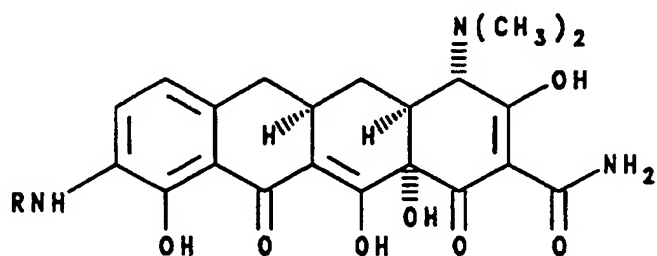


with a halogenating agent.

15. A method of producing a compound of the formula:

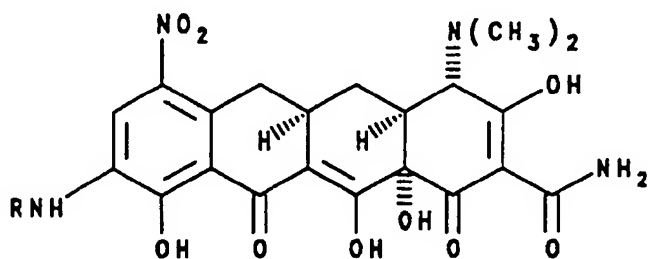


according to Claim 6, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

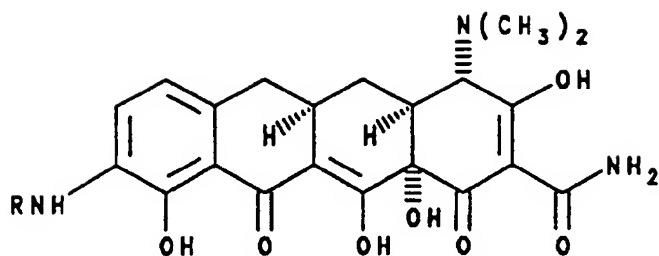


with a metal nitrate and a strong acid.

16. A method of producing a compound of the formula:

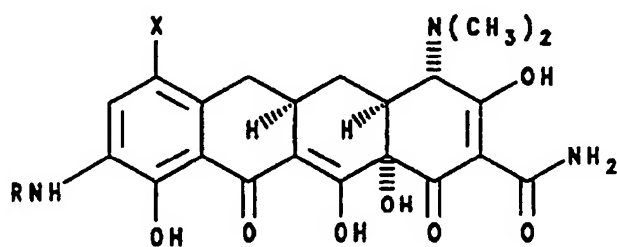


according to Claim 6, which comprises reacting a compound of the formula:

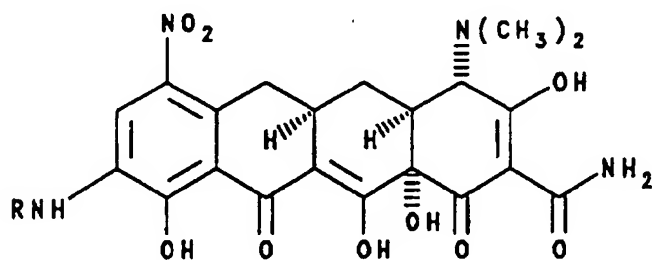


with nitric acid and a strong acid.

15 17. A method of producing a compound of the formula:

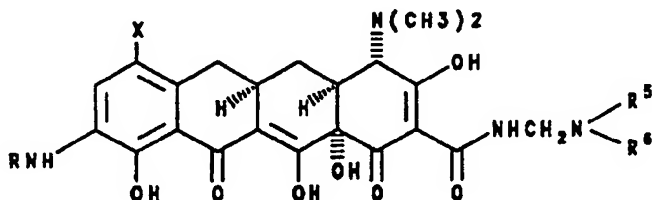


according to Claim 1, wherein $X = NR^1R^2$, which comprises reacting a compound of the formula:

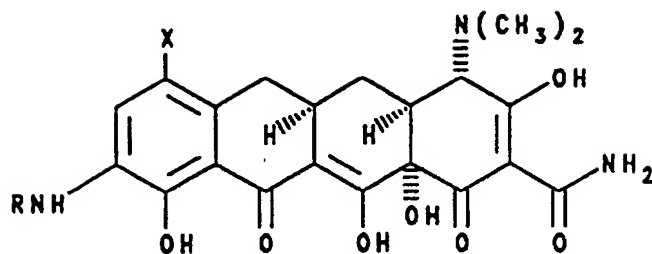


according to Claim 6 with the appropriate (C_1 - C_4) straight or branched aldehyde or ketone in the presence of an acid and hydrogen.

45 18. A method of producing a compound of the formula:



according to Claim 1, wherein $X = NR^1R^2$ or halogen, which comprises reacting a 9-(substituted amino)-7-(halo or substituted amino)-6-demethyl-6-deoxytetracycline of the formula:



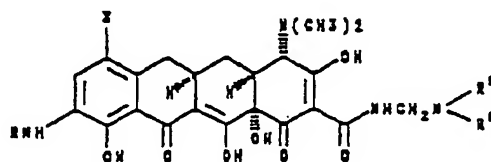
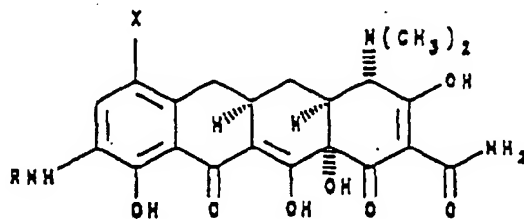
according to Claim 1 with a primary or secondary amine in the presence of formaldehyde.

19. A method for the prevention, treatment or control of bacterial infections in warm-blooded animals which comprises administering to said animal a pharmacologically effective amount of a compound according to Claim 1.

20. A pharmaceutical composition of matter comprising a compound according to Claim 1 in association with a pharmaceutically acceptable carrier.

Claims for the following Contracting States : ES, GR

1. A method of producing a compound of the formula:



wherein:

X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;

and when $\text{X} = \text{NR}^1\text{R}^2$ and $\text{R}^1 = \text{hydrogen}$,

$\text{R}^2 = \text{methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl}$;

and when $\text{R}^1 = \text{methyl or ethyl}$,

$\text{R}^2 = \text{methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{n-propyl}$,

$\text{R}^2 = \text{n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{1-methylethyl}$,

$\text{R}^2 = \text{n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{n-butyl}$,

$\text{R}^2 = \text{n-butyl, 1-methylpropyl or 2-methylpropyl}$;

and when $\text{R}^1 = \text{1-methylpropyl}$,

$\text{R}^2 = \text{2-methylpropyl}$;

R is selected from $\text{R}^4(\text{CH}_2)_n\text{CO-}$ or $\text{R}^4'(\text{CH}_2)_n\text{SO}_2^-$;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or (C_1-C_3) acyl); (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) -alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α -amino- (C_1-C_4) alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy (C_2-C_4) -alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy (C_1-C_3) alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; α -mercapto (C_1-C_3) alkyl group selected from mercaptomethyl, α -mercaptoethyl, α -mercapto-1-methylethyl or α -mercaptopropyl; halo- (C_1-C_3) alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



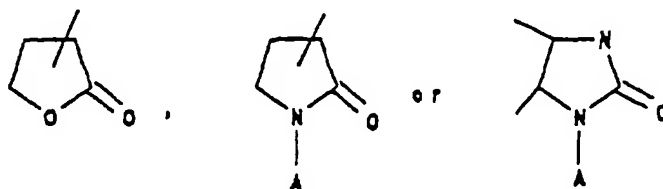
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



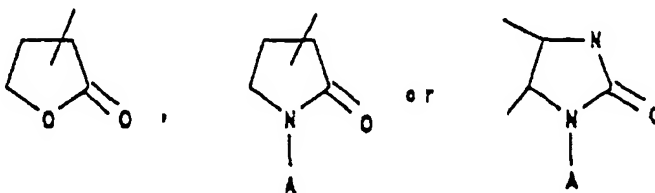
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



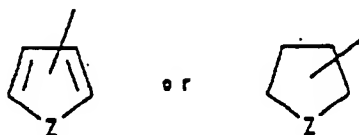
Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic

or saturated ring with, one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], or O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4, R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted(C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group; acyloxy or haloacyloxy group selected from acetyloxy; propionyloxy, chloroacetyloxy, trichloroacetyloxy, (C₃-C₆)cycloalkylcarbonyloxy, (C₆-C₁₀)aroyloxy selected from benzoyloxy or naphthoyloxy, halo substituted (C₆-C₁₀)aroyloxy, (C₁-C₄)alkylbenzoyloxy, or (heterocycle)-carbonyloxy, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



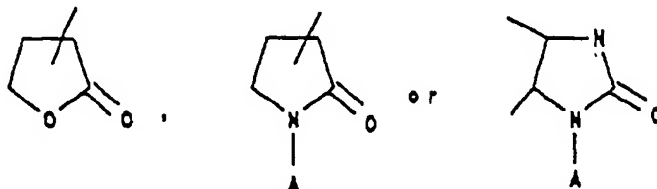
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



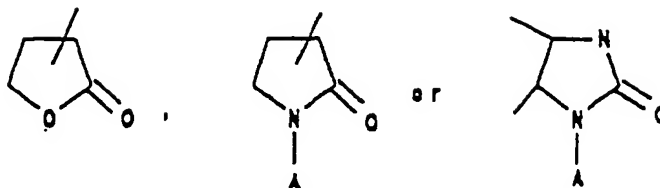
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C₂-C₅)azacycloalkyl group; carboxy(C₂-C₄) alkylamino group selected from aminoacetic acid, α-aminopropionic acid, α-aminobutyric acid and their optical isomers; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



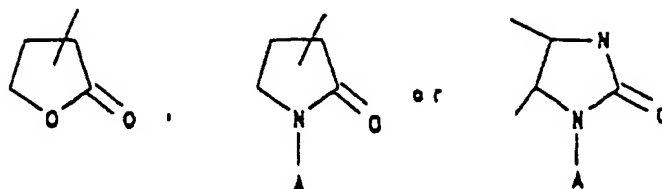
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^aR^b-amino (C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

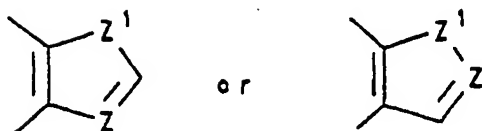
and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



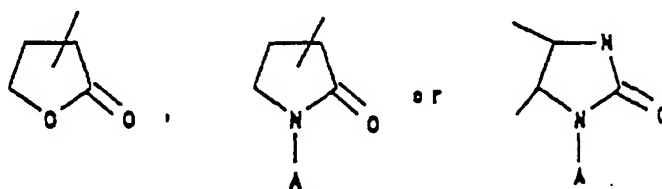
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; R^aR^b amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nSO₂- and n=1-4,

R⁴ is selected from hydrogen; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)carboxyalkyl group; (C₃-C₆) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀) aralkyloxy group; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₉)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



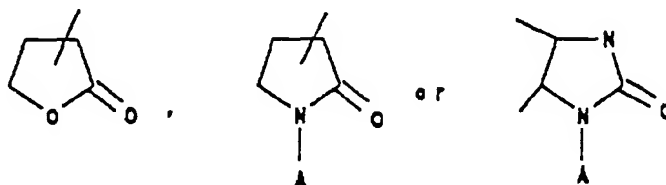
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



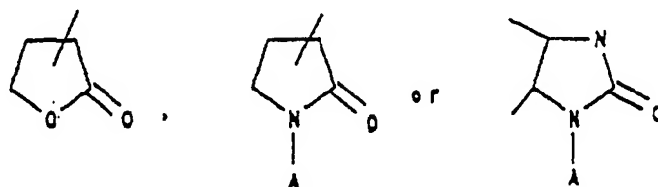
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄) alkoxy, trihalo (C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

50 R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



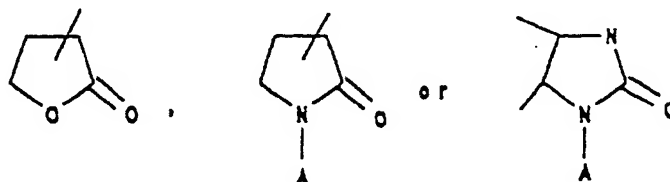
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

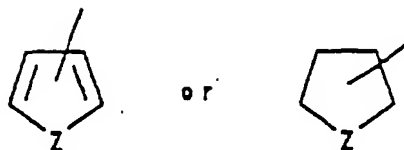
or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



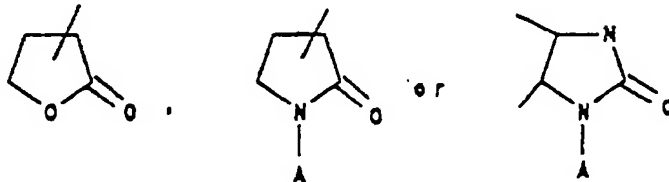
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:

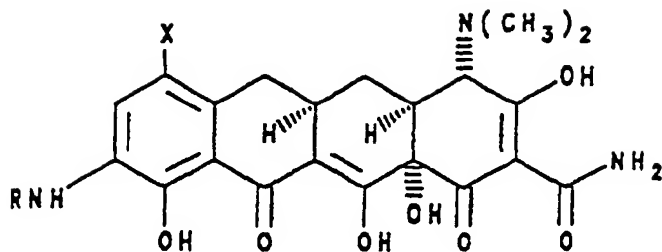


40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOP⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

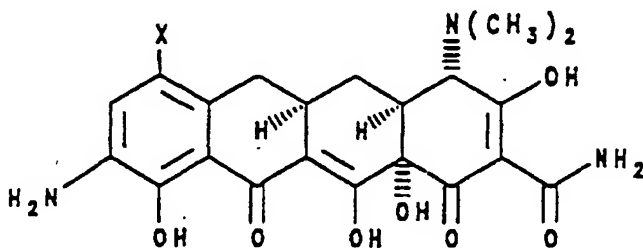
45 or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes;

50 said method comprising one of the following steps (a) to (c):

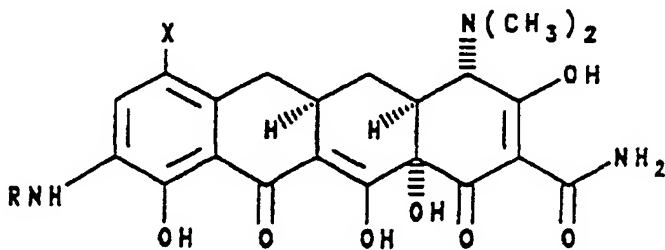
(a) the step of producing a compound of the formula:



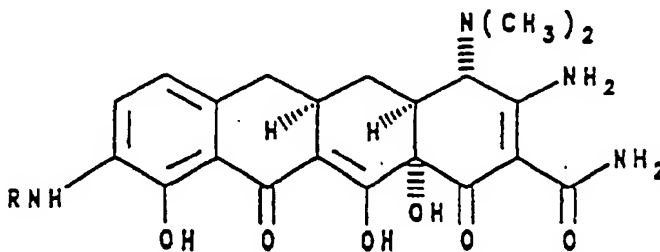
as defined above, wherein $X = NR^1R^2$, which comprises reacting a 9-amino-7-(substituted amino)-6-demethyl-6-deoxytetracycline of the formula:



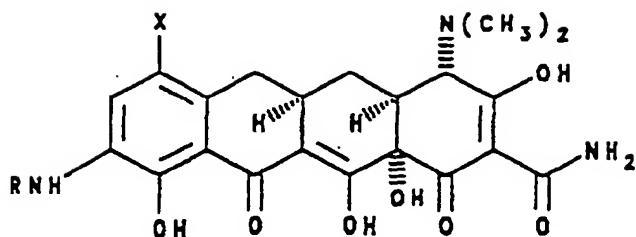
with an acyl halide of the formula R-halide, an acylanhydride of the formula R-anhydride, a mixed acyl anhydride of the formula R-anhydride, a sulfonyl halide of the formula R-halide, or a sulfonyl anhydride of the formula R-anhydride in the presence of a suitable acid scavenger in a suitable solvent;
(b) the step of producing a compound of the formula:



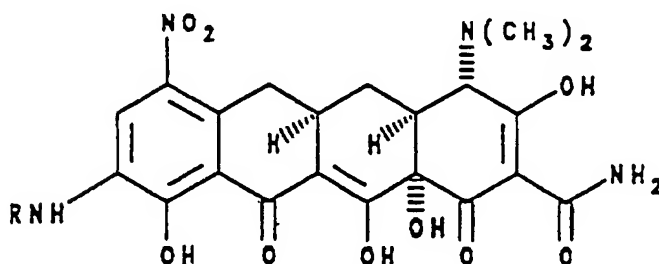
as defined above, wherein X is a halogen, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:



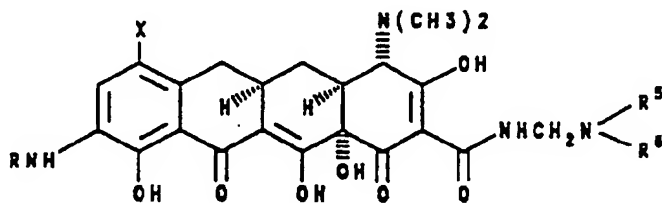
with a halogenating agent;
(c) the step of producing a compound of the formula:



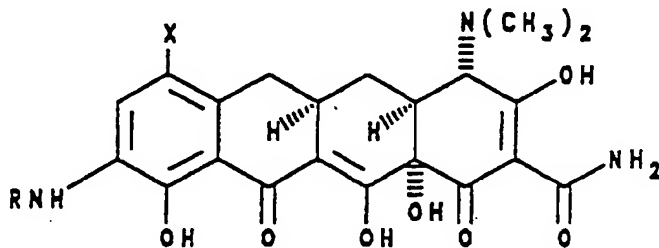
as defined above, wherein $X = NR^1R^2$, which comprises reacting a compound of the formula:



wherein R is as defined above with the appropriate (C_1 - C_4) straight or branched aldehyde or ketone in the presence of an acid and hydrogen;
and optionally comprising a step of producing a compound of the formula:



as defined above, wherein $X = NR^1R^2$ or halogen, which comprises reacting a 9-(substituted amino)-7-(halo or substituted amino)-6-demethyl-6-deoxytetracycline of the formula:



as defined above with a primary or secondary amine in the presence of formaldehyde.

2. The method according to Claim 1, wherein:
X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine;
and when $X = NR^1R^2$ and $R^1 = \text{hydrogen}$,

R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R^1 = methyl or ethyl,

R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from $R^4(CH_2)_nCO-$ or $R^4(CH_2)_nSO_2-$;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C_1-C_6)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C_3-C_6)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6)cycloalkyl group (substitution selected from (C_1-C_3)alkyl, cyano, amino or (C_1-C_3)acyl); (C_6-C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10})aryl group (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); α -amino(C_1-C_4)alkyl group selected from aminomethyl, α -aminoethyl, α -aminopropyl or α -aminobutyl; carboxy(C_2-C_4)alkylamino group selected from aminoacetic acid, α -aminobutyric acid or α -aminopropionic acid and their optical isomers; (C_7-C_9)aralkylamino group; (C_1-C_4)alkoxycarbonylamino substituted (C_1-C_4)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α -hydroxy(C_1-C_3)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1-C_3)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



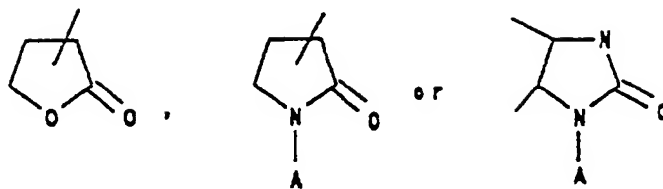
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆) cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



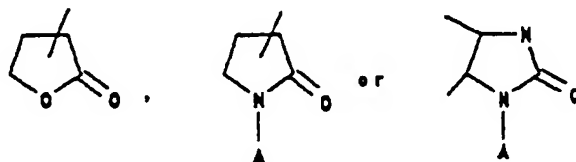
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected

from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)
 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring
 with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group
 selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched bu-
 toxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group,
 halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)-aryl group (sub-
 stitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-
 C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic
 or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from
 halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or
 substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from
 phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-
 C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b
 is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB
 [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched
 (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl,
 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N
 (C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;
 and when R = R⁴(CH₂)_nCO- and n=1-4,
 R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino;
 monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino,
 benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)
 amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-tri-
 azolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substi-
 tution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)
 alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl,
 (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-
 C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated
 ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

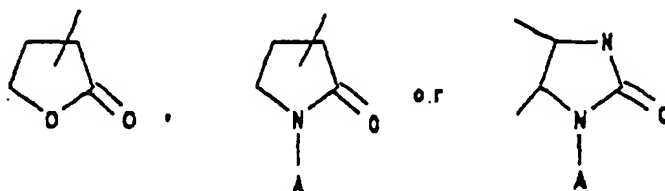
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:



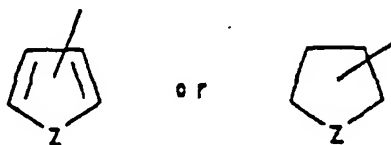
$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂-wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



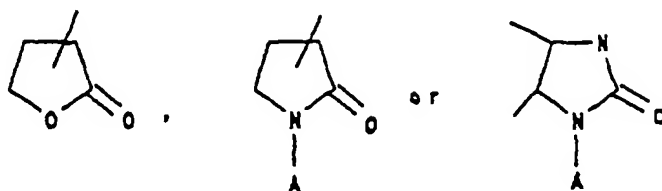
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido fused thereto:



$Z \text{ or } Z^1 = \text{N, O, S or Se}$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



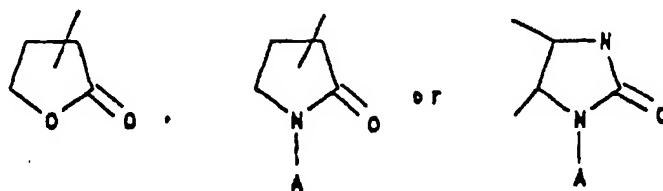
$Z = \text{N, O, S or Se}$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4 (CH_2)_n SO_2^-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



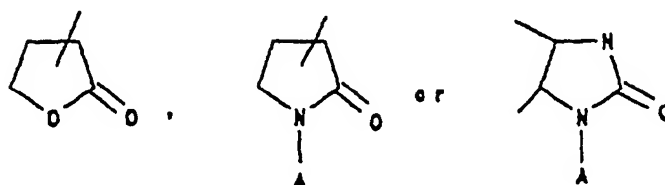
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^4(CH_2)_nSO_2^-$ and $n = 1-4$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₄)carboxyalkyl group;

R^5 is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



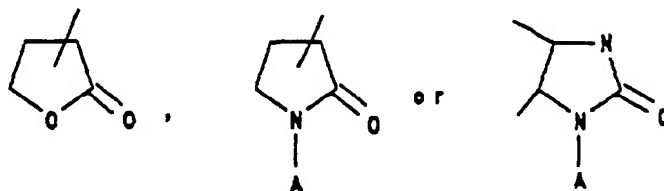
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



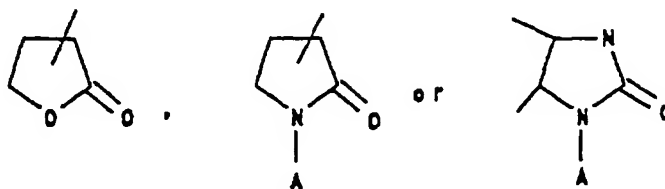
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

3. The method according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino-(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)-alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from

hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



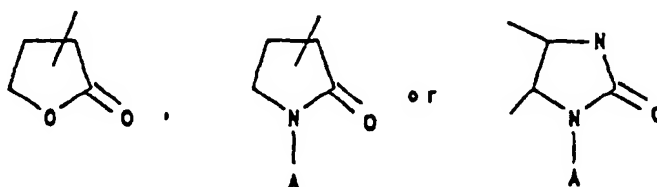
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



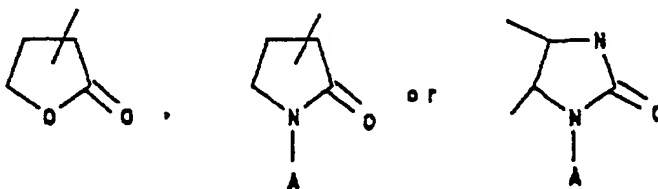
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z' = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



40 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

50

55



Z - N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aryloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



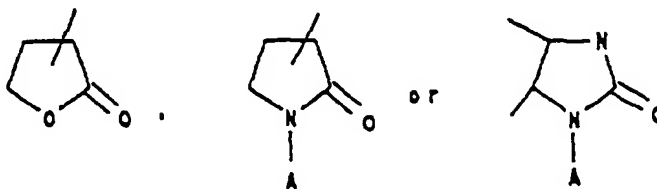
Z - N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or

(C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



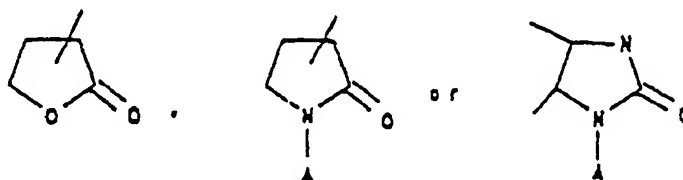
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo-(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

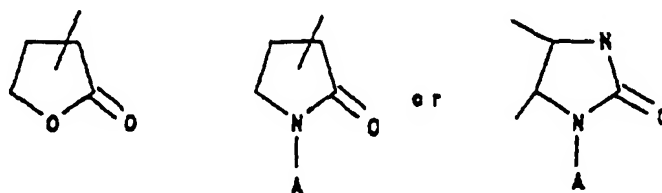


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$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

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a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



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(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

35

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀) aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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$Z = N, O, S \text{ or } Se$

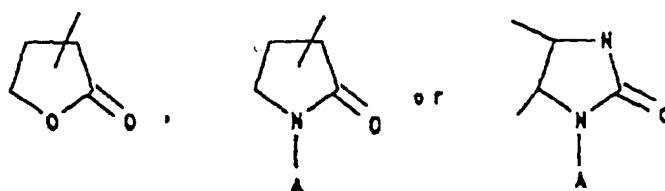
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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 1-4$,

R^4 is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^b amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, $n=2-6$, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, $n=2-6$, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

R^5 is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



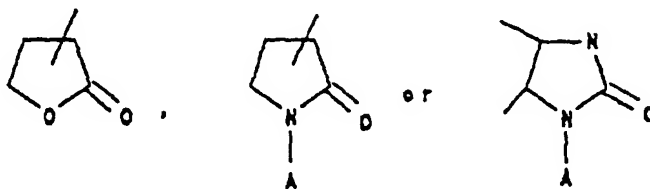
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl, substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl:

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



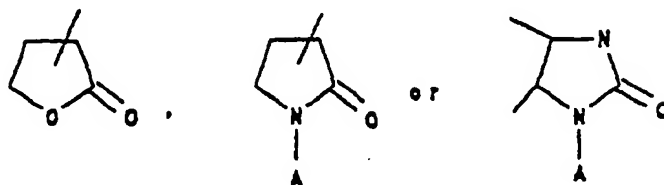
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z^1 = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aryl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen; or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

4. The method according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

and when X = NR¹R² and R¹ = hydrogen,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;

and when R¹ = methyl or ethyl,

R² = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl;

R is selected from R⁴(CH₂)_nCO- or R⁴′(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl-ethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



10

$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

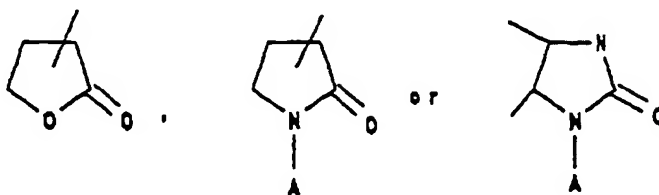


20

$Z \text{ or } Z' = N, O, S \text{ or } Se$

25

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



35

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

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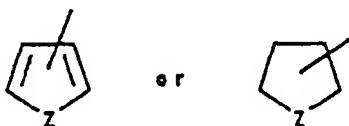
or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4, R⁴ is selected from hydrogen; (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto;



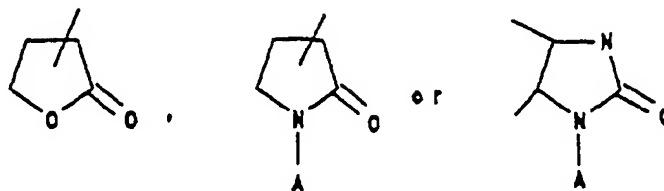
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methyl-ethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



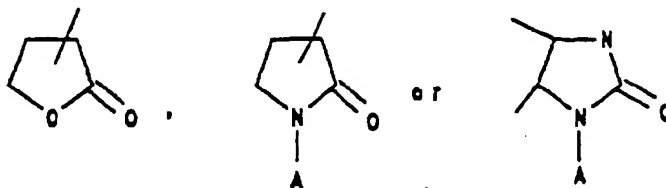
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R^{4'} (CH₂)_nSO₂- and n= 1-4,

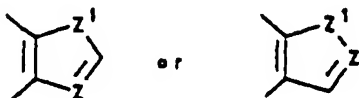
R^{4'} is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



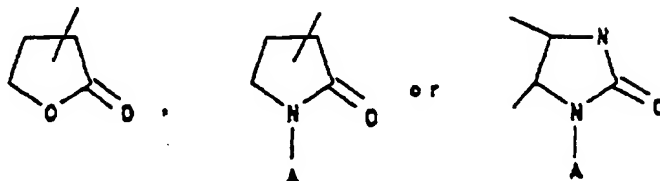
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



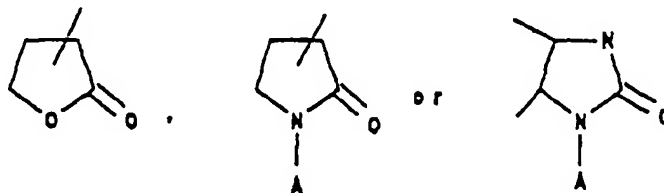
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

5. The method according to Claim 1, wherein:

X is selected from amino, NR¹R², or halogen;

the halogen is selected from bromine, chlorine, fluorine or iodine;

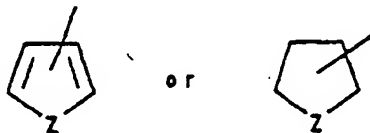
and when X = NR¹R² and R¹ = methyl or ethyl,

R² = methyl or ethyl,

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

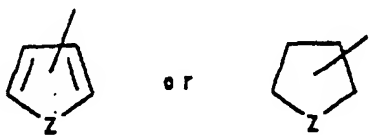
and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



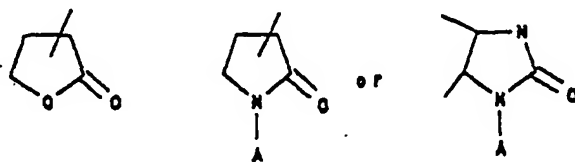
$Z = N, O \text{ or } S$

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z = N, O \text{ or } S$

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl)

(C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo- (C_1-C_3) alkyl group]; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) alkyl); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) -alkyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^b aminooxy group, wherein R^aR^b is a straight or branched (C_1-C_4) -alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl; and when $R = R^4(CH_2)_nCO-$ and $n=1-4$,

R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C_6-C_{10}) -aryl group selected

from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, amino, (C_1 - C_4)alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C_1 - C_4)alkoxy group; R^aR^b amino(C_1 - C_4)alkoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH_2)_n, n=2-6, or $-(CH_2)_2W(CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH_2)_n, n=2-6, or $-(CH_2)_2W-(CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)$ -alkyl [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; halo (C_1 - C_3)-alkyl group; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 0$,

R^4 is selected from straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl; (C_6 - C_{10})aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6 - C_{10})aryl group, (substitution selected from halo, (C_1 - C_4)alkoxy, nitro, (C_1 - C_4)alkoxycarbonyl); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O \text{ or } S$

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O \text{ or } S$

and when $R = R^4(CH_2)_nSO_2-$ and $n = 1-4$,

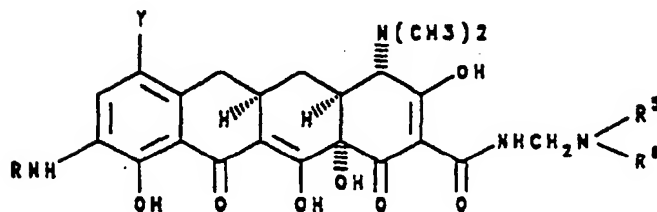
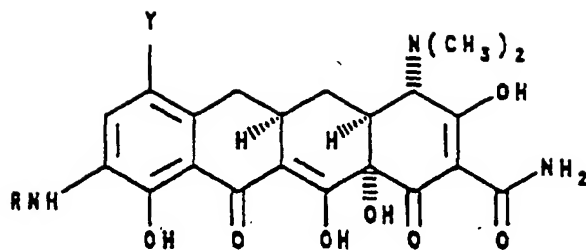
R^4 is selected from hydrogen, straight or branched (C_1 - C_2)alkyl group selected from methyl or ethyl;

R^5 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

R^6 is selected from hydrogen; straight or branched (C_1 - C_3)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R^5 and R^6 cannot both be hydrogen;

or R^5 and R^6 taken together are $-(CH_2)_2W(CH_2)_2-$, wherein W is selected from (CH_2)_n and $n=0-1$, $-NH$, $-N(C_1-C_3)$ -alkyl [straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

6. A method of producing a compound of the formula:



wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; α-amino(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; α-mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, α-mercaptoethyl, α-mercapto-1-methylethyl or α-mercaptopropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

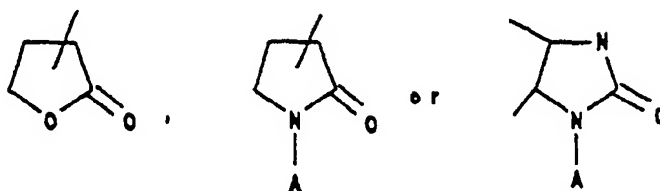


10

$Z \text{ or } Z' = N, O, S \text{ or } Se$

15

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



25

(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



40

$Z = N, O, S \text{ or } Se$

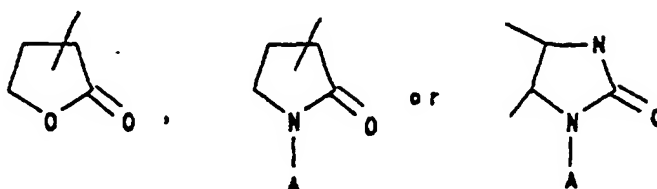
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or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^b amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -

N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;
and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; amino; straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted(C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group; acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



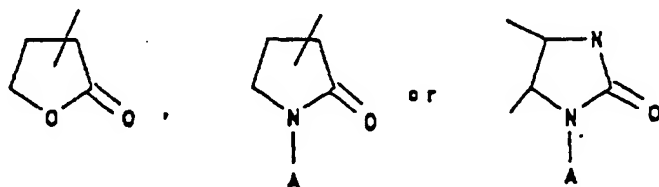
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₈)aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



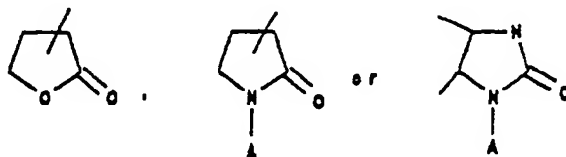
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C₂-C₅)azacycloalkyl group; carboxy(C₂-C₄)

alkylamino group selected from aminoacetic acid, α -aminopropionic acid, α -aminobutyric acid and their optical isomers; α -hydroxy(C_1 - C_3)alkyl group selected from hydroxy- methyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C_1 - C_3)-alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3 - C_6)-cycloalkylcarbonyl, (C_6 - C_{10})aroyl selected from benzoyl or naphthoyl, halo substituted (C_6 - C_{10})aroyl, (C_1 - C_4)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



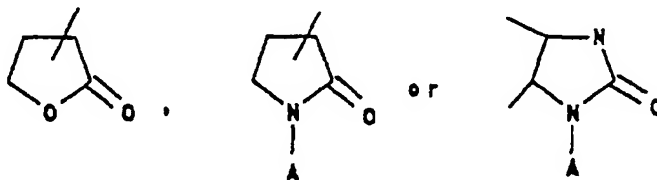
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1 - C_4)alkoxy, trihalo(C_1 - C_3)-alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1 - C_3)alkylamino or carboxy); (C_7 - C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1 - C_4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1 - C_4)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; $R^a R^b$ -amino (C_1 - C_4)alkoxy group, wherein $R^a R^b$ is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$, $n=2-6$, or $-(CH_2)_2 W (CH_2)_2-$ wherein W is selected from -N(C_1 - C_3)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C_1 - C_3)alkyl], O or S; or $R^a R^b$ aminoxy group, wherein $R^a R^b$ is a straight or branched (C_1 - C_4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or $R^a R^b$ is $(CH_2)_n$,

$n=2-6$, or $-(CH_2)_2W(CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)\text{-alkyl}$ [straight or branched], $-NH$, $-NOB$ [B is selected from hydrogen or $(C_1-C_3)\text{-alkyl}$], O or S;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 0$,

R^4 is selected from amino; monosubstituted amino selected from straight or branched $(C_1-C_6)\text{-alkylamino}$, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched $(C_1-C_4)\text{-alkyl}$ group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; $(C_3-C_6)\text{-cycloalkyl}$ group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted $(C_3-C_6)\text{-cycloalkyl}$ group (substitution selected from $(C_1-C_3)\text{-alkyl}$, cyano, amino or $(C_1-C_3)\text{-acyl}$); $(C_6-C_{10})\text{-aryl}$ group selected from phenyl, α -naphthyl or β -naphthyl; substituted $(C_6-C_{10})\text{-aryl}$ group (substitution selected from halo, $(C_1-C_4)\text{-alkoxy}$, trihalo $(C_1-C_3)\text{-alkyl}$, nitro, amino, cyano, $(C_1-C_4)\text{-alkoxycarbonyl}$, $(C_1-C_3)\text{-alkylamino}$ or carboxy); $(C_7-C_9)\text{-aralkyl}$ group; halo $(C_1-C_3)\text{-alkyl}$ group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



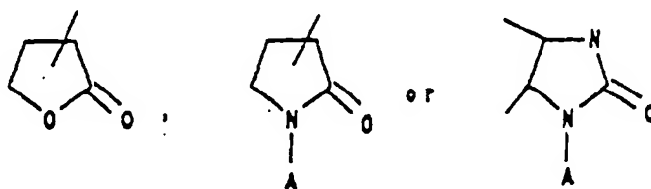
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched $(C_1-C_4)\text{-alkyl}$; $C_6\text{-aryl}$; substituted $C_6\text{-aryl}$ (substitution selected from halo, $(C_1-C_4)\text{-alkoxy}$, trihalo $(C_1-C_3)\text{-alkyl}$, nitro, amino, cyano, $(C_1-C_4)\text{-alkoxycarbonyl}$, $(C_1-C_3)\text{-alkylamino}$ or carboxy); $(C_7-C_9)\text{-aralkyl}$ group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; $R^aR^b\text{-amino}$ $(C_1-C_4)\text{-alkoxy}$

group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S;

and when $R = R^4(CH_2)_nSO_2-$ and n=1-4,

R^4 is selected from hydrogen; straight or branched (C₁-C₄)-alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₁-C₄)-carboxyalkyl group; (C₃-C₆)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)-cycloalkyl group (substitution selected from (C₁-C₃)-alkyl, cyano, amino or (C₁-C₃)-acyl); (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); (C₇-C₉)-aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C₁-C₄)-alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₁₀)-aralkyloxy group; R^aR^b amino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; (C₁-C₃)-alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₃)-alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)-alkylamino); (C₇-C₉)-aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se

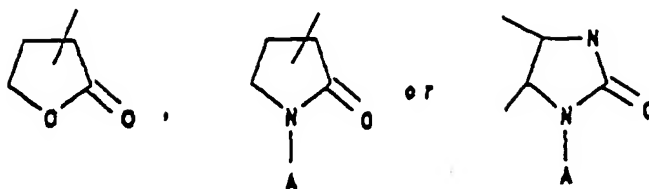
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O het-

eroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C₁-C₆)-alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



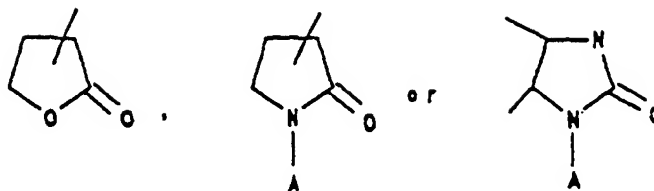
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



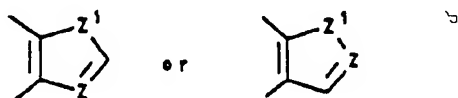
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



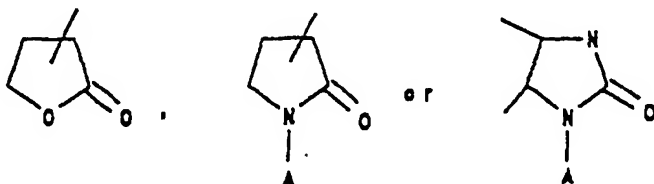
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z¹ = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where $n=0-4$ and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



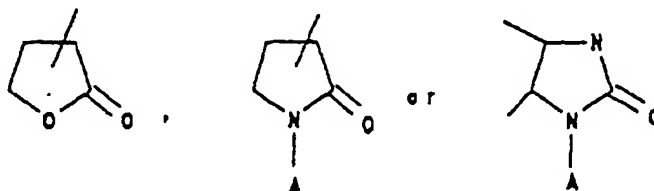
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



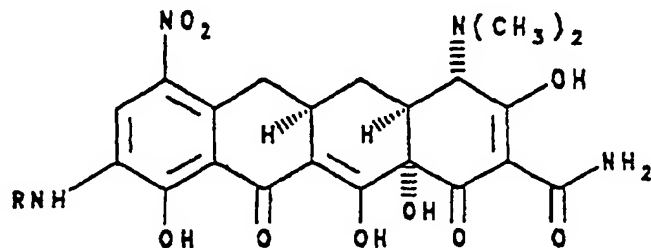
(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or $-(CH_2)_nCOOR^7$ where $n=0-4$ and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

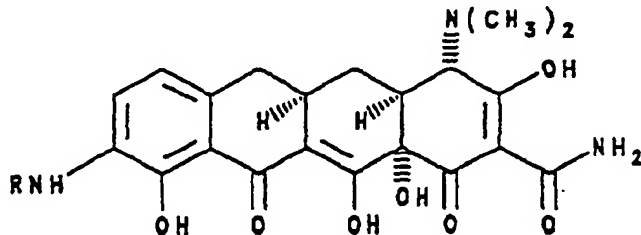
or R⁵ and R⁶ taken together are $-(CH_2)_2W(CH_2)_2-$, wherein W is selected from (CH₂)_n and $n=0-1$, -NH-, -N(C₁-C₃)-

alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes; said method comprising one of the following steps (d) or (e)

(d) the step of producing a compound of the formula:

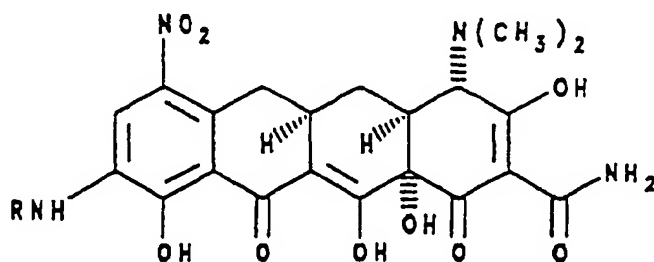


as defined above, which comprises reacting a 9-(acyl or sulfonylamino)-6-demethyl-6-deoxytetracycline of the formula:

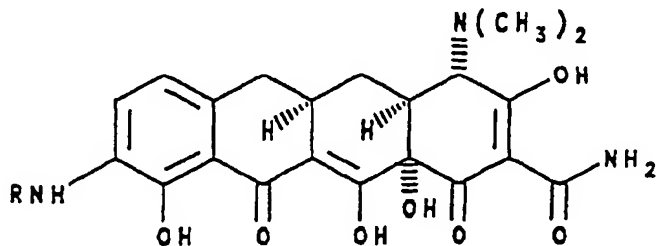


with a metal nitrate and a strong acid; or

(e) the step of producing a compound of the formula:



as defined above which comprises reacting a compound of the formula:



with nitric acid and a strong acid.

7. The method according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidiny, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; (C₃-C₆)-cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



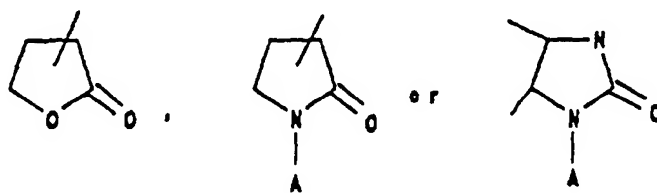
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



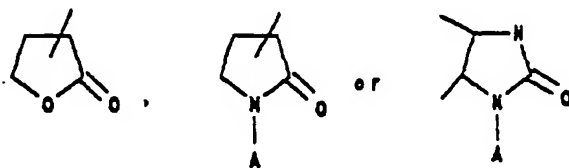
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or

saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



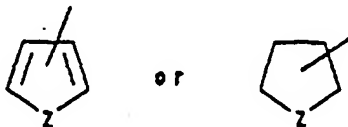
10 $Z = N, O, S \text{ or } Se$

];

15 (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

25 and when R = R⁴(CH₂)_nCO and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)-cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)-alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



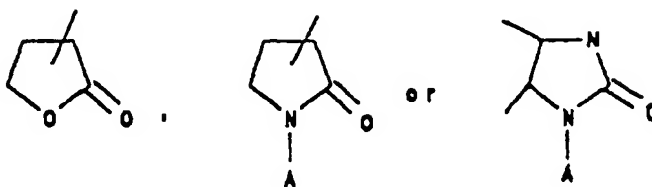
45 $Z = N, O, S \text{ or } Se$

50 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl: substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched(C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo-(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring, fused thereto:



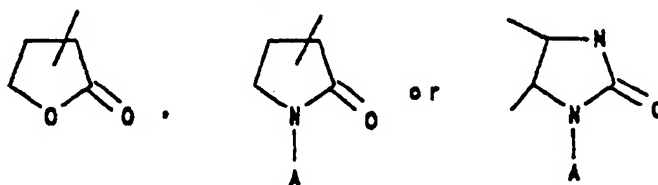
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido fused thereto:



10
 Z or Z¹ = N, O, S or Se

15
 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



25
 (A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

30
 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo (C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



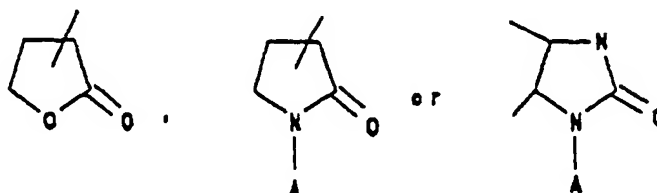
45
 Z = N, O, S or Se

50
 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when $R = R^4(CH_2)_nSO_2^-$ and $n = O$,

R^4 is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



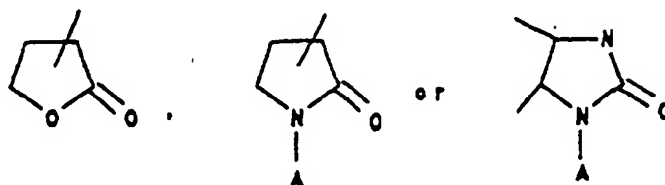
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z \text{ or } Z^1 = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when $R = R^4(CH_2)_nSO_2-$ and $n = 1-4$,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₁-C₄)-alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; (C₁-C₄)carboxyalkyl group;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

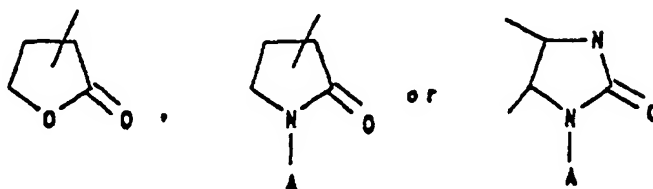
or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring

fused thereto:



$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

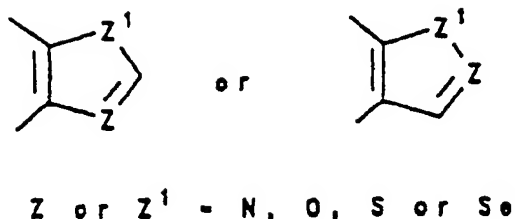
or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)-aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

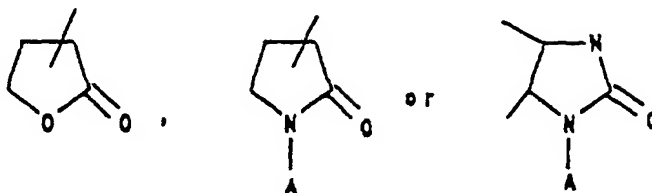


$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

8. The method according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R⁴(CH₂)_nCO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); α-amino-(C₁-C₄)alkyl group selected from aminomethyl, α-aminoethyl, α-aminopropyl or α-aminobutyl; carboxy(C₂-C₄)-alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; (C₇-C₉)aralkylamino group; (C₁-C₄)-alkoxycarbonylamino substituted (C₁-C₄)alkyl group, substitution selected from phenyl or p-hydroxyphenyl; α-hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



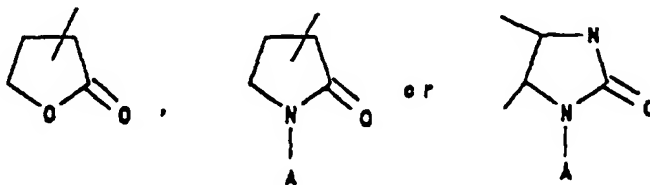
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)-carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



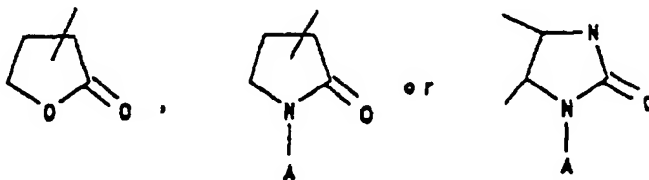
10 $Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



20 $Z \text{ or } Z' = N, O, S \text{ or } Se$

25 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

35 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl, β-naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo(C₁-C₃)-alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

40

45



$Z = N, O, S \text{ or } Se$

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^bamino group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB (B is selected from hydrogen or (C₁-C₃)alkyl], O or S;

and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl) amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto;



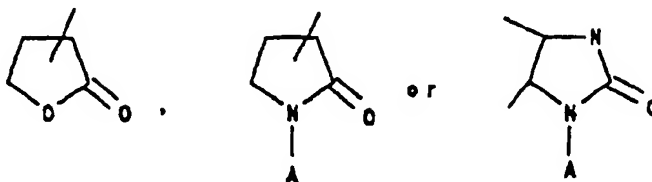
$Z = N, O, S \text{ or } Se$

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



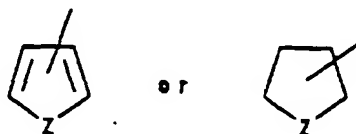
$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; (C₁-C₃)alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C₆-arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); C₆-arylsulfonyl group selected from phenylsulfonyl or substituted phenylsulfonyl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



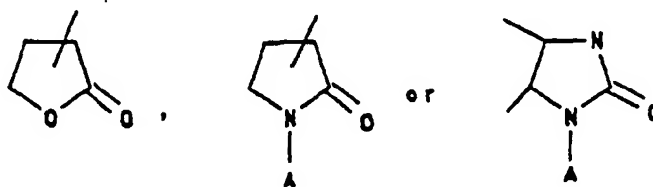
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group; α -hydroxy (C₁-C₃)alkyl group selected from hydroxymethyl, α -hydroxyethyl or α -hydroxy-1-methylethyl or α -hydroxypropyl; halo(C₁-C₃)alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)-aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



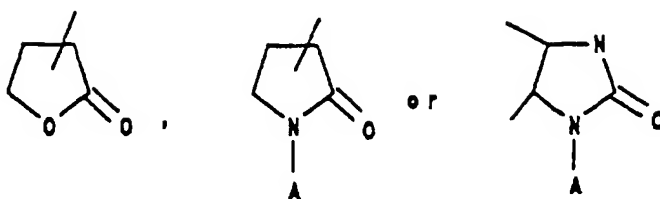
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R⁴ is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



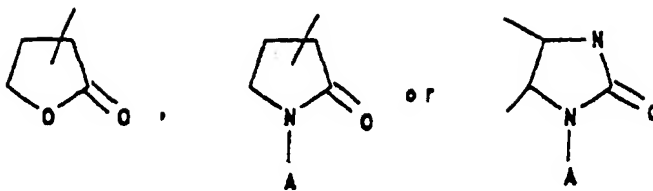
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R⁴(CH₂)_nSO₂⁻ and n = 1-4,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



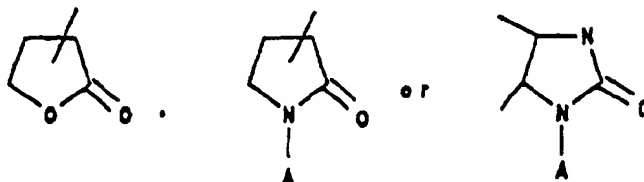
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



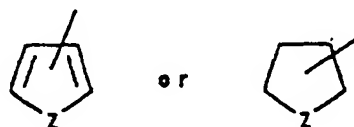
Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



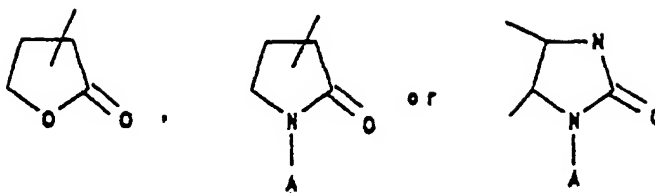
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

9. The method according to Claim 6, wherein:

Y is NO₂;

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when R = R (CH₂)_n CO- and n=0,

R⁴ is selected from hydrogen; amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); carboxy(C₂-C₄)alkylamino group selected from aminoacetic acid, α-aminobutyric acid or α-aminopropionic acid and their optical isomers; α-hydroxy-(C₁-C₃)alkyl group selected from hydroxymethyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



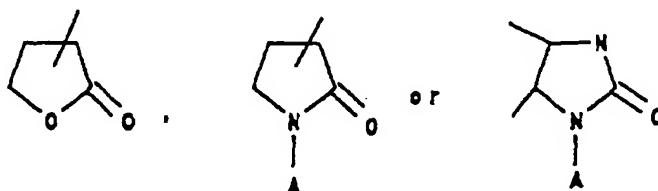
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



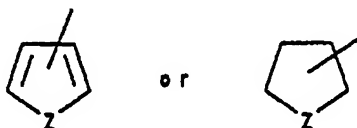
$Z \text{ or } Z' = N, O, S \text{ or } Se$

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from (C₁-C₃)alkyl group, halogen, (C₆-C₁₀) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C₆-C₁₀)aryl group (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy), halo-(C₁-C₃)alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



$Z = N, O, S \text{ or } Se$

];

(C₁-C₄)alkoxy group; C₆-aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C₁-C₄)alkyl, cyano, carboxy, or (C₆-C₁₀)aryl selected from phenyl, α -naphthyl or β -naphthyl); R^aR^bamino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)alkyl], O or S; and when R = R⁴(CH₂)_nCO- and n=1-4,

R⁴ is selected from hydrogen; (C₁-C₄)alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl; amino; monosubstituted amino selected from straight or branched (C₁-C₆) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); (C₆-C₁₀)aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C₆-C₁₀)aroyl selected from benzoyl or naphthoyl, halo substituted (C₆-C₁₀)aroyl, (C₁-C₄)alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



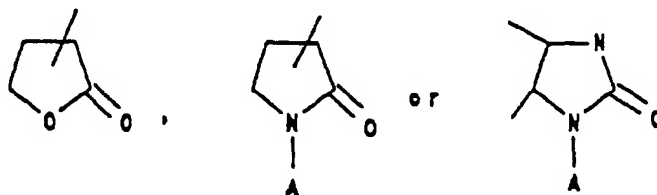
Z = N, O, S or Se

a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C₁-C₄)alkoxy group; R^aR^b-amino(C₁-C₄)alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂-

wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; α-hydroxy(C₁-C₃)-alkyl group selected from hydroxy-methyl, α-hydroxyethyl or α-hydroxy-1-methylethyl or α-hydroxypropyl; halo(C₁-C₃)-alkyl group; (C₁-C₄)-alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴ (CH₂)_nSO₂- and n = 0,

R is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)-alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C₁-C₂)-alkyl group selected from methyl or ethyl; (C₆-C₁₀)-aryl group selected from phenyl, α-naphthyl or β-naphthyl; substituted (C₆-C₁₀)-aryl group (substitution selected from halo, (C₁-C₄)-alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-alkoxycarbonyl, (C₁-C₃)-alkylamino or carboxy); a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



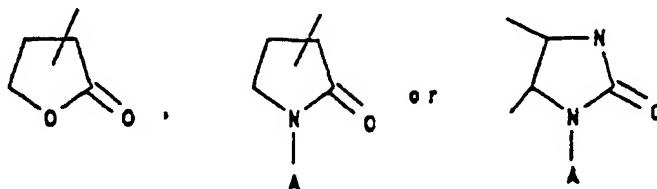
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom;

and when R = R⁴(CH₂)_nSO₂- and n = 1-4,

R⁴ is selected from hydrogen; straight or branched (C₁-C₂)alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀) aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



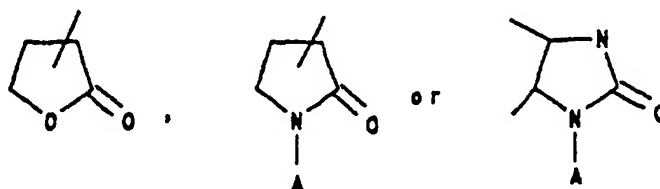
Z = N, O, S or Se

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O, S or Se

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl) or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or -(CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C₆-C₁₀)aryl group selected from phenyl, α-naphthyl or β-naphthyl; (C₇-C₉)aralkyl group; a hetero-

cycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



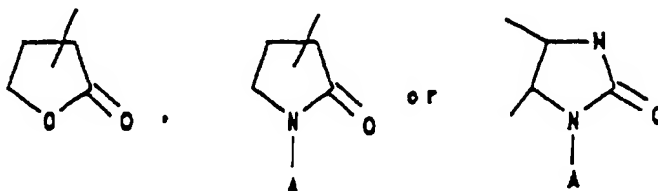
10 $Z = N, O, S \text{ or } Se$

15 or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



25 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$

30 or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

45 or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; or (CH₂)_nCOOR⁷ where n=0-4 and R⁷ is selected from hydrogen; straight or branched (C₁-C₃)alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C₆-C₁₀)aryl selected from phenyl, α-naphthyl or β-naphthyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

50 or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein W is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

55 10. The method according to Claim 6, wherein:

Y is NO₂,

R is selected from R⁴(CH₂)_nCO- or R⁴(CH₂)_nSO₂-;

and when $R = R^4(CH_2)_nCO-$ and $n=0$,

R^4 is selected from hydrogen; straight or branched (C_1-C_2) alkyl group selected from methyl or ethyl; (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, nitro, amino, or (C_1-C_2) alkoxycarbonyl; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O or S heteroatom optionally having a benzo or pyrido ring fused thereto:



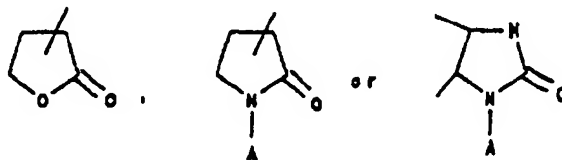
$Z = N, O \text{ or } S$

or a five membered aromatic ring with two N, O or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



$Z = N, O \text{ or } S$

or a five membered saturated ring with one or two N, O or S heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_2) alkyl; C_6 -aryl)

(C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group, [substitution selected from (C_1-C_2) alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, α -naphthyl, β -naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, (C_1-C_4) alkoxycarbonyl, halo (C_1-C_3) alkyl group); (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy, (substitution selected from halo, (C_1-C_4) -alkyl); (C_7-C_{10}) aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_2) alkyl; R^aR^b amino (C_1-C_4) alkoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl; or R^aR^b aminoxy group, wherein R^aR^b is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl;

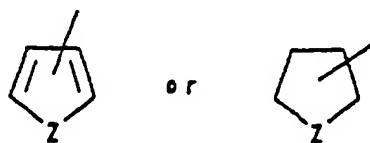
and when $R = R^4(CH_2)_nCO-$ and $n=1-4$,

R^4 is selected from hydrogen; (C_1-C_2) alkyl group selected from methyl or ethyl; amino; monosubstituted amino selected from straight or branched (C_1-C_6) alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phe-

nylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl or 1-(1,2,3-triazolyl); (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group, (substitution selected from halo, (C₁-C₄)-alkoxy, nitro, amino, (C₁-C₄)-alkoxycarbonyl); acyloxy or haloacyloxy group selected from acetyl, propionyl or chloroacetyl; (C₁-C₄)-alkoxy group; R^aR^bamino(C₁-C₄)-alkoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; or R^aR^baminoxy group, wherein R^aR^b is a straight or branched (C₁-C₄)-alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is (CH₂)_n, n=2-6, or -(CH₂)₂W-(CH₂)₂- wherein W is selected from -N(C₁-C₃)-alkyl [straight or branched], -NH-, -NOB [B is selected from hydrogen or (C₁-C₃)-alkyl], O or S; halo (C₁-C₃)-alkyl group; (C₁-C₄)-alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino;

and when R = R⁴(CH₂)_nSO₂⁻ and n = 0,

R⁴ is selected from straight or branched (C₁-C₂)-alkyl group selected from methyl or ethyl; (C₆-C₁₀)-aryl group selected from phenyl, α -naphthyl or β -naphthyl; substituted (C₆-C₁₀)-aryl group, (substitution selected from halo, (C₁-C₄)-alkoxy, nitro, (C₁-C₄)-alkoxycarbonyl); a heterocycle group selected from a five membered aromatic ring with one N, O, or S heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O or S

or a five membered aromatic ring with two N, O, or S heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or Z' = N, O or S

and when R = R⁴(CH₂)_nSO₂⁻ and n = 1-4,

R⁴ is selected from hydrogen, straight or branched (C₁-C₂)-alkyl group selected from methyl or ethyl;

R⁵ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl;

R⁶ is selected from hydrogen; straight or branched (C₁-C₃)-alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; with the proviso that R⁵ and R⁶ cannot both be hydrogen;

or R⁵ and R⁶ taken together are -(CH₂)₂W(CH₂)₂-, wherein w is selected from (CH₂)_n and n=0-1, -NH-, -N(C₁-C₃)-alkyl [straight or branched], -N(C₁-C₄)-alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

11. The method according to Claim 1 for producing [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrochloride; [4S-(4 α ,12 α)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino) -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate (1:2); [4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate (1:2); [4S-(4 α ,12 α)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino) -1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(4-Bromo-1-oxobutyl) amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(Acetyloxy) acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino)-9-[(2-fluorobenzoyl) amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(3-(trifluoromethyl) benzoyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamidesulfate; [4S-(4 α ,12 α)]-4,7-Bis (dimethylamino)-9-[(4-dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7 α ,10 α)]-2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbamate 1,1-dimethylethyl ester; [4S-(4 α ,12 α)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate); [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(4-Chlorophenyl)sulfonyl]amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl] amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl) amino]-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-

octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylmethoxy)acetyl]amino]-2-naphthacenecarboxamide; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]oxoacetic acid ethyl ester; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)-amino]-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(methylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(acetyl)-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide sulfate; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-9-[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[3-(trifluoromethyl)benzoyl]amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(4-dimethylamino)benzoyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [7S-(7 α ,10 α)]-2-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester hydrochloride; [4S-(4 α ,12 α)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(phenylmethoxy)acetyl]-amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(acetyl)-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester sulfate; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid (2-diethylamino)ethyl ester hydrochloride; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester sulfate; [7S-(7 α ,10 α)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4 α ,12 α)]-4,7-Bis(dimethylamino)-9-(chloroacetyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4 α ,12 α)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxam-

ide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5, 5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide (free base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide monohydrobromide; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacene- carboxamide hydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)- 1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrobro- mide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahy- droxy-9-[[[(methylamino)acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahy- droxy-10,12-dioxo-2-naphthacenyl]-4-morpholineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-9-[[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy- 1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[[(Cyclopropylamino)acetyl] amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naph- thacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[(butylamino)acetyl] amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihy- drochloride; [4S-(4alpha, 12aalpha)]-9-[[[(Diethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S- (7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a, 11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]- 4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(2-methylpropyl)amino] acetyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarb- onyl) -4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naph- thacenyl]-1-piperidineacetamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethyl- amino)-5,5a,6,6a,7,10, 10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole- 1-acetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a,6,11,12a-octahydro- 3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochlo- ride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[(dimethylamino)acetyl]amino]-1,4, 4a,5,5a,6,11,12a-oc- tahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis (dimethylamino)-9-[[[(hexylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy- 1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[2- (dimethylamino)-1-oxopropyl]amino]-1,4,-4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo- 2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,-5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naph- thacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl) -4,7-bis(dimethylamino)- 5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-1-pyrrolid- ineacetamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[4-(dimethylamino)-1-oxobutyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydro- chloride; [4S-(4alpha,12aalpha)]-9-[[[(Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S- (4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-di- oxo-9-[[[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3, 10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(phenylmethyl)amino] acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)- 4,7-bis(dimethylamino)-5,5a,6,6a,7, 10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]ami- no]-2-oxoethyl]glycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[(dimethylamino)-acetyl]amino]- 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacene- carboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-9-[[[(dimethylamino)acetyl]amino]-1,4, 4a,5,5a, 6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1, 11-dioxo-N-(4-morpholinylmethyl)-2-naphthacenecarbox amide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahy- dro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacenecarboxamide; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahy- droxy-10,12-dioxo-2-naphthacenyl]-1-azetidineacetamide; [4S-(4alpha,12aalpha)]-9-[[[(Cyclobutylamino)acetyl] amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo- 2-naphthacenecarboxamide hydrochloride.

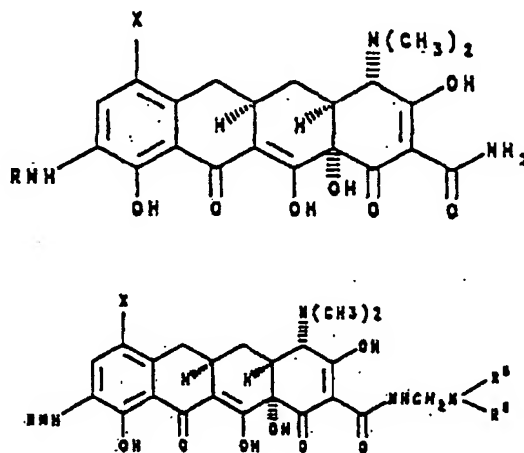
12. A method according to Claim 6 for producing [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacene-carboxamide sulfate.

13. Process for preparing a pharmaceutical composition comprising a compound obtainable by the method according to Claim 1, said process comprising the step of associating a compound as defined in Claim 1 with a pharmaceutically acceptable carrier.

Patentansprüche

Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, DK, FR, GB, IE, IT, LI, LU, NL, PT, SE

1. Verbindung der Formel:



wobei:

X ausgewählt ist aus Amino, NR¹R² oder Halogen und Halogen ausgewählt ist aus Brom, Chlor, Fluor oder Iod; und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R¹ = Methyl oder Ethyl ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = n-Propyl ist, dann ist

R² = n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = 1-Methylethyl ist, dann ist

R² = n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = n-Butyl ist, dann ist

R² = n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

und für den Fall, dass R¹ = 1-Methylpropyl ist, dann ist

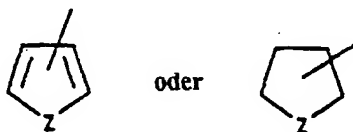
R² = 2-Methylpropyl;

R ausgewählt ist aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

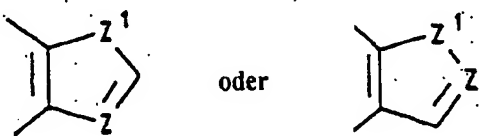
R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus

Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α -Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α -Aminobutyl; einer Carboxy-(C₂-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure und α -Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer α -Mercapto-(C₁-C₃)-alkylgruppe, ausgewählt aus Mercaptomethyl, α -Mercaptoethyl, α -Mercapto-1-methylethyl oder α -Mercaptopropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



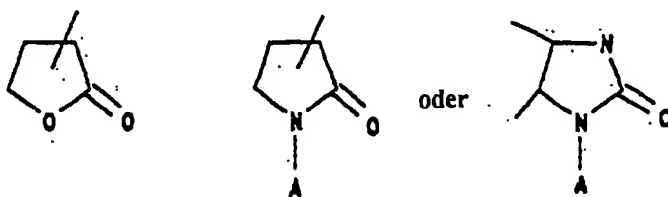
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

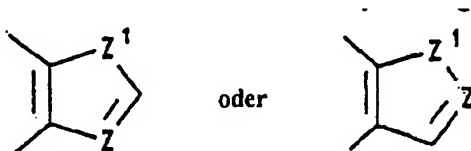


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



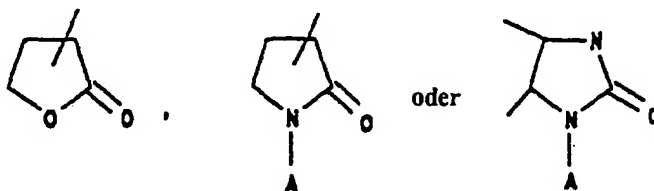
10 $Z = N, O, S$ oder $Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 Z oder $Z^1 = N, O, S$ oder $Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



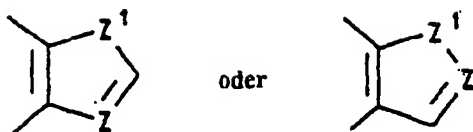
Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino, oder (C₁-C₃)-Acy); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C₃-C₆)-Cycloalkyl-carbonyloxy, (C₆-C₁₀)-Aroyloxy, ausgewählt aus Benzoyloxy oder Naphthoyloxy, Halogen-substituiertem (C₆-C₁₀)-Aroyloxy, (C₁-C₄)-Alkylbenzoyloxy, oder (Heterocyclus)-carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



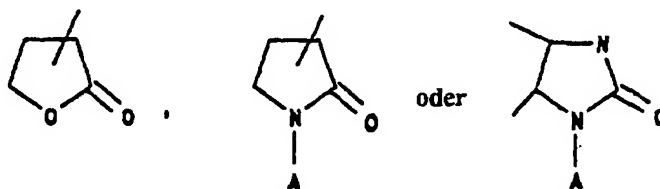
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



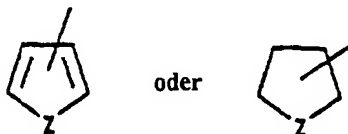
10
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



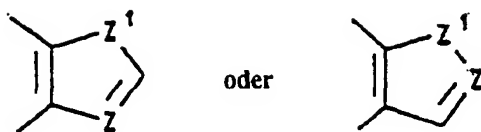
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



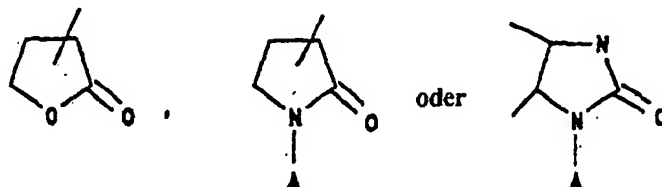
50
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

10 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



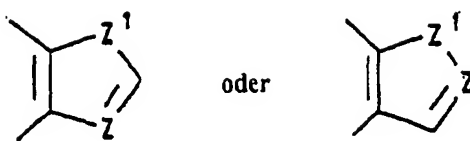
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

25 oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C₂-C₅)-Azacycloalkylgruppe; einer Carboxy-(2-4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminopropionsäure, α-Aminobuttersäure und deren optischen Isomeren; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₁-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



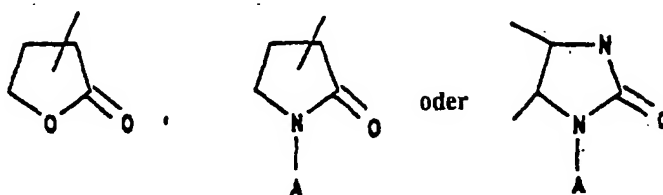
Z = N, O, S oder Se,

50 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy; einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für (CH₂)_n, n = 2 bis 6, steht, oder für -(CH₂)₂W(CH₂)₂ steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂ steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

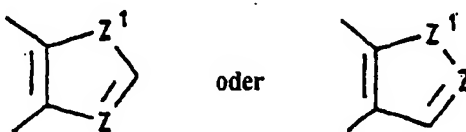
und für den Fall, dass R = R⁴ (CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



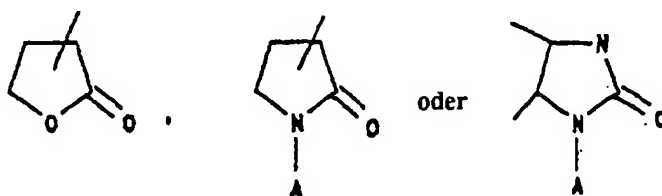
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



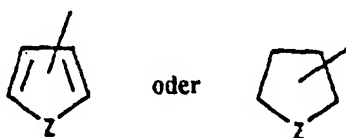
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigem gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, dass R = R⁴ (CH₂)_nSO₂- und n = 1 bis 4, dann wird

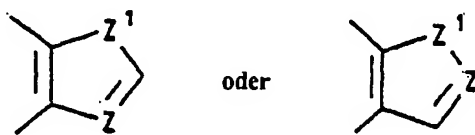
R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₁-C₄)-Carboxyalkylgruppe; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer

(C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)_n, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂, wobei W ausgewählt wird aus - (C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₈)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



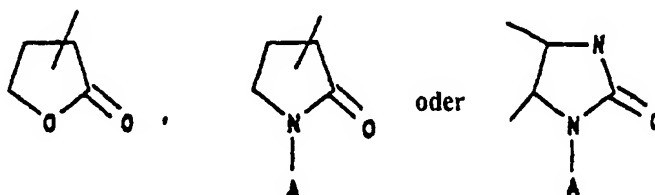
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:



Z oder Z' = N, O, S oder Se,

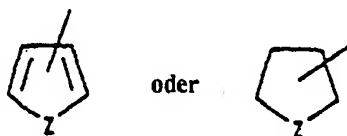
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

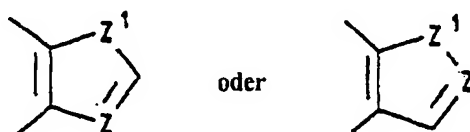
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Me-

thylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



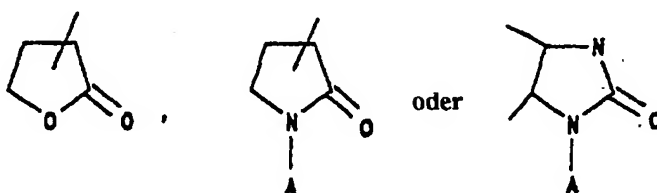
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

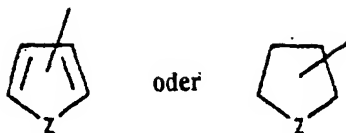
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

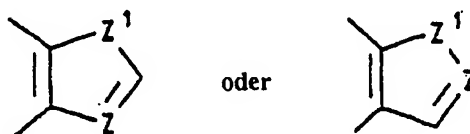
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



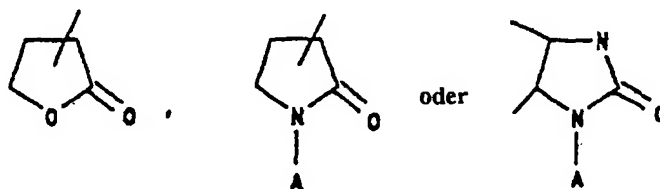
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

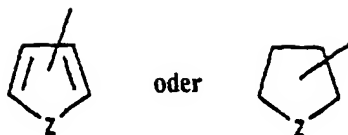
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

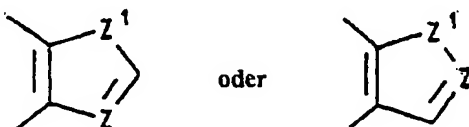
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigtem (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

45 oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigtem (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



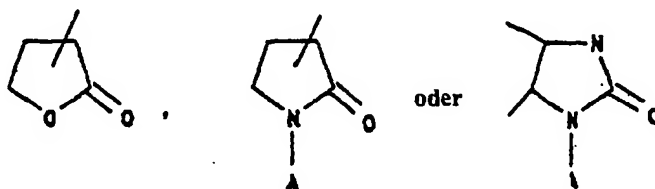
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

2. Die Verbindung nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

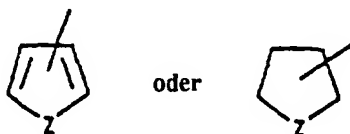
und für den Fall, dass R¹ = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

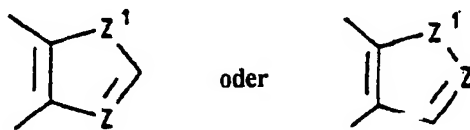
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α -Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α -Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



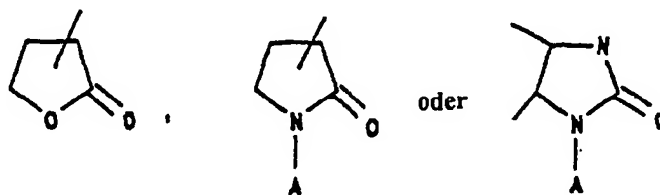
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



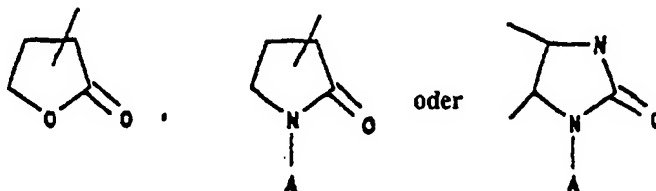
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



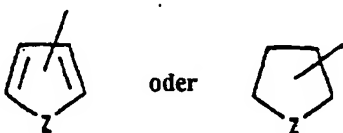
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy, ausgewählt aus Methoxy, Ethoxy, geradkettigem oder verzweigtem Propoxy, geradkettigem oder verzweigtem Butoxy, Allyloxy; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy, (C₁-C₃)-Alkylamino oder Carboxy, einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



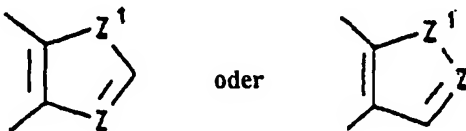
Z = N, O, S oder Se];

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



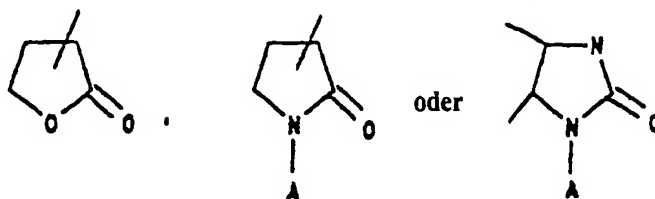
Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



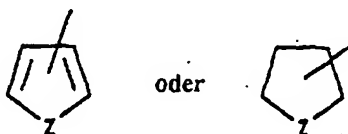
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



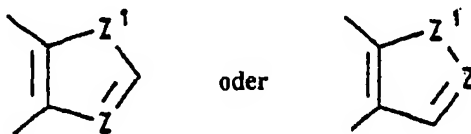
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



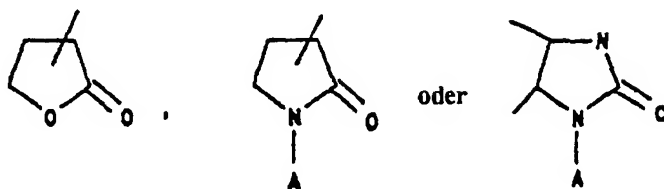
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

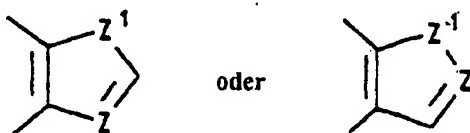
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



35

Z = N, O, S oder Se,

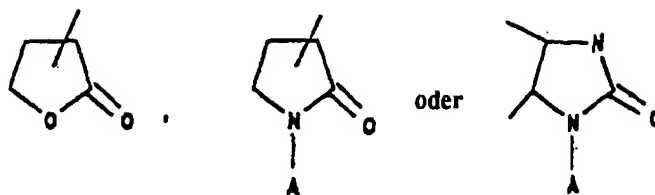
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



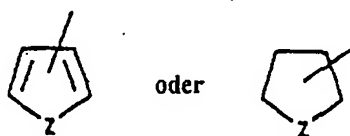
Z oder Z¹ = N, O, S oder Se,

50

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

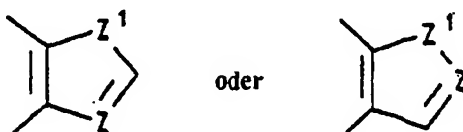


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; und für den Fall, dass R = R^{4'}(CH₂)_nSO₂- und n = 0, dann wird R^{4'} ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrollyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



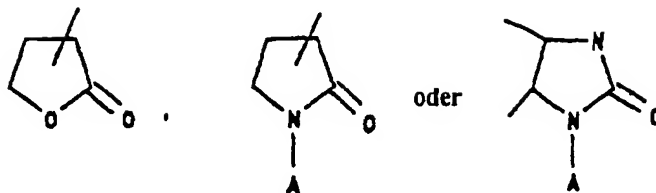
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



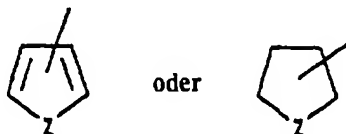
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ ist und $n = 1$ bis 4, dann wird

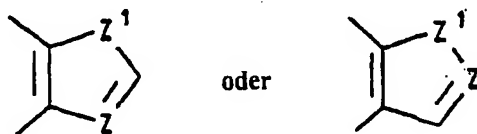
R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₄)-Carboxyalkylgruppe;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

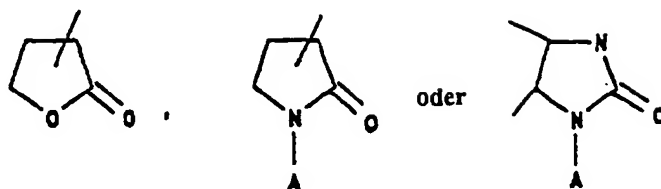
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

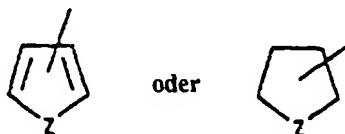
benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

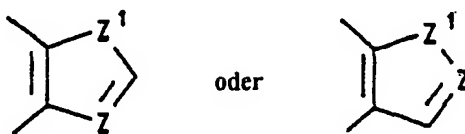
15 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

20 R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



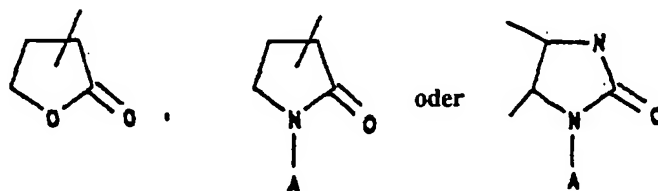
Z = N, O, S oder Se,

35 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

50 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro; Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

3. Die Verbindung nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R¹ = Methyl oder Ethyl ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

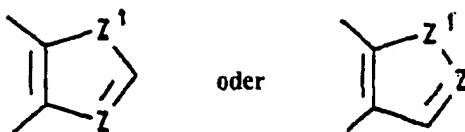
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



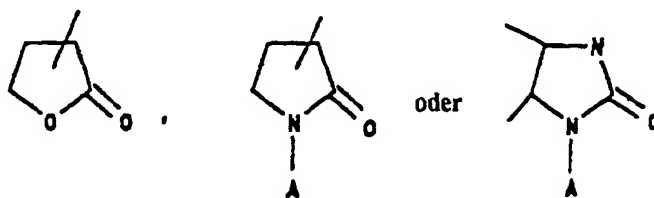
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

10 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

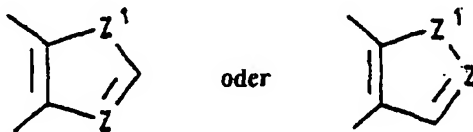


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



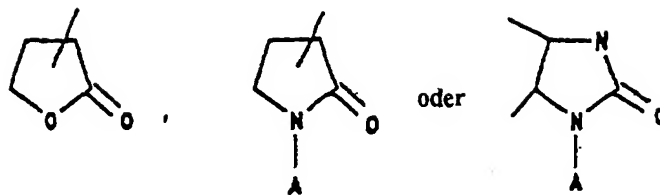
Z = N, O, S oder Se,

45 oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

55 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy), oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

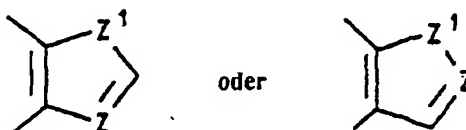
R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus

ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



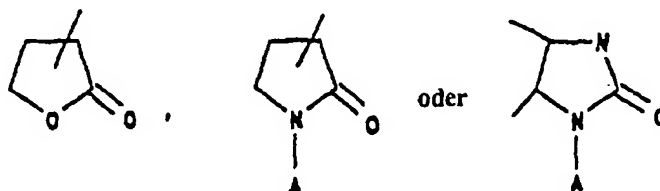
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se$

25 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

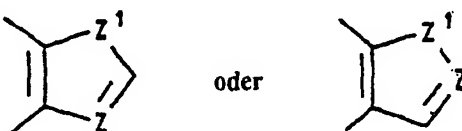
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol,

Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



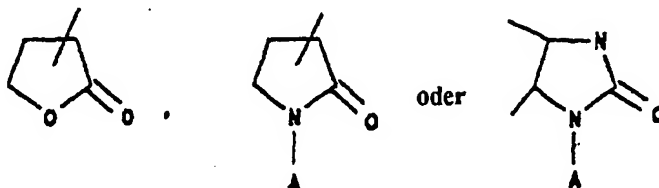
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



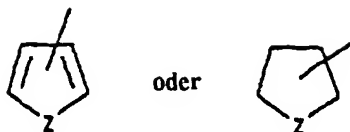
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



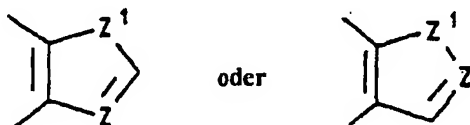
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



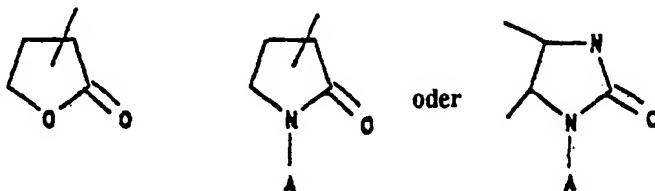
$Z = N, O, S \text{ oder } Se,$

10 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

25 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

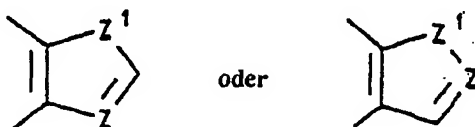
und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ und $n = 0$, dann wird

45 R^4 ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_4) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



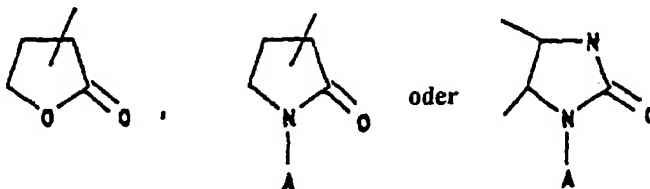
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



30 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

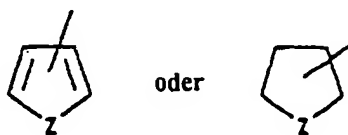
und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ ist und $n = 1$ bis 4, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrollyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem

N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

5

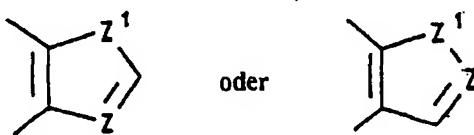


10

Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

15



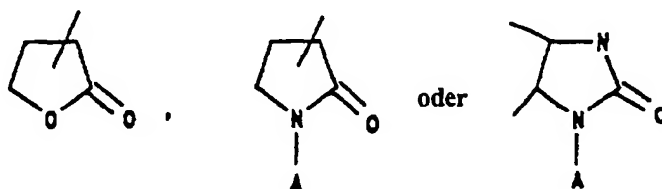
20

Z oder Z¹ = N, O, S oder Se,

25

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

30



35

(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40

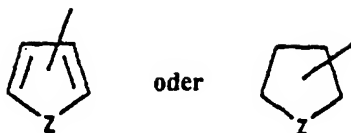
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

45

R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:

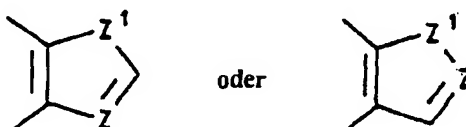
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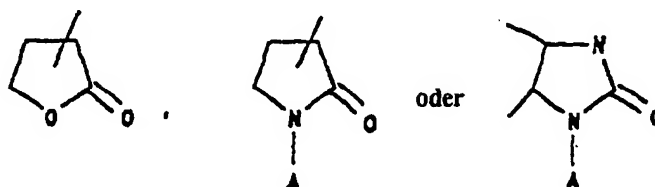
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht gleichzeitig Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

4. Die Verbindung nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

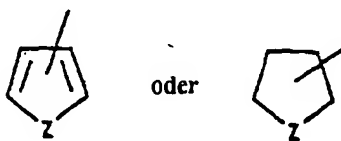
und für den Fall, dass R¹ = Methyl oder Ethyl, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R wird ausgewählt aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

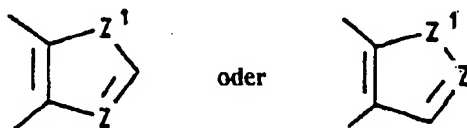
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Mkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Carboxy-(C₂-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



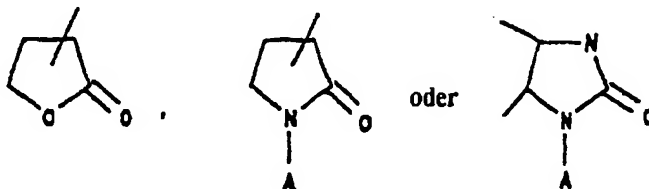
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se

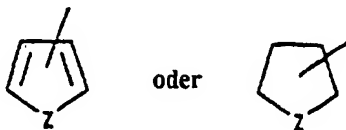
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxycarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt

wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

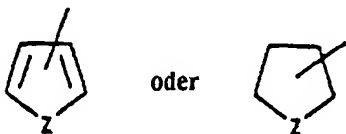


Z = N, O, S oder Se;

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aryloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

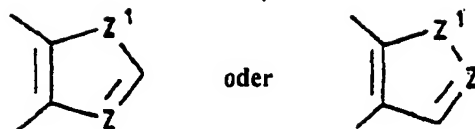
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino, einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrollyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



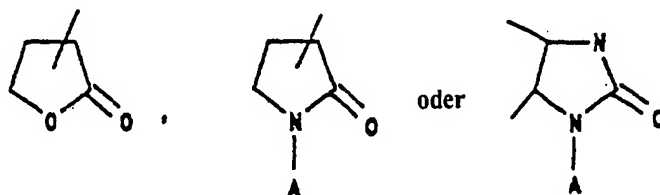
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



10
Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe, einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

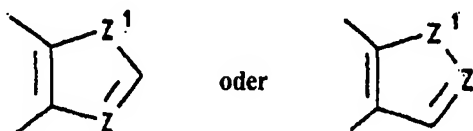
und für den Fall, daß R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; eine substituierte (C₆-C₁₀)-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



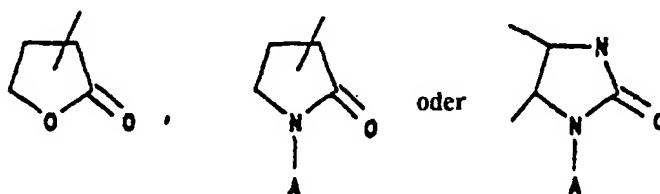
10 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 Z oder $Z^1 = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4 (CH_2)_n SO_2^-$ und $n = 1$ bis 4, dann wird

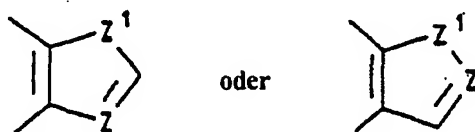
45 R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

50 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7-C_9) -Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



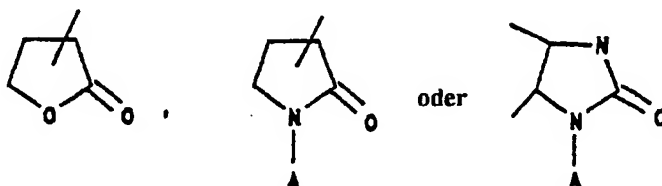
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

45 R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



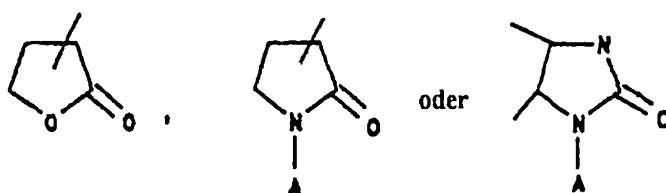
55 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 - 4 ist und R⁷ ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 - 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

5. Die Verbindung nach Anspruch 1, wobei

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Methyl oder Ethyl ist, dann ist

R² = Methyl oder Ethyl;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

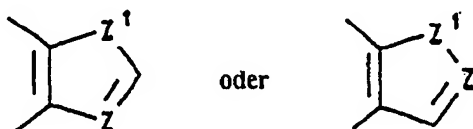
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, Amino oder (C₁-C₂)-Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



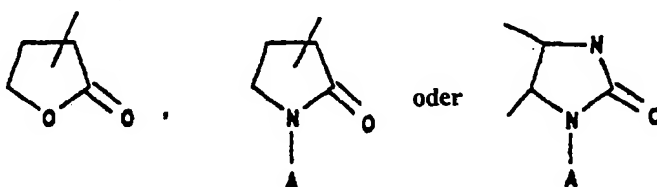
Z = N, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O oder S,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₂)-Alkyl; C₆-Aryl), einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C₁-C₂)-Alkylgruppe, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, (C₁-C₄)-Alkoxy-carbonyl, Halogen, (C₁-C₃)-Alkylgruppe]; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl; einer (C₇-C₉)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₂)-Alkyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b eine geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

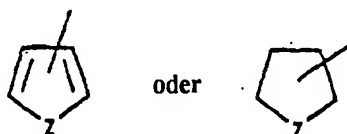
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 1 bis 4 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, Amino, (C₁-C₄)-Alkoxy-carbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C₁-C₄)-Alkoxygruppe; carbonyl, einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -

$(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus $-\text{N}(\text{C}_1\text{-C}_3)\text{-Alkyl}$ [geradkettig oder verzweigt], $-\text{NH}$, $-\text{NOB}$ [wobei B ausgewählt wird aus Wasserstoff oder $(\text{C}_1\text{-C}_3)\text{-Alkyl}$], O oder S; oder einer $\text{R}^a\text{R}^b\text{-Aminoxygruppe}$, wobei R^aR^b ein geradkettiges oder verzweigtes $(\text{C}_1\text{-C}_4)\text{-Alkyl}$ ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder R^aR^b ist $(\text{CH}_2)_n$ steht, wobei $n = 2$ bis 6, oder $-(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus $-\text{N}(\text{C}_1\text{-C}_3)\text{-Alkyl}$ [geradkettig oder verzweigt], $-\text{NH}$, $-\text{NOB}$ [B wird ausgewählt aus Wasserstoff oder $(\text{C}_1\text{-C}_3)\text{-Alkyl}$], O oder S; einer Halogen- $(\text{C}_1\text{-C}_3)\text{-alkylgruppe}$; einer $(\text{C}_1\text{-C}_4)\text{-Alkoxy-carbonylaminogruppe}$, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

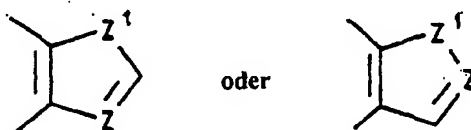
und für den Fall, daß $\text{R} = \text{R}^4(\text{CH}_2)_n\text{SO}_2$ und $n = 0$, dann wird

R^4 ausgewählt aus einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_2)\text{-Alkylgruppe}$, ausgewählt aus Methyl oder Ethyl; einer $(\text{C}_6\text{-C}_{10})\text{-Arylgruppe}$, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten $(\text{C}_6\text{-C}_{10})\text{-Arylgruppe}$, (wobei eine Substitution ausgewählt wird aus Halogen, $(\text{C}_1\text{-C}_4)\text{-Alkoxy}$, Nitro, $(\text{C}_1\text{-C}_4)\text{-Alkoxy-carbonyl}$); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



$\text{Z} = \text{N}, \text{O} \text{ oder } \text{S}$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



$\text{Z} \text{ oder } \text{Z}^1 = \text{N}, \text{O} \text{ oder } \text{S}$

und für den Fall, daß $\text{R} = \text{R}^4(\text{CH}_2)_n\text{SO}_2$ und $n = 1$ bis 4, dann wird

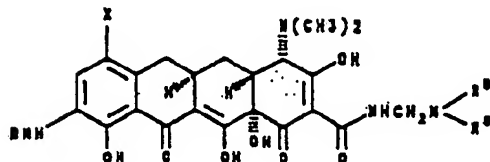
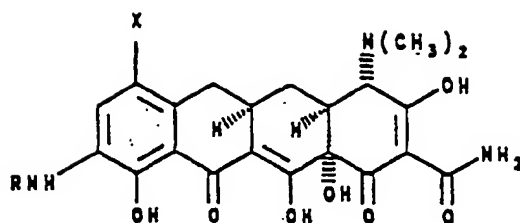
R^4 ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_2)\text{-Alkylgruppe}$, ausgewählt aus Methyl oder Ethyl;

R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_3)\text{-Alkylgruppe}$, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_3)\text{-Alkylgruppe}$, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, daß R^5 und R^6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 sind zusammen $-(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus $(\text{CH}_2)_n$ und $n = 0$ bis 1, $-\text{NH}$, $-\text{N}(\text{C}_1\text{-C}_3)\text{-Alkyl}$ [geradkettig oder verzweigt], $-\text{N}(\text{C}_1\text{-C}_4)\text{-Alkoxy}$, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

6. Verbindung der Formel:



wobei:

Y für NO₂ steht;

R ausgewählt ist aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₂-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure und α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxy-carbonyl-amino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer α-Mercapto-(C₁-C₃)-alkylgruppe, ausgewählt aus Mercaptomethyl, α-Mercaptoethyl, α-Mercapto-1-methylethyl oder α-Mercaptopropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist;

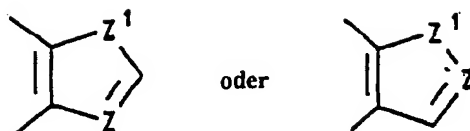


oder



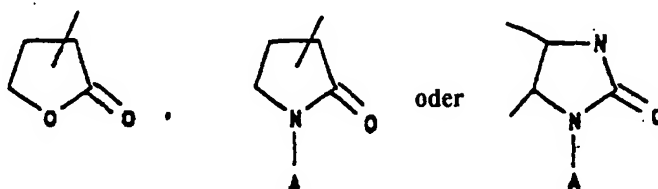
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

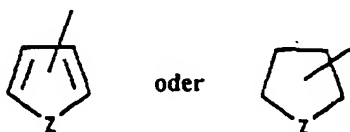


10
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

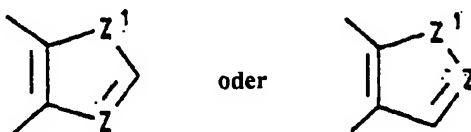


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

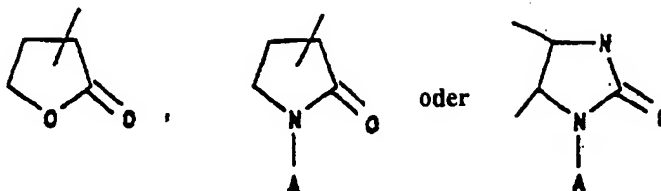
45
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



55
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



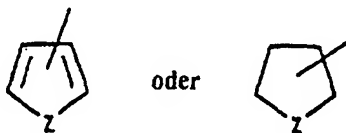
Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

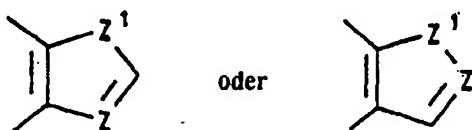
R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino, oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgrup-

pe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C₃-C₆)-Cycloalkylcarbonyloxy, (C₆-C₁₀)-Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Halogen-substituiertem (C₆-C₁₀)-Aroyloxy, (C₁-C₄)-Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



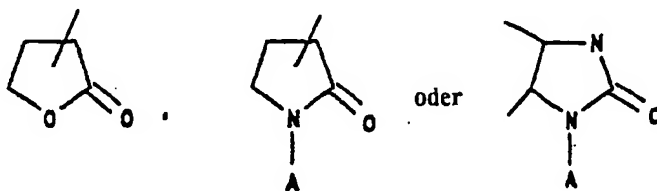
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



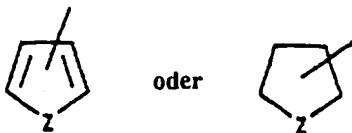
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



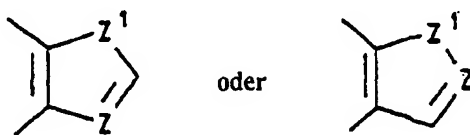
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₈)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benz- oder Pyridoring aufweist:



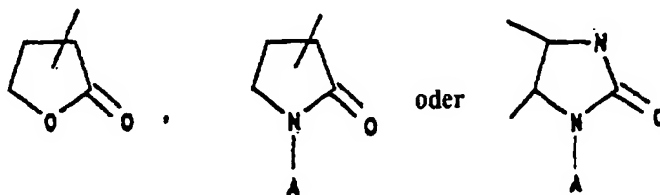
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



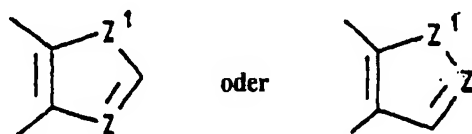
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C₂-C₅)-Azacycloalkylgruppe; einer Carboxy-(2-4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminopropionsäure, α-Aminobutyrsäure und deren optischen Isomeren; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₁-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



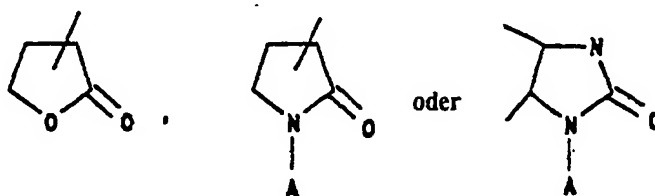
10 $Z = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



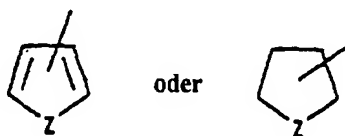
30 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy; einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

35 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für (CH₂)_n, n = 2 bis 6, steht, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

40 und für den Fall, dass R = R⁴ (CH₂)_nSO₂- und n = 0, dann wird

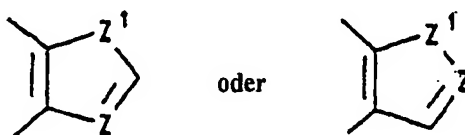
45 R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten

(C₁-C₄)-Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkyl-amino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



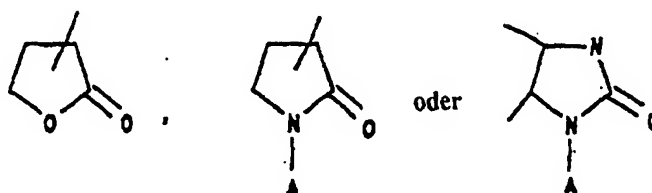
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkyl-amino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigem aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, dass $R = R^4 (CH_2)_n SO_2^-$ und $n = 1$ bis 4, dann wird R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_1-C_4)-Carboxyalkylgruppe; einer (C_3-C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C_3-C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3)-Alkyl, Cyano, Amino oder (C_1-C_3)-Acyl); einer (C_6-C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-Alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxy-carbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer (C_7-C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C_1-C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_3)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1-C_4)-alkylamino); einer (C_7-C_{10})-Aralkyloxygruppe; einer $R^a R^b$ -Amino-(C_1-C_4)-alkoxygruppe, wobei $R^a R^b$ ein geradkettiges oder verzweigtes (C_1-C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder $R^a R^b$ ist $(CH_2)_n$, $n = 2$ bis 6, oder $-(CH_2)_2 W (CH_2)_n$, wobei W ausgewählt wird aus $-(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], $-NH$, $-NOB$ [B wird ausgewählt aus Wasserstoff oder (C_1-C_3)-Alkyl], O oder S; oder einer $R^a R^b$ -Aminoxygruppe, wobei $R^a R^b$ ein geradkettiges oder verzweigtes (C_1-C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder $R^a R^b$ ist $(CH_2)_n$, $n = 2$ bis 6, oder $-(CH_2)_2 W (CH_2)_2$, wobei W ausgewählt wird aus $-(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], $-NH$, $-NOB$ [B wird ausgewählt aus Wasserstoff oder (C_1-C_3)-Alkyl], O oder S; einer (C_1-C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen, (C_1-C_3)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1-C_3)-alkylamino); einer (C_7-C_9)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



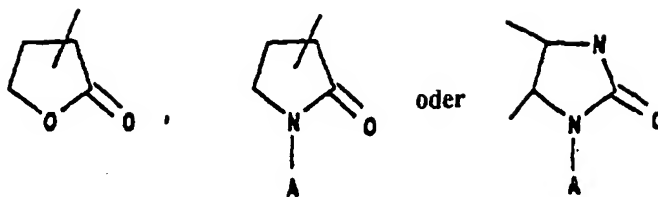
$Z = N, O, S$ oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist kann:



Z oder $Z' = N, O, S$ oder Se ,

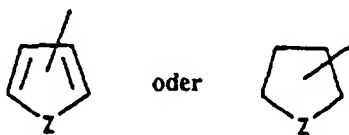
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:



10 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

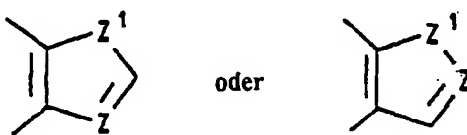
15 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

25



35 Z = N, O, S oder Se,

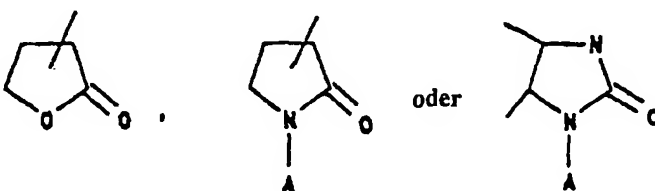
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



45 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

50



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



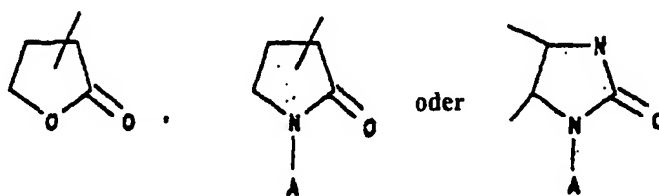
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

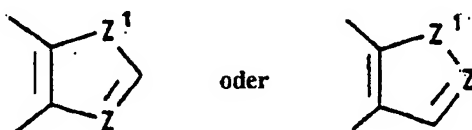
R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus

Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



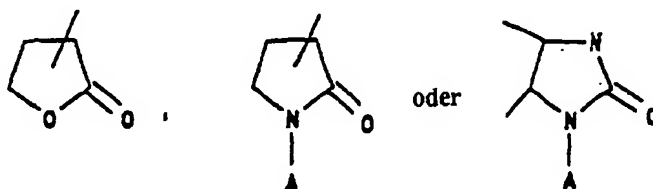
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

7. Die Verbindung nach Anspruch 6, wobei
Y für NO₂ steht;
R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C_3-C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3-C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3)-Alkyl, Cyano, Amino oder (C_1-C_3)-Acyl); einer (C_6-C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxycarbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer α -Amino-(C_1-C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α -Aminobutyl; einer Carboxy-(C_1-C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrinsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C_7-C_9)-Aralkylaminogruppe; einer (C_1-C_4)-Alkoxycarbonylamino-substituierten (C_1-C_4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C_1-C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1-C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



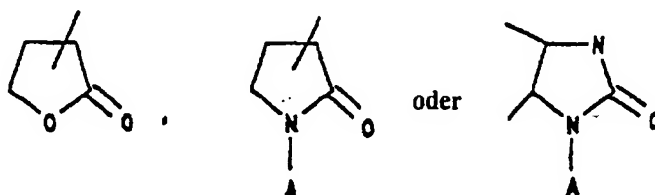
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



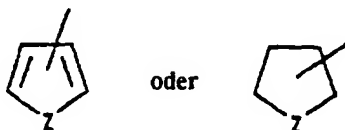
Z oder $Z^1 = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cy-

ano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem
 sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart
 gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl,
 Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-sub-
 stituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt
 wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der
 gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



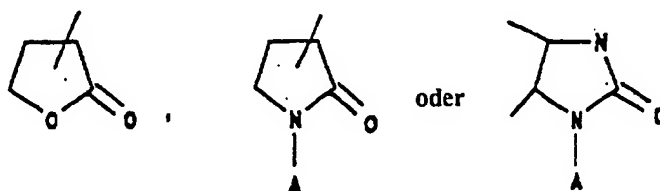
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

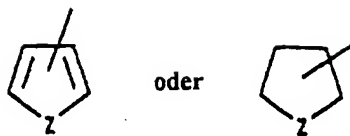
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe (wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxyl, einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder

Pyridoring aufweisen kann:



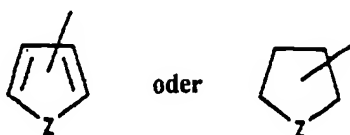
10 $Z = N, O, S \text{ oder } Se;$

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-,

20 wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; 1-Methylpropyl, 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

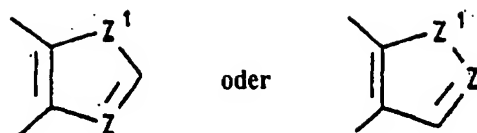
25 und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



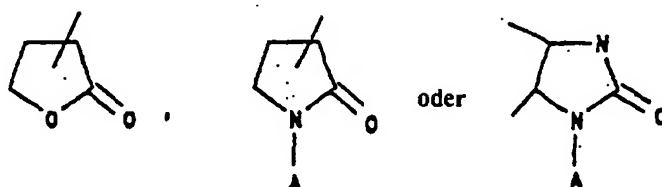
45 $Z = N, O, S \text{ oder } Se;$

50 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



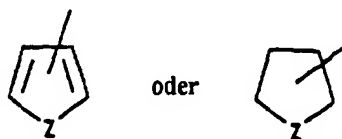
10 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



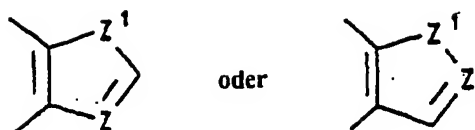
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



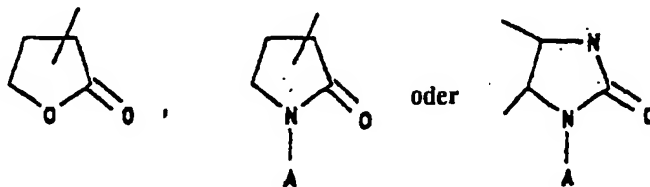
55 Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



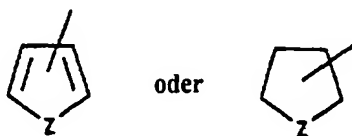
10 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



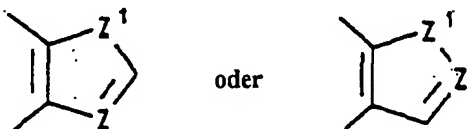
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



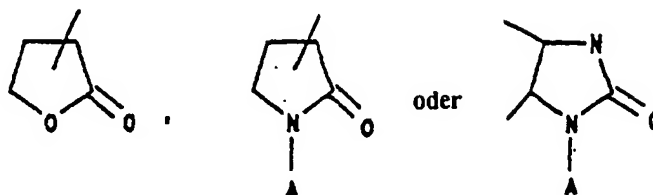
$Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



55 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

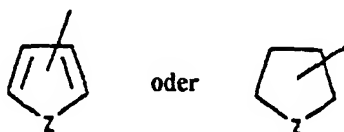


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

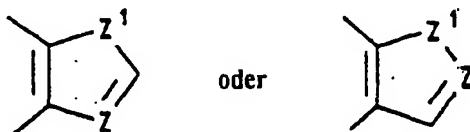
und für den Fall, dass R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



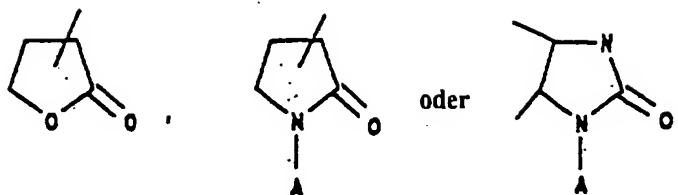
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

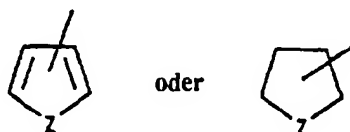


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ ist und $n = 1$ bis 4, dann wird

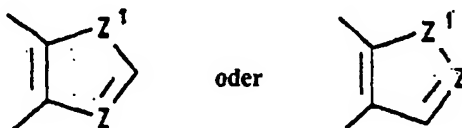
R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₄)-Carboxyalkylgruppe;

R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



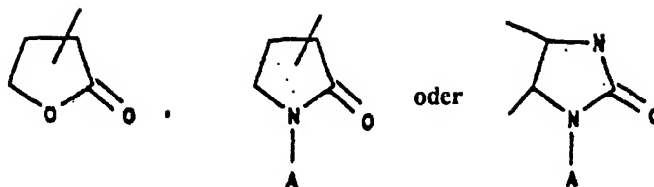
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N, O, S$ oder Se ,

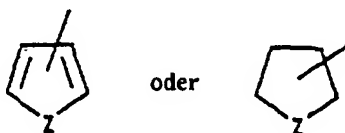
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



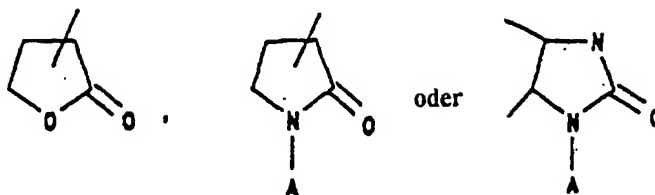
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

8. Die Verbindung nach Anspruch 6, wobei

Y für NO₂ steht;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z = N, O, S oder Se,

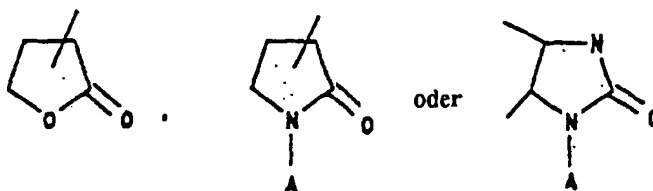
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen

annellierten Benzo- oder Pyridoring aufweist:



10 Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



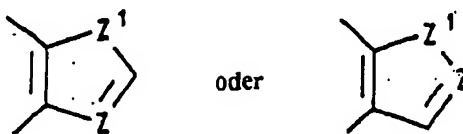
25 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

30 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



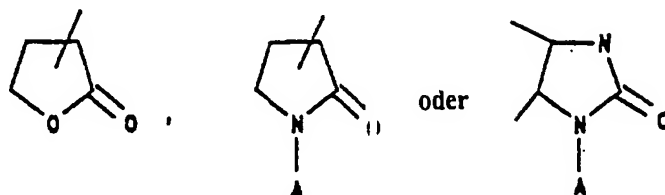
45 Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



55 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy), oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

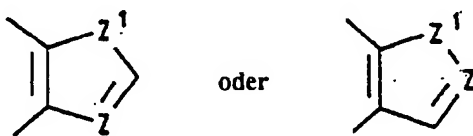
R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt

wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



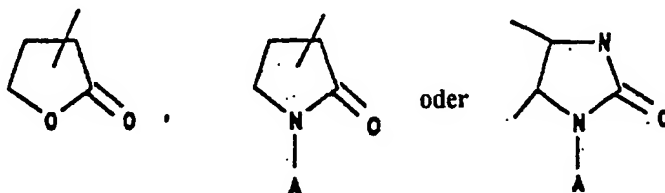
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se

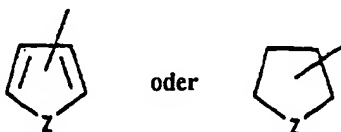
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

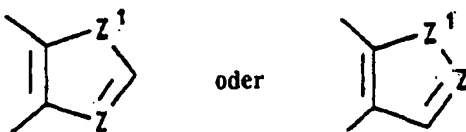
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder —(CH₂)₂W(CH₂)₂—, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder —(CH₂)₂W(CH₂)₂—, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteratom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



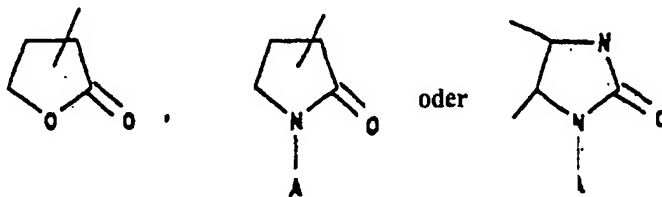
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



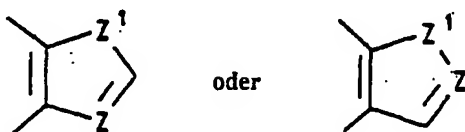
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



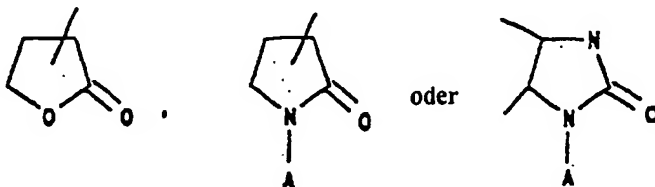
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z' = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

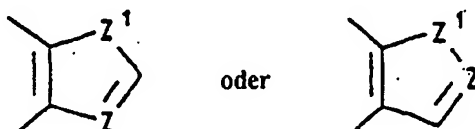
und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ und $n = 0$, dann wird

35 R⁴ ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₄)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigtem (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



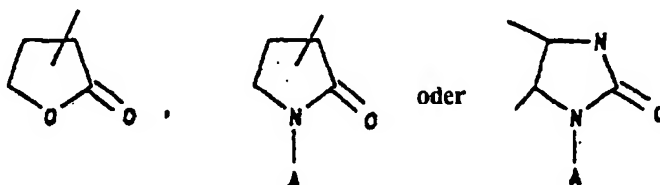
$Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



$Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ ist und $n = 1$ bis 4, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder —(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder —(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen

aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



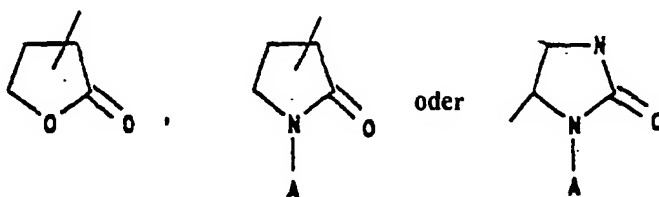
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

25 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

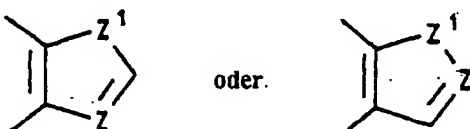
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $-(CH_2)_nCOOR^7$, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

45 R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



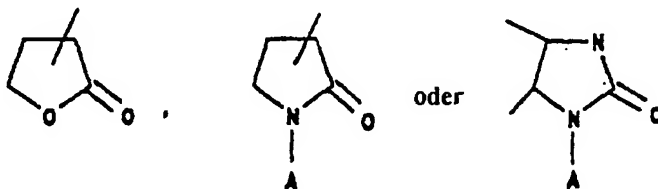
$Z = N, O, S$ oder Se ,

10 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 Z oder $Z' = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



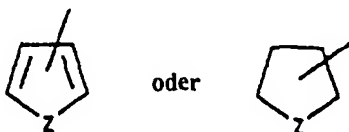
35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem
 40 sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei $n = 0$ bis 4, und R^7 wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigtem (C_1-C_3) -Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C_6-C_{10}) -Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht gleichzeitig Wasserstoff sein können;
 45 oder R^5 und R^6 bedeuten zusammen $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus $(CH_2)_n$ und $n = 0$ bis 1, -NH-, $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], $-N(C_1-C_4)$ -Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

50 9. Die Verbindung nach Anspruch 6, wobei
 Y für NO_2 steht;

R wird ausgewählt aus $R^4(CH_2)_nCO-$ oder $R^4(CH_2)_nSO_2-$;
 und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird

55 R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigtem (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C_6-C_{10}) -Arylgruppe, aus-

gewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminoessig-säure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aroma-tischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



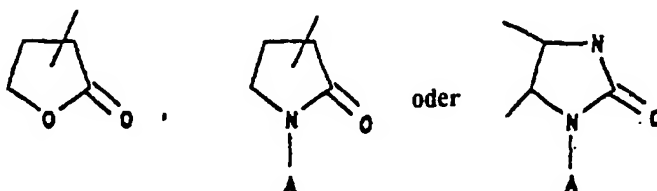
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z' = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxycarbonyl, einem geradkettigen oder verzweigten Butoxy-carbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe], Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-Alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy), einer Halogen-(C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



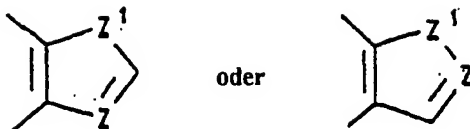
Z = N, O, S oder Se];

10
einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-
(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei
eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, das ausgewählt wird
15 aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges
oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausge-
wählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasser-
stoff oder einem (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder
20 verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methyl-
propyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder —(CH₂)₂W(CH₂)₂-, wobei W ausgewählt
wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-
C₃)-Alkyl], O oder S;
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird
25 R⁴ ausgewählt aus Wasserstoff; Amino, einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Me-
thylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus
geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder
Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)
amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-
30 (1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substitu-
ierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-
C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder
Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl,
(C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Al-
35 kylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aroma-
tischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten
Benzo- oder Pyridoring aufweist:



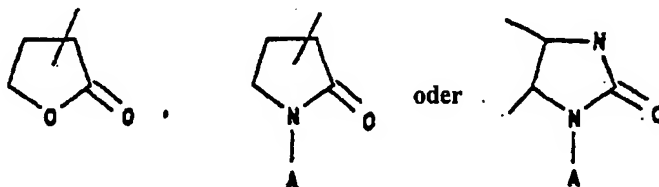
45
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten
50 Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe, einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder —(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

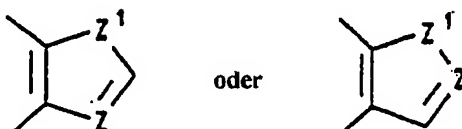
und für den Fall, daß R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; eine substituierte (C₆-C₁₀)-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



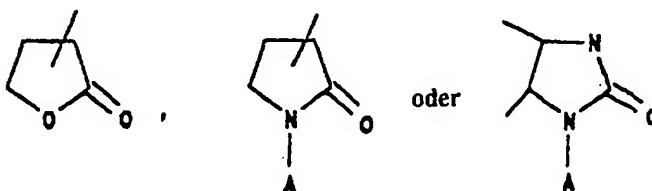
$Z = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

10 oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z^1 = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



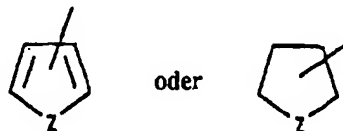
35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem $(\text{C}_1\text{-C}_4)$ -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, $(\text{C}_1\text{-C}_4)$ -Alkoxy, Trihalogen- $(\text{C}_1\text{-C}_3)$ -alkyl, Nitro, Amino, Cyano, $(\text{C}_1\text{-C}_4)$ -Alkoxycarbonyl, $(\text{C}_1\text{-C}_3)$ -Alkylamino oder Carboxy); einer $(\text{C}_7\text{-C}_9)$ -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebundenen O-Heteroatom;

40 und für den Fall, dass $\text{R} = \text{R}^4(\text{CH}_2)_n\text{SO}_2-$ und $n = 1$ bis 4, dann wird

R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_2)$ -Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

45 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten $(\text{C}_1\text{-C}_3)$ -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer $(\text{C}_6\text{-C}_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer $(\text{C}_7\text{-C}_9)$ -Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



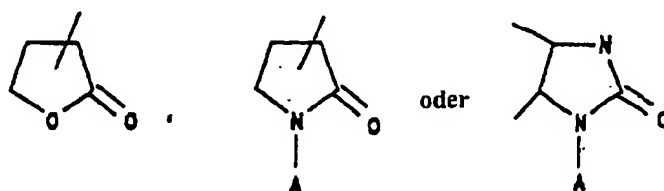
55 $Z = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl(eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

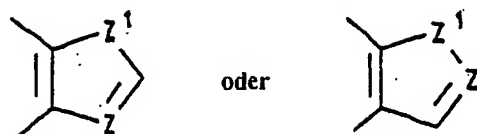
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder —(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



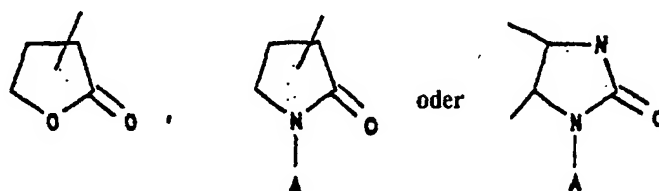
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



10 Z oder Z^1 = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $-(CH_2)_nCOOR^7$, wobei $n = 0 - 4$ ist und R^7 ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_3)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6 - C_{10})-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus $(CH_2)_n$ und $n = 0 - 1$, -NH, -N(C_1 - C_3)-Alkyl [geradkettig oder verzweigt], -N(C_1 - C_4)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

10. Die Verbindung gemäß Anspruch 6, wobei

Y für NO_2 steht,

R ausgewählt wird aus $R^4(CH_2)_nCO-$ oder $R^4(CH_2)_nSO_2-$;

und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird

R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen; (C_1 - C_4)-Alkoxy, Nitro, Amino oder (C_1 - C_2)-Alkoxy-carbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyriding aufweisen kann:



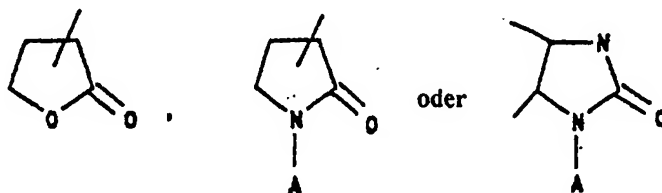
Z = N, O oder S,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O oder S,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₂)-Alkyl; C₆-Aryl), einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C₁-C₂)-Alkylgruppe, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, (C₁-C₄)-Alkoxy-carbonyl, Halogen, (C₁-C₃)-Alkylgruppe]; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl; einer (C₇-C₉)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₂)-Alkyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b eine geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

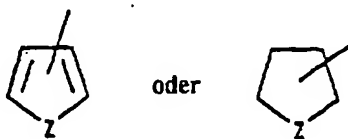
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 1 bis 4 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, Amino, (C₁-C₄)-Alkoxy-carbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C₁-C₄)-Alkoxygruppe; carbonyl, einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder R^aR^b ist (CH₂)_n steht, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus —N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

und für den Fall, daß R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

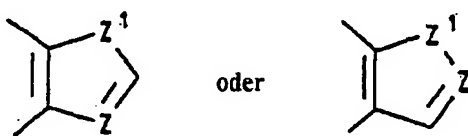
R⁴ ausgewählt aus einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, (C₁-C₄)-Alkoxy-carbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O oder S

und für den Fall, dass $R = R^4(CH_2)_nSO_2-$ und $n = 1$ bis 4, dann wird

R^4 ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R^5 und R^6 nicht beide Wasserstoff sein können; oder R^5 und R^6 sind zusammen $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus (CH₂)_n und $n = 0$ bis 1, -NH,

-N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

11. Die Verbindung nach Anspruch 1, nämlich [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarb-oxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydrochlorid; [4S-(4a,12aa)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(trifluoroacetyl)amino]-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethyl-

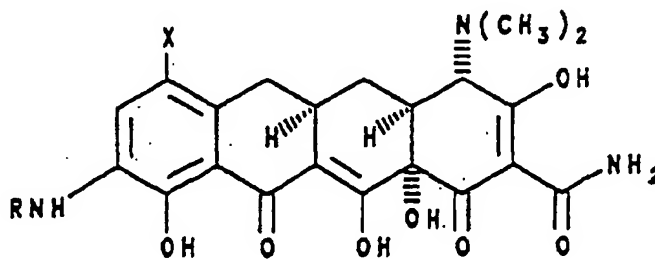
amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[[Acetyloxy]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-furanylcabonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(4-dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-2-oxoethyl]carbaminsäure-1,1-dimethylethylester; [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmono-(trifluoroacetat); [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[[4-Chlorophenyl]sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[[2-(Acetyl)amino]-4-methyl-5-thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid; [7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]oxoessigsäureethylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(methylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [7S-(7a,10aa)]-9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäuremethylester; [7S-(7a,10aa)]-9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure-2-diethylamino)ethylester; [7S-(7a,10aa)]-9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure-2-propenylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-9-[(4-Bromo-i-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-[[Acetyloxy]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid

cencarboxamid; [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-9-(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid-[4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)benzoyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]lamino]-2-oxoethyl]carbaminsäure-1,1-dimethylethylesterhydrochlorid; [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-9-[[phenylmethoxy]acetyl]amino]-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)carbaminsäure-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4-(Dimethylamino)9-(acetyl)amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[dimethylamino]-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäuremethylester-sulfat; [7S-(7a,10aa)]-[9(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthaceny]-carbaminsäure(2-diethylamino)ethyl-esterhydrochlorid; [7S-(7a,10aa)]-[9(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5 a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthaceny]carbaminsäureethenylestersulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)- 4,7-bis(dime-
 25 thylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure-2-propenylesterhydrochlorid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-9-[[diethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[diethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7Bis(dimethylamino)-9-[[diethylamino] acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]4,7-Bis(dimethylamino)-9-[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacencarboxamid dihydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dime-
 30 thylamino)9-(chloroacetyl)amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; (4S-(4alpha,12aalpha)]-9-[[Chloroacetyl]amino] -4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha,12aalpha)]-9[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S(4alpha,12aalpha)]9-[(Bromoacetyl)-amino]-4,7-bis (dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid (freie Base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octa-
 40 hydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydro- bromid; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)- 1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrobromid; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxo-
 45 propyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid hydrobromide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-9 [[methylamino]acetyl]amino]-1,11-dioxo-2-naphthacencarboxamididihydro- chlorid; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)- 4,7-bis(dimethylamino)- 5,5a,6,6a,7,10,10a,12octa-
 50 hydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]- 4-morpholineacetamid dihydrochlorid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)- 9[[ethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-te-
 55 trahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha,12aalpha)]-9-[[Cyclopropylamino] acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamididihydrochlorid; [4S(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[butylamino]acetyl]- amino]-1,4,4a,5,5a,6, 11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamididihydro- chlorid; [4S(4alpha,12aalpha)]-9-[[Diethylamino]-acetyl]amino]-4,7- bis(dimethyl- amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [7S(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis- (dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro 1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-pyrrolidinacetamididihydrochlorid; [4S(4alpha,12aalpha)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[2-methylpropyl]amino]acetyl]amino]-

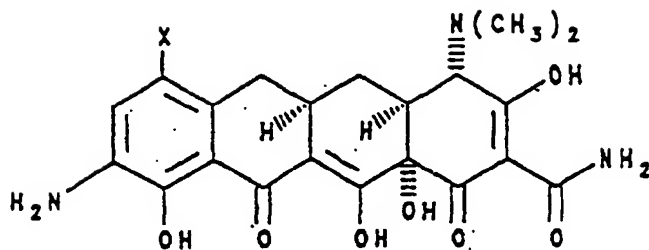
1,11-dioxo-2-naphthacencarboxamidihydro-chloride; [7S-(7alpha, 10alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1-piperidineacetamid dihydrochlorid; [7S-(7alpha,10alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1H-imidazole-1-acetamid dihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(propylamino)acetyl]amino]-2-naphthacencarboxamidihydro-chloride; [4S-(4alpha,12alpha)]-4,7Bis(dimethylamino)-9-[[dimethylamino]-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-carboxamid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[hexylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-carboxamidihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[2(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacencarboxamidihydrochlorid; [7S-(7alpha,10alpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-alpha-methyl-l-pyrrolidinacetamidihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[4-(dimethylamino)-i-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidihydrochlorid; [4S-(4alpha,12alpha)]-9[[[Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[pentylamino)acetyl]amino]-2-naphthacencarboxamidihydrochlorid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[phenylmethyl]amino)acetyl]amino]-2-naphthacencarboxamidihydrochlorid; [7S-(7alpha,10alpha)]-N-[2-[[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-amino]-2-oxoethyl]glycine; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinylmethyl)-2-naphthacencarboxamid; [4S-(4alpha,12alpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacencarboxamid; [7S-(7alpha,10alpha)]-N-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-azetidineacetamid; [4S-(4alpha,12alpha)]-9-[[[Cyclobutylamino)acetyl]-amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid hydrochlorid.

12. Die Verbindung gemäß Anspruch 6, nämlich [4S-(4 α ,12 α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacencarboxamidsulfat.

13. Verfahren zur Herstellung einer Verbindung der Formel:

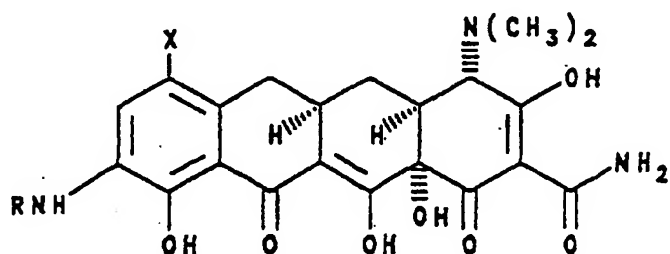


gemäß Anspruch 1, wobei X = NR¹R², das eine Umsetzung eines 9-Amino-7-(substituiertes Amino)-6-demethyl-6-deoxytetracyclin der Formel:

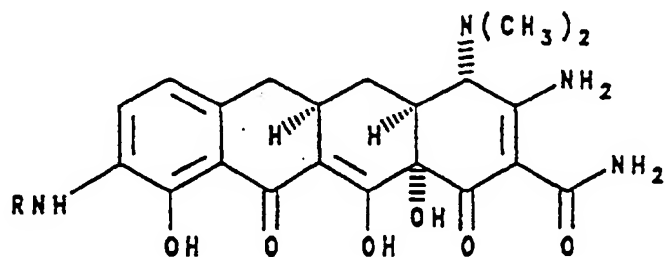


mit einem Acylhalogenid der Formel R-Halogenid, einem Acylanhydrid der Formel R-Anhydrid, einem gemischten Acylanhydrid der Formel R-Anhydrid, einem Sulfonylhalogenid der Formel R-Halogenid, oder einem Sulfonylanhydrid der Formel R-Anhydrid, in Gegenwart eines geeigneten Säurefängers in einem geeigneten Lösungsmittel umfasst.

14. Verfahren zur Herstellung einer Verbindung der Formel:

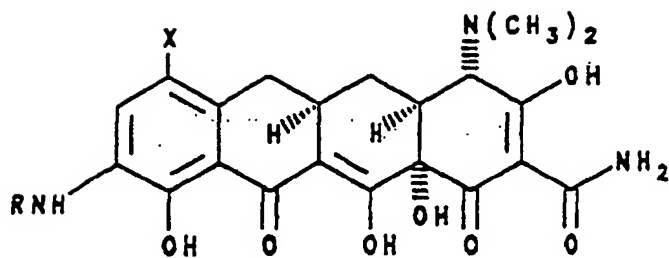


gemäß Anspruch 1, wobei X für Halogen steht, wobei das Verfahren eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der Formel:

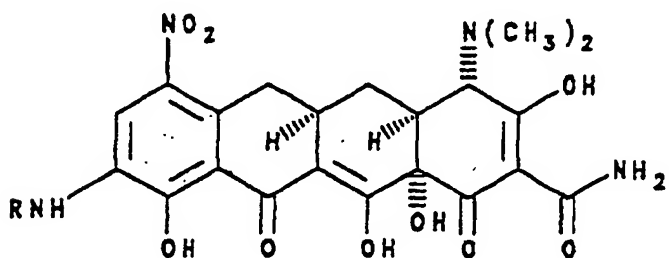


mit einem Halogenierungsmittel umfasst.

15. Verfahren zur Herstellung einer Verbindung der Formel:

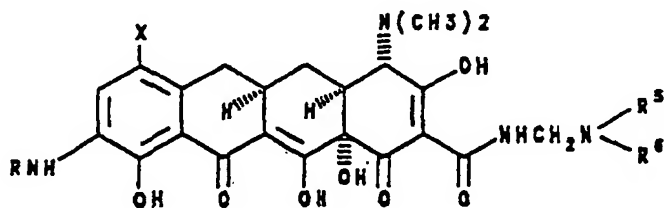


gemäß Anspruch 1, wobei $X = NR^1R^2$, das eine Umsetzung einer Verbindung der Formel:

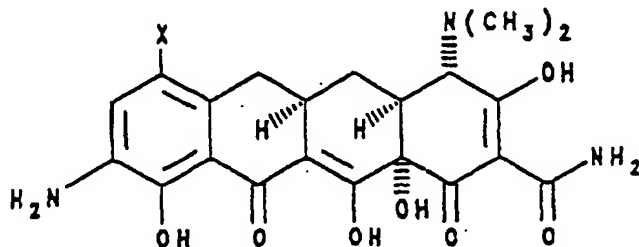


gemäß Anspruch 6, mit dem geeigneten (C_1 - C_4)-geradkettigen oder verzweigten Aldehyden oder Keton in Gegenwart einer Säure und Wasserstoff umfasst.

18. Verfahren zur Herstellung einer Verbindung der Formel:



gemäß Anspruch 1, wobei $X = NR^1R^2$ oder Halogen ist, das eine Umsetzung eines 9-(substituiertes Amino)-7-(Halogen oder substituiertes Amino)-6-demethyl-6-deoxytetracyclins der Formel:



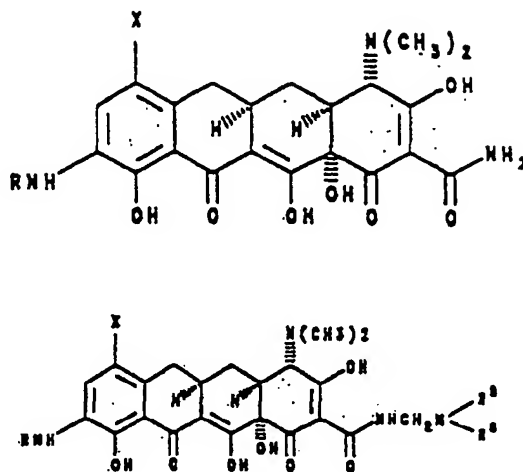
gemäß Anspruch 1 mit einem primären oder sekundären Amin in Gegenwart von Formaldehyd umfasst.

19. Verfahren zur Prävention, Behandlung oder Bekämpfung von bakteriellen Infektionen in warmblütigen Tieren, das eine Verabreichung einer pharmakologisch wirksamen Menge einer Verbindung gemäß Anspruch 1 an das Tier umfasst.

20. Pharmazeutisches Mittel, umfassend eine Verbindung gemäß Anspruch 1 zusammen mit einem pharmazeutisch unbedenklichen Träger.

5 Patentansprüche für folgende Vertragsstaaten : ES, GR

1. Verfahren zur Herstellung einer Verbindung der Formel:



wobei:

X ausgewählt ist aus Amino, NR^1R^2 oder Halogen und Halogen ausgewählt ist aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass $\text{X} = \text{NR}^1\text{R}^2$ und $\text{R}^1 = \text{Wasserstoff}$ ist, dann ist

$\text{R}^2 = \text{Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl}$ oder 1,1-Dimethylethyl;

und für den Fall, dass $\text{R}^1 = \text{Methyl}$ oder Ethyl ist, dann ist

$\text{R}^2 = \text{Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl}$ oder 2-Methylpropyl;

und für den Fall, dass $\text{R}^1 = \text{n-Propyl}$ ist, dann ist

$\text{R}^2 = \text{n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl}$ oder 2-Methylpropyl;

und für den Fall, dass $\text{R}^1 = 1\text{-Methylethyl}$ ist, dann ist

$\text{R}^2 = \text{n-Butyl, 1-Methylpropyl}$ oder 2-Methylpropyl;

und für den Fall, dass $\text{R}^1 = \text{n-Butyl}$ ist, dann ist

$\text{R}^2 = \text{n-Butyl, 1-Methylpropyl}$ oder 2-Methylpropyl;

und für den Fall, dass $\text{R}^1 = 1\text{-Methylpropyl}$ ist, dann ist

$\text{R}^2 = 2\text{-Methylpropyl}$;

R ausgewählt ist aus $\text{R}^4(\text{CH}_2)_n\text{CO-}$ oder $\text{R}^4(\text{CH}_2)_n\text{SO}_2^-$;

und für den Fall, dass $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO-}$ und $n = 0$ ist, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem

$(\text{C}_1\text{-C}_6)$ -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem

Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder

verzweigten $(\text{C}_1\text{-C}_4)$ -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl,

2-Methylpropyl oder 1,1-Dimethylethyl; einer $(\text{C}_3\text{-C}_6)$ -Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl,

Cyclopentyl oder Cyclohexyl; einer substituierten $(\text{C}_3\text{-C}_6)$ -Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus

$(\text{C}_1\text{-C}_3)$ -Alkyl, Cyano, Amino oder $(\text{C}_1\text{-C}_3)$ -Acyl); einer $(\text{C}_6\text{-C}_{10})$ -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten $(\text{C}_6\text{-C}_{10})$ -Arylgruppe (wobei eine Substitution ausgewählt wird aus

Halogen, $(\text{C}_1\text{-C}_4)$ -Alkoxy, Trihalogen- $(\text{C}_1\text{-C}_3)$ -alkyl, Nitro, Amino, Cyano, $(\text{C}_1\text{-C}_4)$ -Alkoxy-carbonyl, $(\text{C}_1\text{-C}_3)$ -Alkyl-

amino oder Carboxy); einer $(\text{C}_7\text{-C}_9)$ -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder

Phenylpropyl; einer α -Amino- $(\text{C}_1\text{-C}_4)$ -alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl

oder α -Aminobutyl; einer Carboxy- $(\text{C}_2\text{-C}_4)$ -alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure und α -Aminopropionsäure und deren optischen Isomeren; einer $(\text{C}_7\text{-C}_9)$ -Aralkylaminogruppe; einer $(\text{C}_1\text{-C}_4)$ -

Alkoxy-carbonyl-amino-substituierten $(\text{C}_1\text{-C}_4)$ -Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder

p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer α -Mercapto-(C₁-C₃)-alkylgruppe, ausgewählt aus Mercapto-methyl, α -Mercaptoethyl, α -Mercapto-1-methylethyl oder α -Mercaptopropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



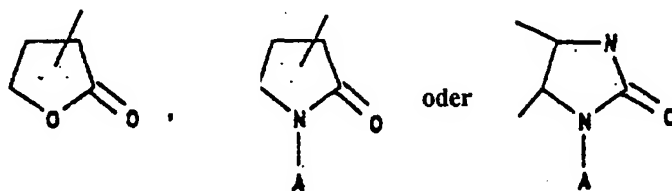
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

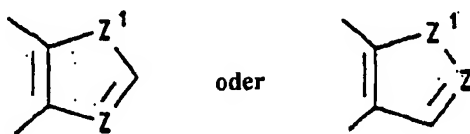


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



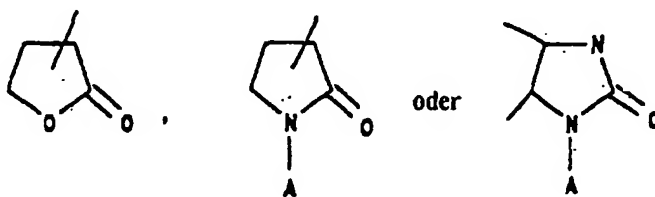
10 $Z = N, O, S$ oder $Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



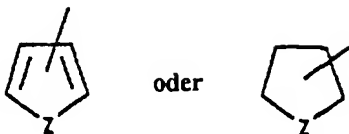
20 Z oder $Z^1 = N, O, S$ oder $Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



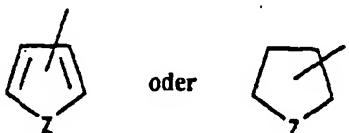
35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl; substituiertem C_6 -Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C_1-C_3) -Alkylgruppe, Halogen, einer (C_6-C_{10}) -Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy), einer Halogen- (C_1-C_3) -alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



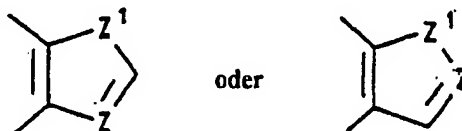
Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino, oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C₃-C₆)-Cycloalkylcarbonyloxy, (C₆-C₁₀)-Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Halogen-substituiertem (C₆-C₁₀)-Aroyloxy, (C₁-C₄)-Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



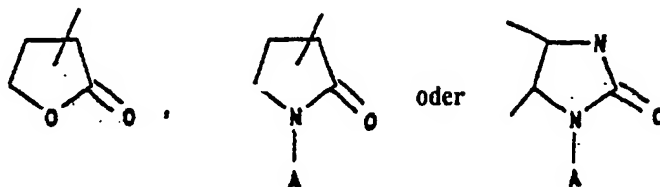
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



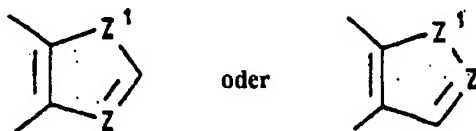
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benz- oder Pyridoring aufweist:



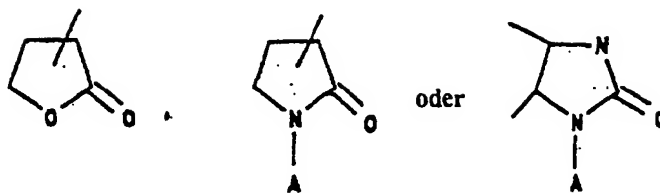
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



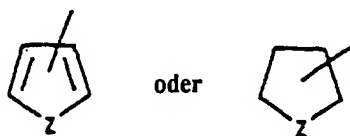
Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



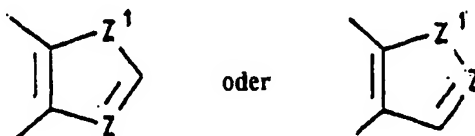
10 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

15 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C₂-C₅)-Azacycloalkylgruppe; einer Carboxy-(2-4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminopropionsäure, α-Aminobutyrsäure und deren optischen Isomeren; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₁-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

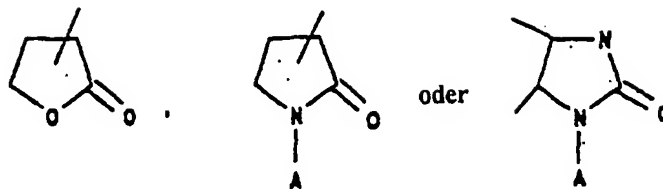
40 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



50 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:

5



- (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy; einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
- oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylamino-Gruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für (CH₂)_n, n = 2 bis 6, steht, oder für -(CH₂)₂W(CH₂)₂ steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂ steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;
- und für den Fall, dass R = R⁴ (CH₂)_nSO₂ und n = 0, dann wird R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

45

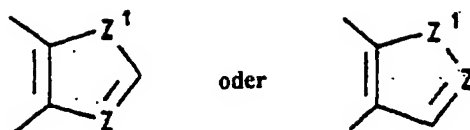


50

Z = N, O, S oder Se

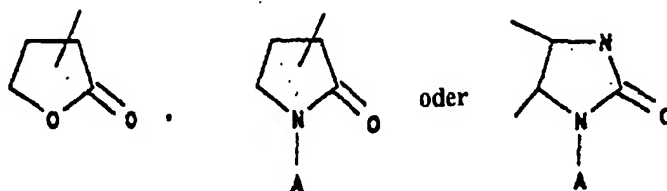
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

55



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist; das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, dass R = R⁴ (CH₂)_nSO₂- und n = 1 bis 4, dann wird

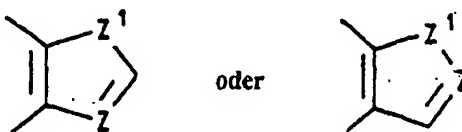
R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₁-C₄)-Carboxyalkylgruppe; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einen substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)_n-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus - (C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen; (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₉)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Hete-

roatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



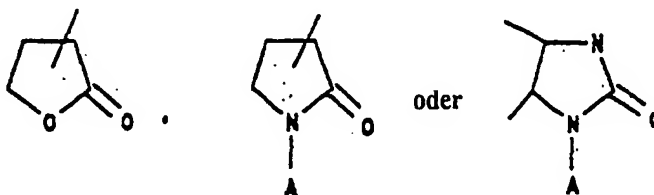
10 $Z = N, O, S$ oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist kann:



20 Z oder $Z^1 = N, O, S$ oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:



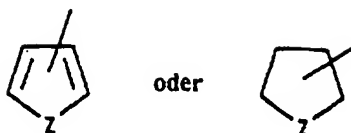
30 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

35 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C₁-C₆)-Alkylarninogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

40

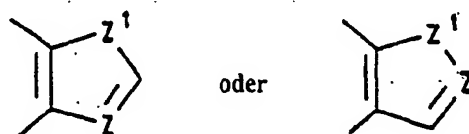
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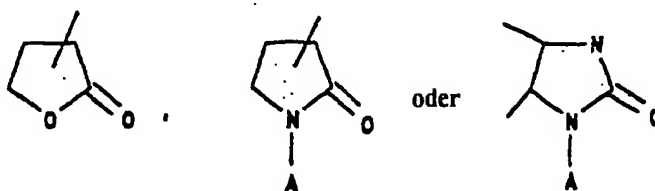
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

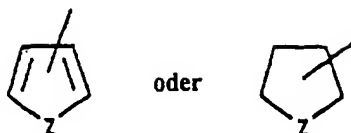
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

45 R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



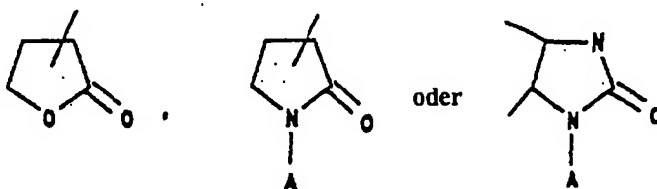
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

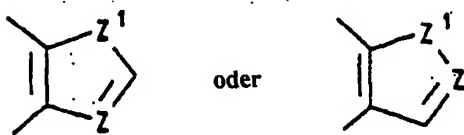
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

45 R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



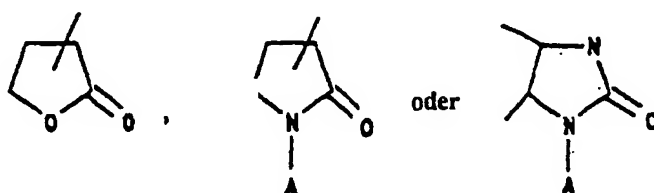
55 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

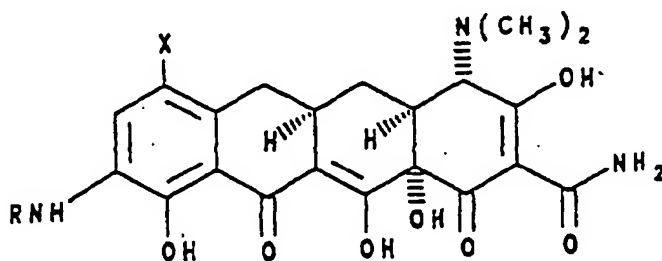


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

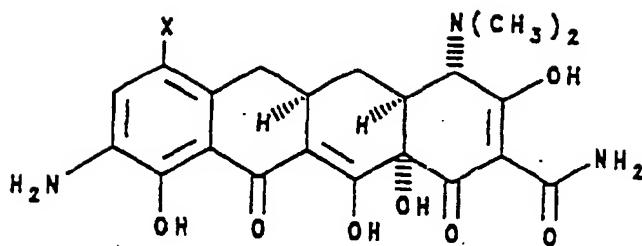
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon; wobei das Verfahren eine der folgenden Stufen (a) bis (c) umfasst:

(a) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:

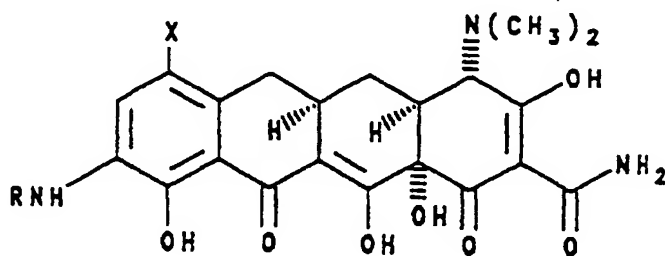


wobei, X = NR¹R², die eine Umsetzung eines 9-Amino-7-(substituiertes Amino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

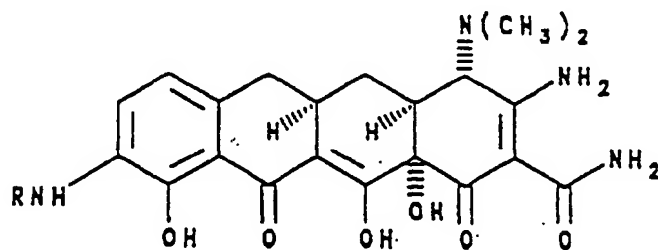


15 mit einem Acylhalogenid der Formel R-Halogenid, einem Acylanhydrid der Formel R-Anhydrid, einem gemischten Acylanhydrid der Formel R-Anhydrid, einem Sulfonylhalogenid der Formel R-Halogenid oder einem Sulfonylanhydrid der Formel R-Anhydrid in Gegenwart eines geeigneten Säure-Scavengers in einem geeigneten Lösungsmittel, umfasst;

(b) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:

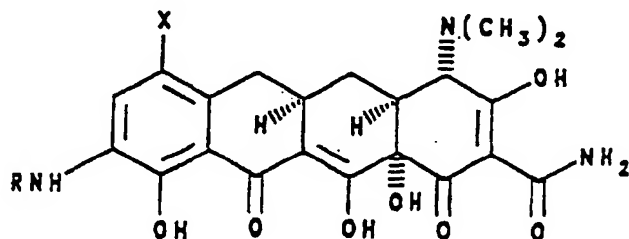


30 wobei X für Halogen steht, die eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

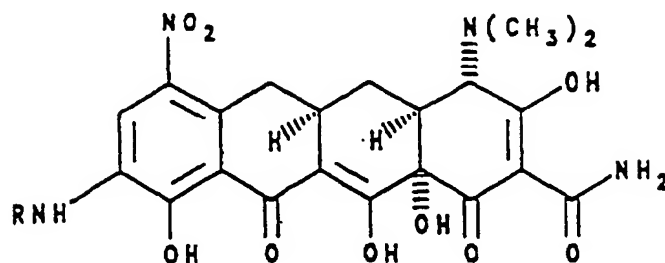


45 mit einem Halogenierungsmittel, umfasst;

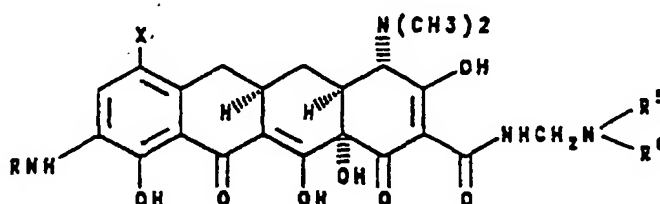
(c) die Stufe der Herstellung der oben definierten Verbindung der folgenden Formel:



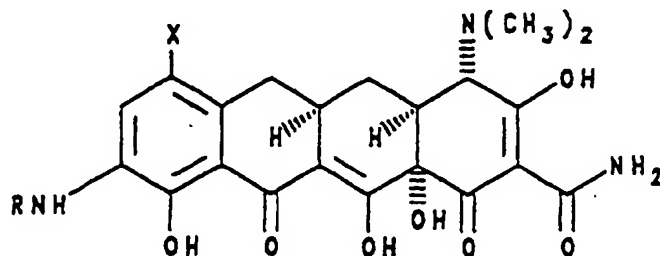
wobei $X = NR^1R^2$, die eine Umsetzung einer Verbindung der folgenden Formel:



wobei R wie oben definiert ist, mit einem geeigneten (C₁-C₄)-geradkettigen oder verzweigten Aldehyd oder Keton in Gegenwart einer Säure und Wasserstoff, umfasst;
sowie gegebenenfalls eine Stufe umfasst zur Herstellung einer oben definierten Verbindung der folgenden Formel:



wobei, $X = NR^1R^2$ oder Halogen, die eine Umsetzung eines oben definierten 9-(substituiertes Amino)-7-(Halogen oder substituiertes Amino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:



mit einem primären oder sekundären Amin in Gegenwart von Formaldehyd umfasst.

2. Das Verfahren nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR^1R^2 oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass $X = NR^1R^2$ und $R^1 =$ Wasserstoff ist, dann ist

$R^2 =$ Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass $R^1 =$ Methyl oder Ethyl, dann ist

$R^2 =$ Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R ausgewählt wird aus $R^4(CH_2)_nCO-$ oder $R^4(CH_2)_nSO_2-$;

und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird

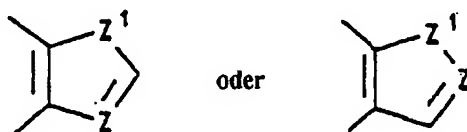
R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino,

Piperidiny, Morpholiny, 1-Imidazoly, 1-Pyrroly, 1-(1,2,3-Triazoly) oder 4-(1,2,4-Triazoly); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α -Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α -Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrinsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



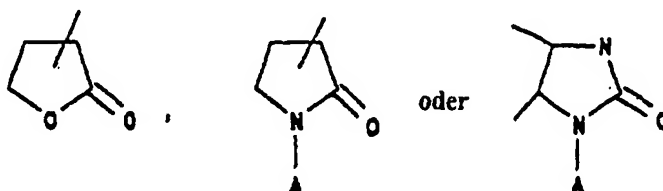
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-sub-

stituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigem aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



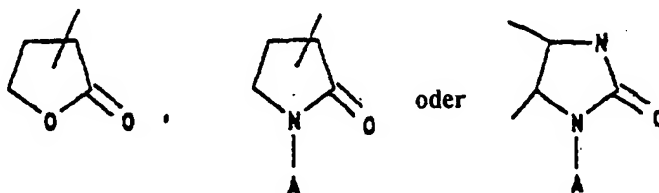
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



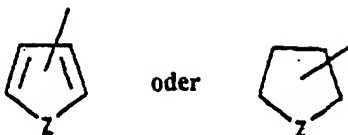
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxyl, einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:

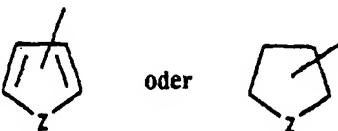


Z = N, O, S oder Se;

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

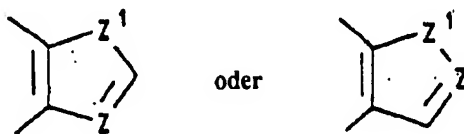
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



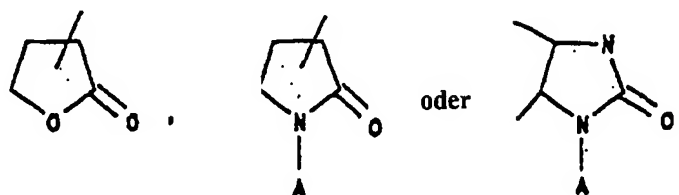
Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



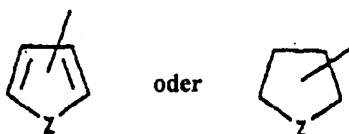
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



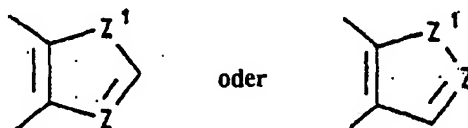
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se

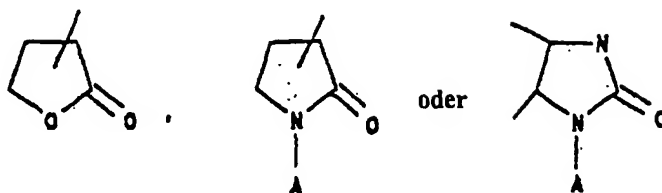
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl; (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



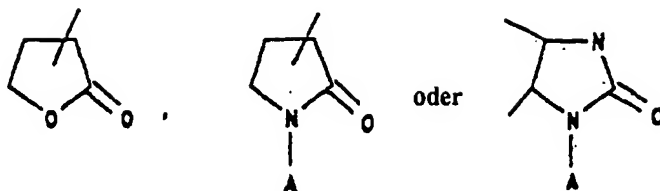
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



10 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

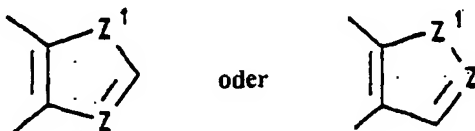
15 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; und für den Fall, dass R = R^{4'}(CH₂)_nSO₂- und n = 0, dann wird

20 R^{4'} ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



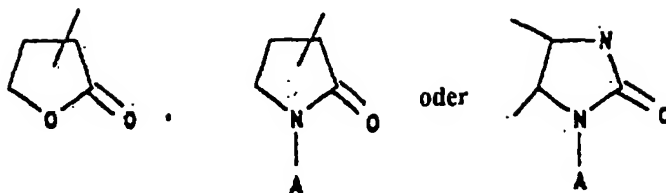
Z = N, O, S oder Se,

40 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



50 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2^-$ ist und $n = 1$ bis 4, dann wird

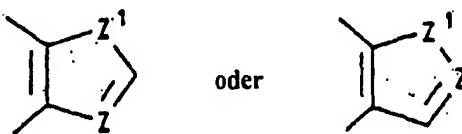
R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxyl oder substituiertem Phenoxyl, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₄)-Carboxyalkylgruppe;

R^5 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

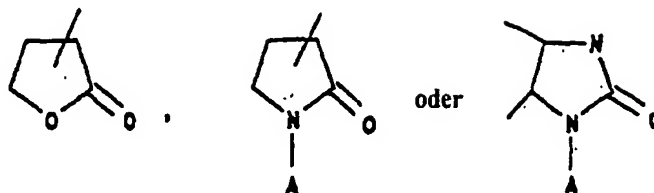
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

benachbart gebundenen O-Heteroatom:

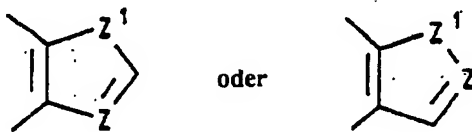


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff;
 einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;
 R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



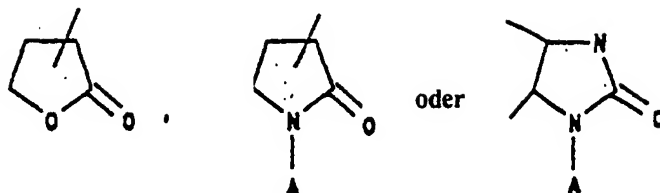
35 Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

3. Das Verfahren nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Wasserstoff ist, dann ist

R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;

und für den Fall, dass R¹ = Methyl oder Ethyl ist, dann ist

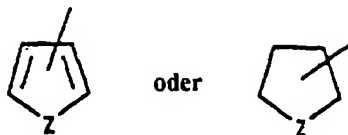
R² = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

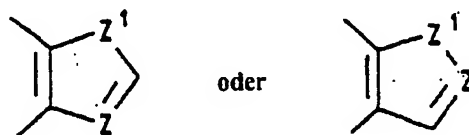
R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino,

Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxy-carbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



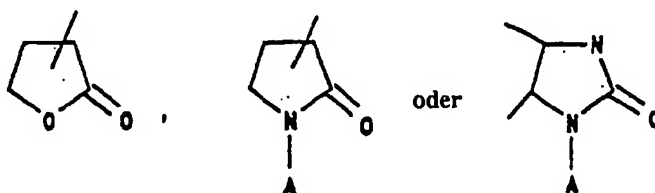
10 $Z = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



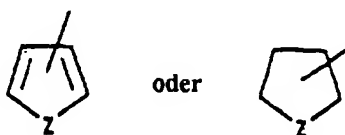
20 $Z \text{ oder } Z^1 = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se}$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

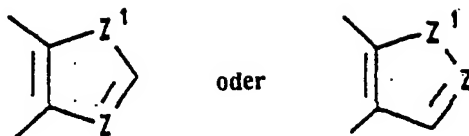
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



55 $Z = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

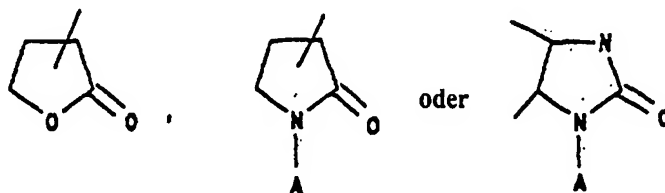
oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten

Benzo- oder Pyridoring aufweisen kann:



10 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



25 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

30 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl, β-Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



50 Z = N, O, S oder Se,

55 einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy), oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-

C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für - (CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

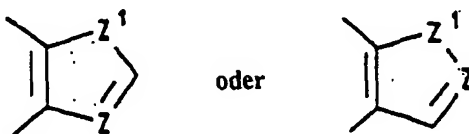
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



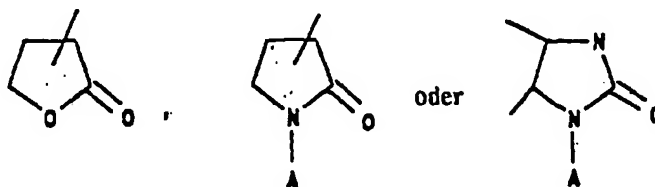
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z' = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



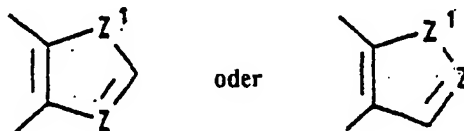
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



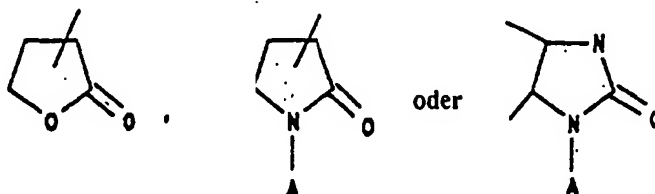
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



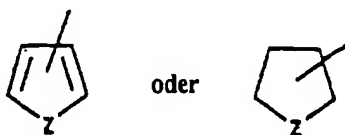
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



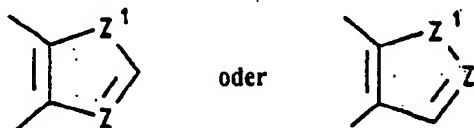
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



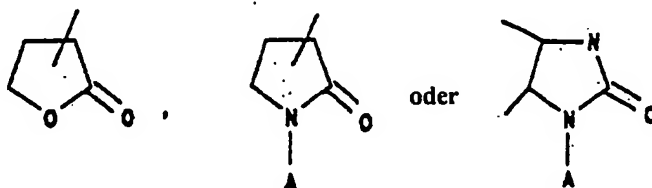
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

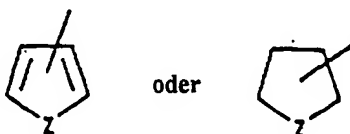
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

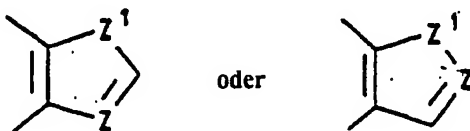
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; und für den Fall, dass R = R^{4'}(CH₂)_nSO₂- und n = 0, dann wird

R^{4'} ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₄)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



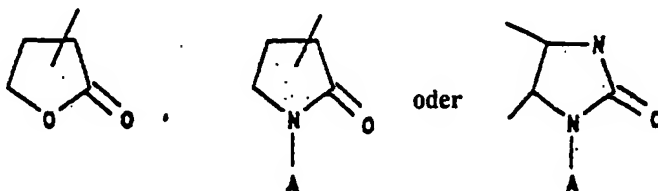
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

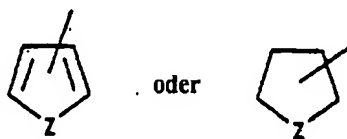
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; und für den Fall, dass R = R^{4'}(CH₂)_nSO₂- ist und n = 1 bis 4, dann wird

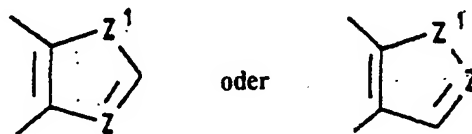
R^{4'} ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

einer R^aR^b -Amino-(C_1 - C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, $n = 2$ bis 6, oder $(CH_2)_2W(CH_2)_2$, wobei W ausgewählt wird aus -N(C_1 - C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1 - C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, $n = 2$ bis 6, oder $-(CH_2)_2W(CH_2)_2$, wobei W ausgewählt wird aus -N(C_1 - C_3)-alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1 - C_3)-Alkyl], O oder S;
 R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



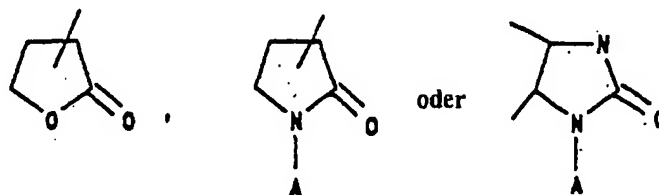
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



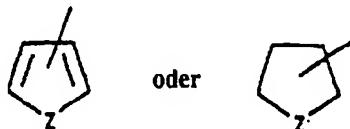
Z oder $Z' = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



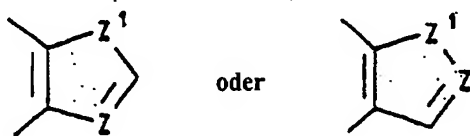
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $-(CH_2)_nCOOR^7$, wobei $n = 0$ bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

R^6 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1 - C_3)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7 - C_9)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



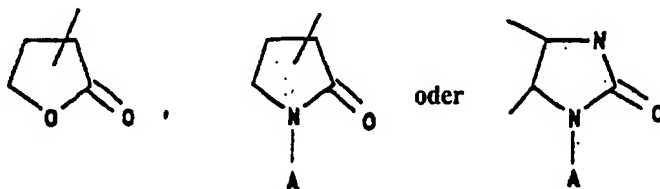
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder $Z^1 = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxycarbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $(CH_2)_nCOOR^7$, wobei $n = 0$ bis 4, und R^7 wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C_1 - C_3)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C_6 - C_{10})-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; mit der Maßgabe, dass R^5 und R^6 nicht gleichzeitig Wasserstoff sein können;

oder R^5 und R^6 bedeuten zusammen $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus $(CH_2)_n$ und $n = 0$ bis 1, $-NH$, $-N(C_1-C_3)$ -Alkyl [geradkettig oder verzweigt], $-N(C_1-C_4)$ -Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

4. Das Verfahren nach Anspruch 1, wobei:

X ausgewählt wird aus Amino, NR^1R^2 oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass $X = NR^1R^2$ und $R^1 =$ Wasserstoff ist, dann ist

R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl;
und für den Fall, dass R^1 = Methyl oder Ethyl, dann ist

R^2 = Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

R wird ausgewählt aus $R^4(CH_2)_nCO-$ oder $R^4(CH_2)_nSO_2-$;

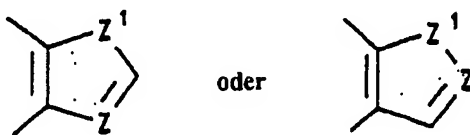
5 und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_2)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C_6-C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxy-carbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2-C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobuttersäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1-C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1-C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



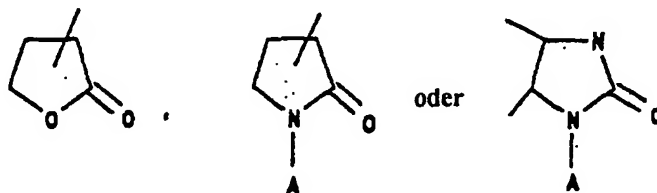
$Z = N, O, S$ oder Se ,

30 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



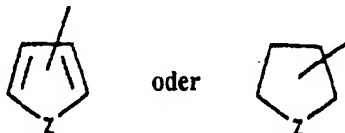
Z oder $Z' = N, O, S$ oder Se

45 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxy-carbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer (C_7-C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxycarbonyl, einem geradkettigen oder verzweigten Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe], Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

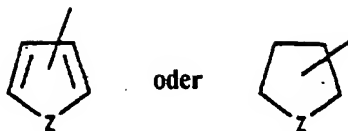


Z = N, O, S oder Se];

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

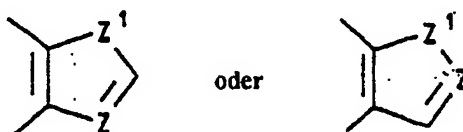
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino, einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



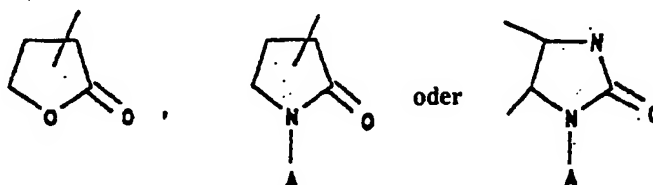
10 $Z = N, O, S \text{ oder } Se,$

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z^1 = N, O, S \text{ oder } Se$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



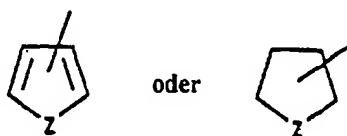
30 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxy-carbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4) -Alkoxygruppe, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, wobei $n = 2$ bis 6, oder $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, wobei $n = 2$ bis 6, oder $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus -N (C_1-C_3) -Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3) -Alkyl], O oder S; einer α -Hydroxy- (C_1-C_3) -alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen- (C_1-C_3) -alkylgruppe; einer (C_1-C_4) -Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

und für den Fall, daß $R = R^4(CH_2)_nSO_2-$ und $n = 0$, dann wird

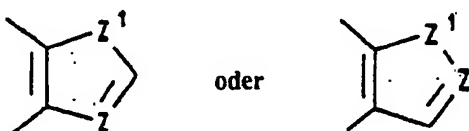
35 R^4 ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; eine substituierte (C_6-C_{10}) -Arylgruppe, wobei eine Substitution ausgewählt wird aus

Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



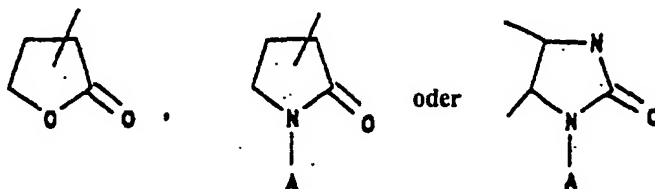
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass R = R⁴ (CH₂)_nSO₂- und n = 1 bis 4, dann wird

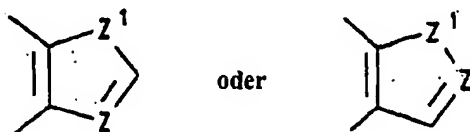
R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



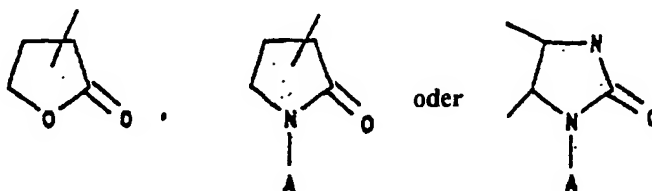
10 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 Z oder $Z' = N, O, S$ oder Se ,

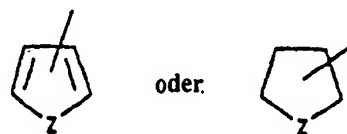
25 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

45 R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



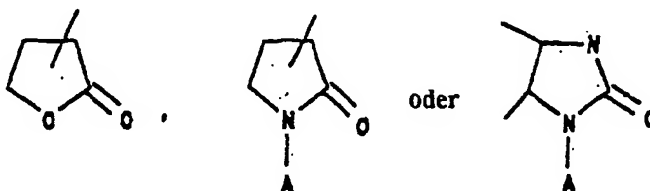
55 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 - 4 ist und R⁷ ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂, wobei W ausgewählt wird aus (CH₂)_n und n = 0 - 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

5. Das Verfahren nach Anspruch 1, wobei

X ausgewählt wird aus Amino, NR¹R² oder Halogen; wobei das Halogen ausgewählt wird aus Brom, Chlor, Fluor oder Iod;

und für den Fall, dass X = NR¹R² und R¹ = Methyl oder Ethyl ist, dann ist

R² = Methyl oder Ethyl;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

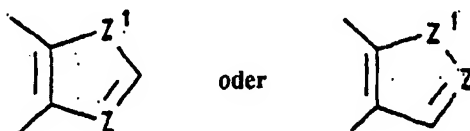
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, Amino oder (C₁-C₂)-Alkoxycarbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



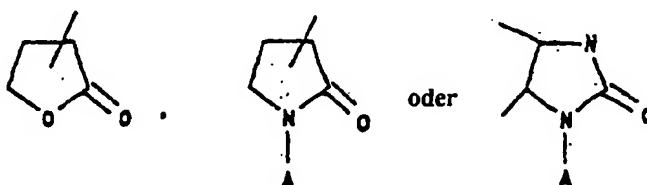
10 $Z = N, O \text{ oder } S,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z' = N, O \text{ oder } S,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substitu-

40 ierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe]; einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl; einer (C_7-C_9) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b eine geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

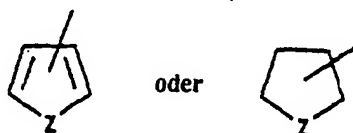
und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 1$ bis 4 ist, dann wird

45 R^4 ausgewählt aus Wasserstoff; einer (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, Amino, (C_1-C_4) -Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C_1-C_4) -Alkoxygruppe; carbonyl, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist $(CH_2)_n$, wobei $n = 2$ bis 6, oder -

$(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder R^aR^b ist $(\text{CH}_2)_n$ steht, wobei n = 2 bis 6, oder $(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

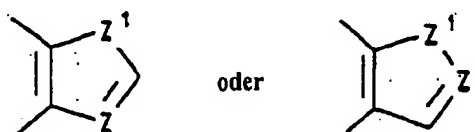
und für den Fall, daß $\text{R} = \text{R}^4(\text{CH}_2)_n\text{SO}_2$ und n = 0, dann wird

R⁴ ausgewählt aus einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, (C₁-C₄)-Alkoxy-carbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O oder S

und für den Fall, dass $\text{R} = \text{R}^4(\text{CH}_2)_n\text{SO}_2$ und n = 1 bis 4, dann wird

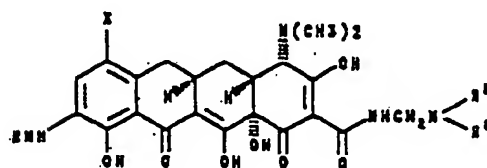
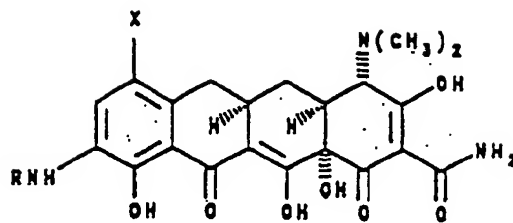
R⁴ ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ sind zusammen $(\text{CH}_2)_2\text{W}(\text{CH}_2)_2$, wobei W ausgewählt wird aus $(\text{CH}_2)_n$ und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

6. Verfahren zur Herstellung einer Verbindung der Formel:



wobei:

Y für NO_2 steht;

R ausgewählt ist aus $\text{R}^4(\text{CH}_2)_n\text{CO}-$ oder $\text{R}^4(\text{CH}_2)_n\text{SO}_2-$;

und für den Fall, dass $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO}-$ und $n = 0$ ist, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1 - C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem

Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder

verzweigten (C_1 - C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C_3 - C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl,

Cyclopentyl oder Cyclohexyl; einer substituierten (C_3 - C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1 - C_3)-Alkyl, Cyano, Amino oder (C_1 - C_3)-Acy); einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -

Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkyl-

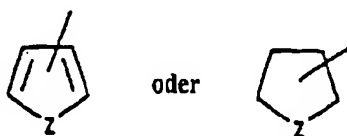
amino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer α -Amino-(C_1 - C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl

oder α -Aminobutyl; einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure und α -Aminopropionsäure und deren optischen Isomeren; einer (C_7 - C_9)-Aralkylaminogruppe; einer (C_1 - C_4)-

Alkoxy-carbonyl-amino-substituierten (C_1 - C_4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl

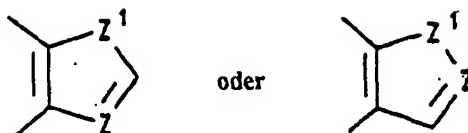
oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer α -Mercapto-(C_1 - C_3)-alkylgruppe, ausgewählt aus Mercaptomethyl, α -Mercaptoethyl, α -Mercapto-1-methylethyl oder α -Mercaptopropyl; einer Halogen-(C_1 - C_3)-alkyl-

gruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



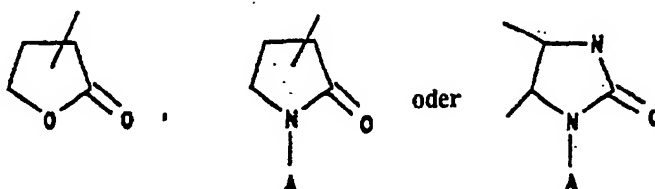
$\text{Z} = \text{N}, \text{O}, \text{S} \text{ oder } \text{Se},$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:

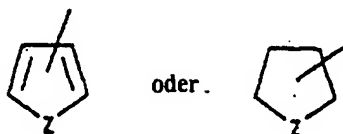


10 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

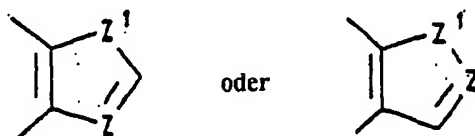


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Aryl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl) oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder einer Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



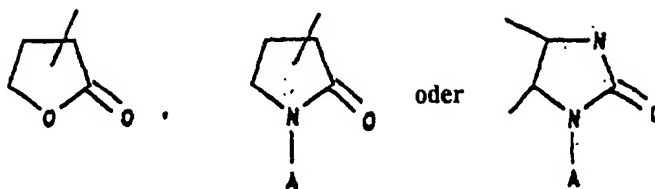
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



55 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei die Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;
 und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird
 R⁴ ausgewählt aus Wasserstoff; Amino, einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl, oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino, oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, nitro, amino, cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyloxy, Propionyloxy, Chloracetyloxy, Trichloracetyloxy, (C₃-C₆)-Cycloalkylcarbonyloxy, (C₆-C₁₀)-Aroyloxy, ausgewählt aus Benzoyloxy oder Naphtoyloxy, Ha-

logen-substituiertem (C₆-C₁₀)-Aroyloxy, (C₁-C₄)-Alkylbenzoyloxy, oder (Heterocyclus)carbonyloxy, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



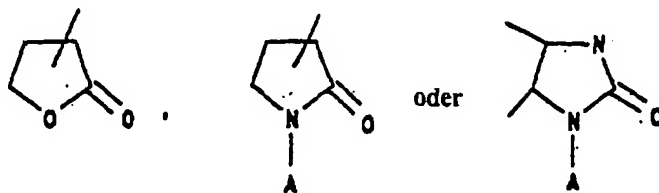
Z = N, O, S oder Se,

15 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



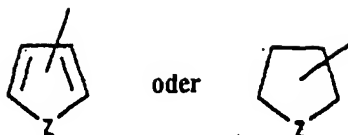
25 Z oder Z¹ = N, O, S oder Se,

30 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



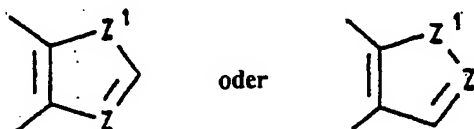
40 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

45 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Phenylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder einem substituierten Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₈)-Aralkylthiogruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benz- oder Pyridoring aufweist:



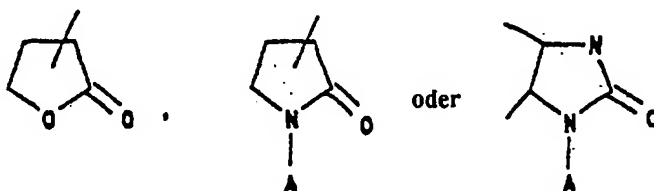
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



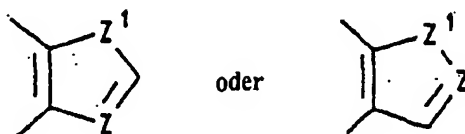
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer Mercaptogruppe; einer mono- oder di-geradkettigen oder -verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer (C₂-C₅)-Azacycloalkylgruppe; einer Carboxy-(2-4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminopropionsäure, α-Aminobutyrsäure und deren optischen Isomeren; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacyl oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₁-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, bei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



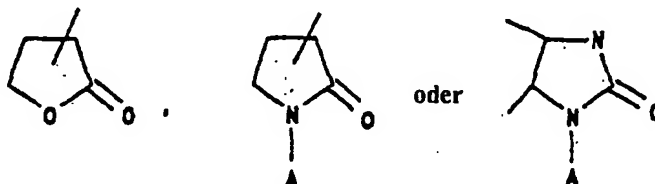
10 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



20 Z oder $Z' = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy; einer (C₇-C₉)-Arafllylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; einer (C₁-C₄)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder wobei R^aR^b für (CH₂)_n, n = 2 bis 6, steht, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder eine R^aR^b-Aminoxygruppe, wobei R^aR^b geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, dass $R = R^4$ (CH₂)_nSO₂- und n = 0, dann wird

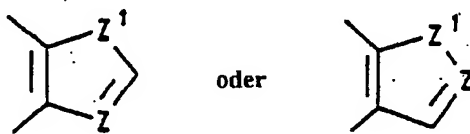
55 R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten

(C₁-C₄)-Alkylgruppe, die ausgewählt ist aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe, (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acy); einer (C₆-C₁₀)-Arylgruppe, ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierte (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



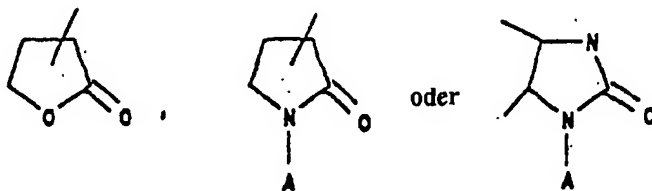
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbarten gebundenen O-Heteroatom:



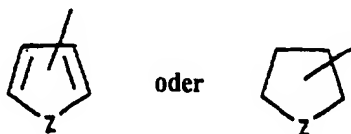
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b steht für (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig

oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, dass $R = R^4 (CH_2)_n SO_2^-$ und $n = 1$ bis 4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder 1,1-Dimethylethyl; einer (C₁-C₄)-Carboxyalkylgruppe; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-Alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl; einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₄)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder -(CH₂)₂W(CH₂)_n-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, $n = 2$ bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus - (C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio oder n-Propylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (eine Substitution wird ausgewählt aus Halogen, (C₁-C₃)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₈)-Aralkylthiogruppe; einer heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



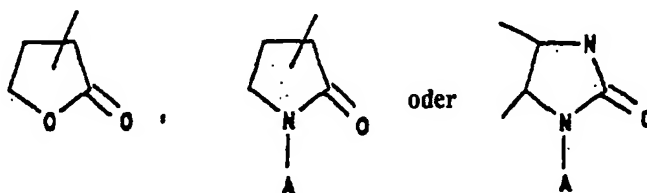
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist kann:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatomen:



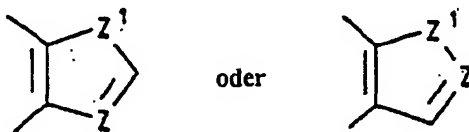
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe, einer Mercaptogruppe; einer mono- oder di-geradkettig oder verzweigten (C₁-C₆)-Alkylaminogruppe, ausgewählt aus Methyl-, Ethyl-, n-Propyl-, 1-Methylethyl-, n-Butyl-, 1-Methylpropyl-, 2-Methylpropyl-, 1,1-Dimethylethyl-, 2-Methylbutyl-, 1,1-Dimethylpropyl-, 2,2-Dimethylpropyl-, 3-Methylbutyl-, n-Hexyl-, 1-Methylpentyl-, 1,1-Dimethylbutyl-, 2,2-Dimethylbutyl-, 2-Methylpentyl-, 1,2-Dimethylbutyl-, 1,3-Dimethylbutyl- oder 1-Methyl-1-ethylpropylamino; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



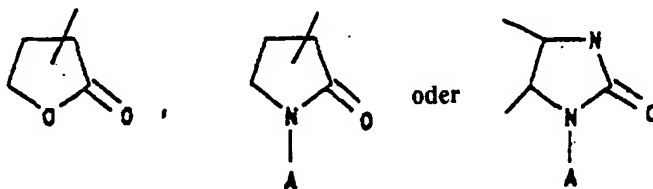
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart

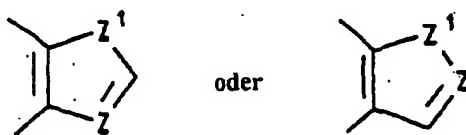
gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, Allyloxycarbonyl oder geradkettigem oder verzweigtem Butoxycarbonyl;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



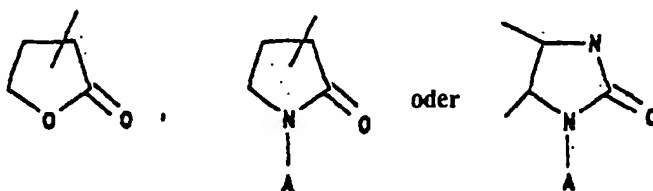
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



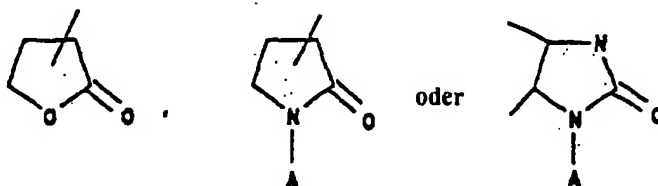
10
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



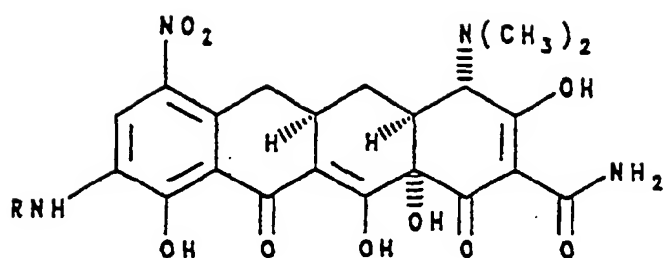
35
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt ist aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

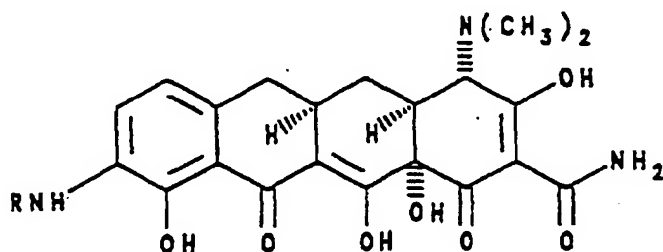
45
oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH-, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon;

50
wobei das Verfahren eine der folgenden Stufen (d) oder (e) umfasst:

(d) die Stufe der Herstellung einer Verbindung der oben definierten Formel

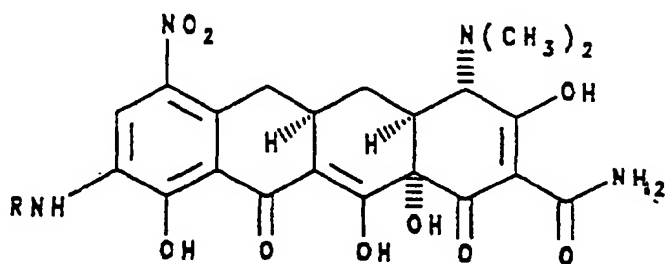


die eine Umsetzung eines 9-(Acyl- oder Sulfonylamino)-6-demethyl-6-deoxytetracyclins der folgenden Formel:

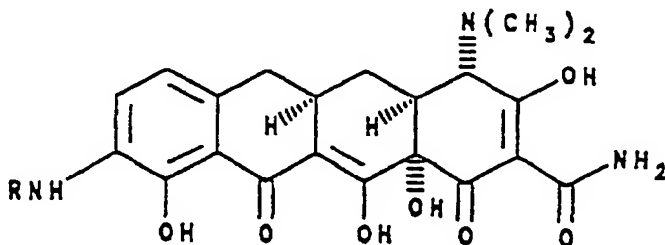


25 mit einem Metallnitrat und einer starken Säure umfasst;

(e) die Stufe der Herstellung einer Verbindung der oben definierten Formel



die eine Umsetzung einer Verbindung der folgenden Formel:



55 mit Salpetersäure und einer starken Säure umfasst.

7. Das Verfahren nach Anspruch 6, wobei
Y für NO₂ steht;

R ausgewählt wird aus $R^4(CH_2)_nCO-$ oder $R^4(CH_2)_nSO_2-$;

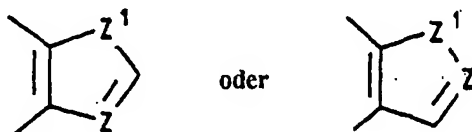
und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 0$, dann wird

R^4 ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C_1-C_6)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C_1-C_4)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; einer (C_3-C_6)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C_3-C_6)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_3)-Alkyl, Cyano, Amino oder (C_1-C_3)-Acyl); einer (C_6-C_{10})-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxycarbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer α -Amino-(C_1-C_4)-alkylgruppe, ausgewählt aus Aminomethyl, α -Aminoethyl, α -Aminopropyl oder α -Aminobutyl; einer Carboxy-(C_1-C_4)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optischen Isomeren; einer (C_7-C_9)-Aralkylaminogruppe; einer (C_1-C_4)-Alkoxycarbonylamino-substituierten (C_1-C_4)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α -Hydroxy-(C_1-C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1-C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



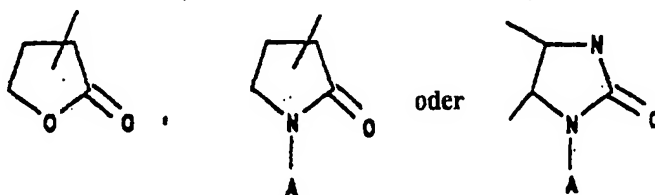
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



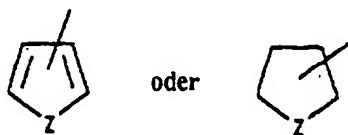
Z oder $Z^1 = N, O, S$ oder Se ,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



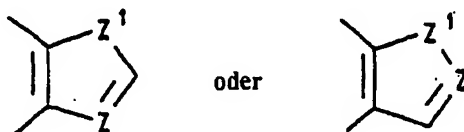
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cy-

ano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem
 5 sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart
 gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl,
 Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-sub-
 stituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt
 wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der
 gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



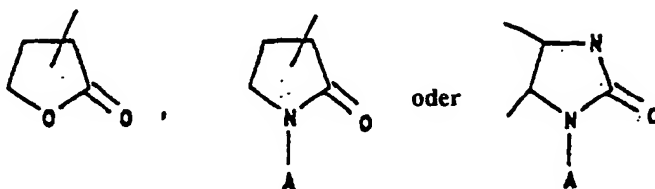
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten
 20 Benzo- oder Pyridoring aufweisen kann:



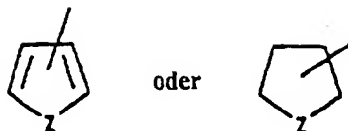
Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem
 35 benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-
 45 Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cy-
 ano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus
 Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem
 50 sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart
 gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycar-
 bonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder
 Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer
 (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer
 substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Triha-
 55 logen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxyl, einer Halo-
 gen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen, aromatischen oder
 gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder
 Pyridoring aufweisen kann:



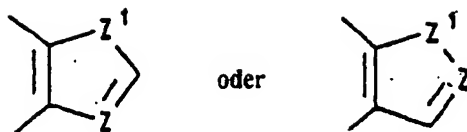
Z = N, O, S oder Se];

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einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halögen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für -(CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird
R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morphinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy- oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



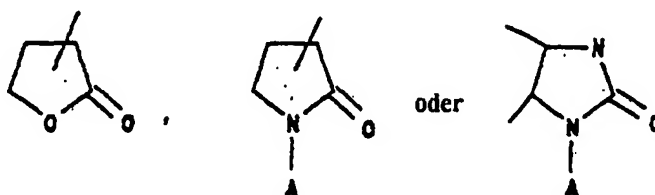
45
Z = N, O, S oder Se;

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



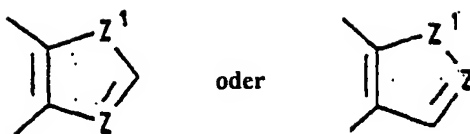
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer, (C₁-C₄)-Alkoxygruppe; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₁-C₃)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C₆-Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano; (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



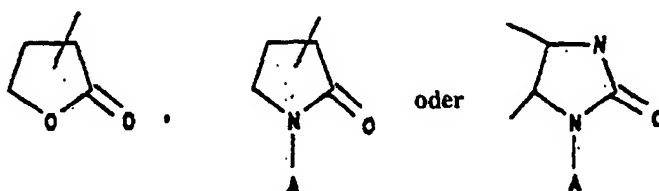
Z = N, O, S oder Se

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



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Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



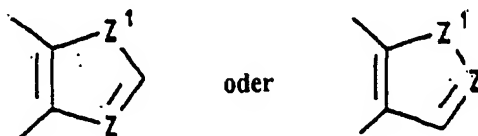
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



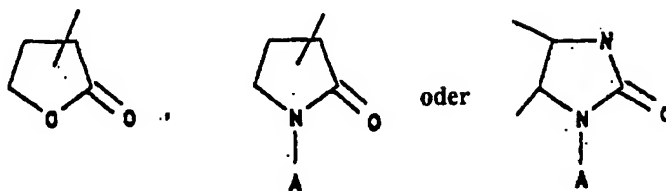
45
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

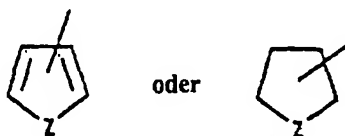
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

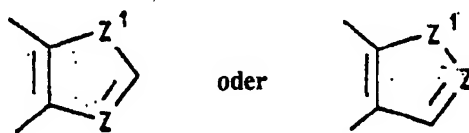
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe; und für den Fall, dass R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



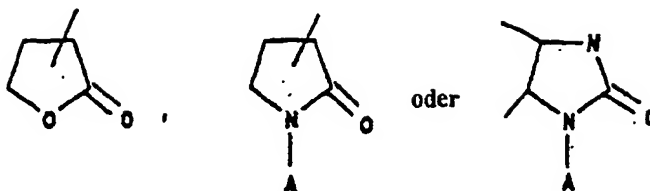
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4(CH_2)_nSO_2^-$ ist und $n = 1$ bis 4, dann wird

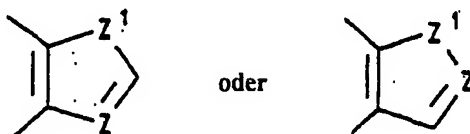
R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer (C₇-C₁₀)-Aralkyloxygruppe; einer (C₁-C₄)-Carboxyalkylgruppe;

R⁵ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se,

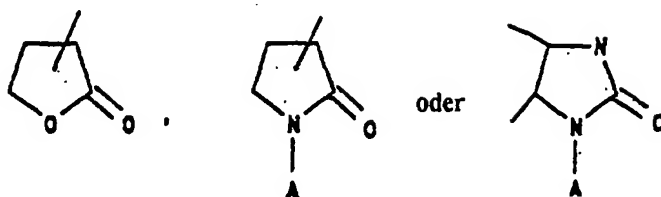
oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem

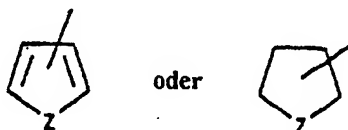
benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

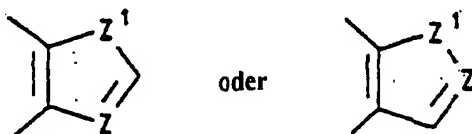
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

R⁶ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



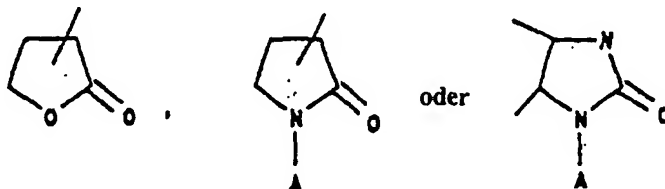
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₄₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder -D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

8. Das Verfahren nach Anspruch 6, wobei

Y für NO₂ steht;

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

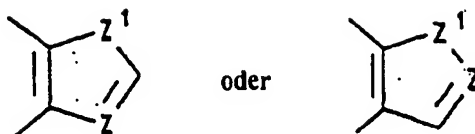
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0 ist, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₄)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₃-C₆)-Cycloalkylgruppe, ausgewählt aus Cyclopropyl, Cyclobutyl, Cyclopentyl oder Cyclohexyl; einer substituierten (C₃-C₆)-Cycloalkylgruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₃)-Alkyl, Cyano, Amino oder (C₁-C₃)-Acyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer α-Amino-(C₁-C₄)-alkylgruppe, ausgewählt aus Aminomethyl, α-Aminoethyl, α-Aminopropyl oder α-Aminobutyl; einer Carboxy-(C₁-C₄)-alkylaminogruppe, ausgewählt aus Aminoessigsäure, α-Aminobutyrinsäure oder α-Aminopropionsäure und deren optischen Isomeren; einer (C₇-C₉)-Aralkylaminogruppe; einer (C₁-C₄)-Alkoxycarbonylamino-substituierten (C₁-C₄)-Alkylgruppe, wobei eine Substitution ausgewählt wird aus Phenyl oder p-Hydroxyphenyl; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



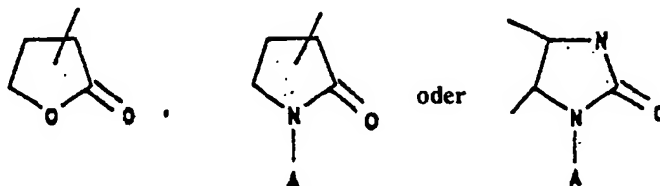
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



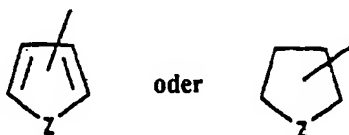
Z oder Z¹ = N, O, S oder Se

10 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



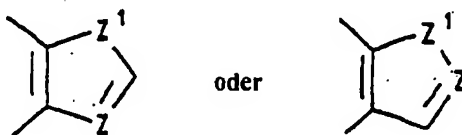
(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),
 25 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:

30



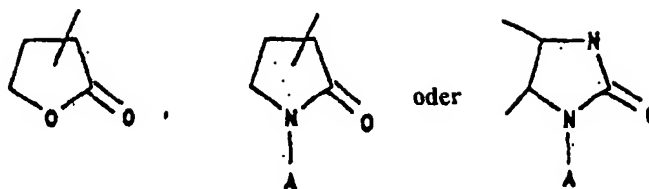
Z = N, O, S oder Se,

45 oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



55 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl- oder substituierten Vinylgruppe, wobei eine Substitution ausgewählt wird aus einer (C₁-C₃)-Alkylgruppe, Halogen, einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy), einer Halogen-(C₁-C₃)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



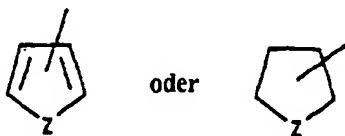
Z = N, O, S oder Se,

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di-(C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy), oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α -Naphthyl oder β -Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b für (CH₂)_n steht, wobei n = 2 bis 6, oder für - (CH₂)₂W(CH₂)₂- steht, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Ha-

logen-substituiertem (C_6-C_{10})-Aroyl, (C_1-C_4)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



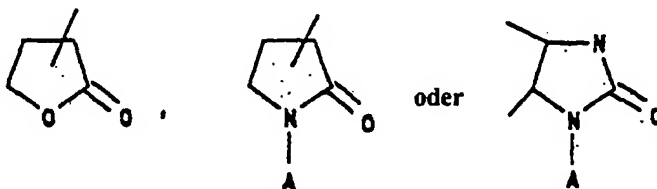
$Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder $Z' = N, O, S$ oder Se

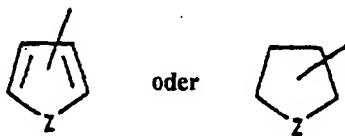
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4)-Alkoxy, Trihalogen-(C_1-C_3)-alkyl, Nitro, Amino, Cyano, (C_1-C_4)-Alkoxy-carbonyl, (C_1-C_3)-Alkylamino oder Carboxy); einer (C_7-C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

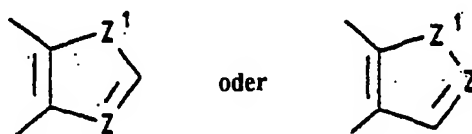
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1-C_4)-Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1-C_3)-alkylamino; einer R^aR^b -Amino-(C_1-C_4)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist $(CH_2)_n$, $n = 2$ bis 6, oder $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3)-Alkyl], O oder S; oder einer R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist $(CH_2)_n$, $n = 2$ bis 6, oder $-(CH_2)_2W(CH_2)_2-$, wobei W ausgewählt wird aus -N(C_1-C_3)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C_1-C_3)-Alkyl], O oder S; einer (C_1-C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder substituiertem Phenylthio (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C_1-C_3)-alkylamino); einer (C_1-C_3)-Alkylthiogruppe, ausgewählt aus Methylthio, Ethylthio, Propylthio oder Allylthio; einer C_6 -Arylthiogruppe, ausgewählt aus Phenylthio oder sub-

stituiertem Phenylthio, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, Di-(C₁-C₃)-alkylamino); einer C₆-Arylsulfonylgruppe, ausgewählt aus Phenylsulfonyl oder substituiertem Phenylsulfonyl (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



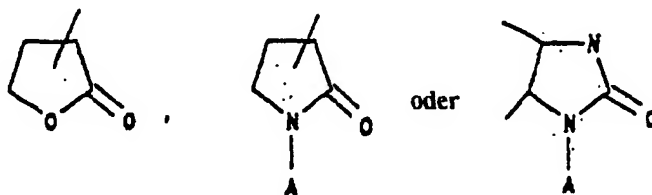
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer Hydroxygruppe; einer α -Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer Acyl- oder Halogenacylgruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trifluoracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, das ausgewählt wird aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzoyl, oder (Heterocyclus)-carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



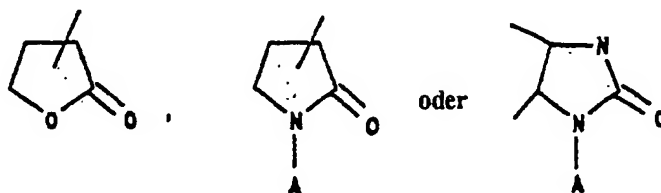
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:

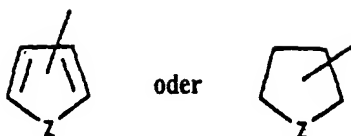


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxycarbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

und für den Fall, dass R = R^{4'}(CH₂)_nSO₂- und n = 0, dann wird

R^{4'} ausgewählt aus Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₄)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigtem (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



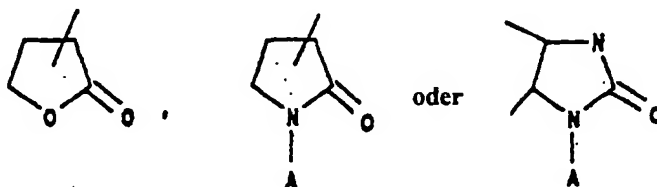
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatom und einem benachbart gebundenen O-Heteroatom:

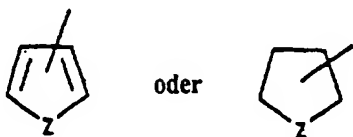


(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl), oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; und für den Fall, dass R = R⁴(CH₂)_nSO₂- ist und n = 1 bis 4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

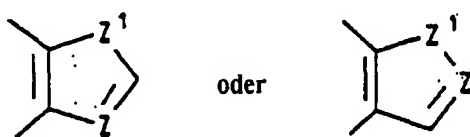
R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen

aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



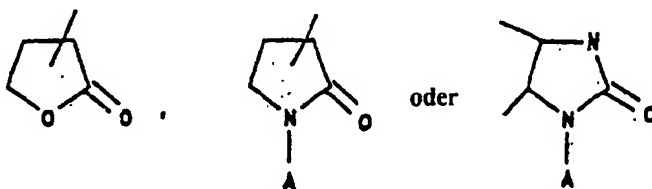
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z' = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxycarbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

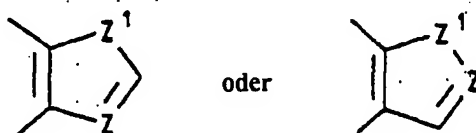
oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 bis 4 und R⁷ ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl;

R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer (C₇-C₉)-Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



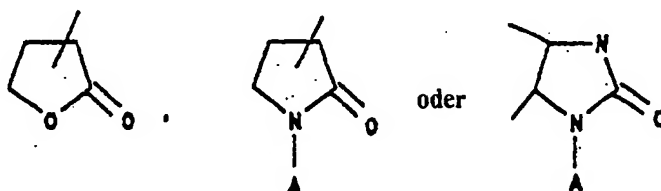
Z = N, O, S oder Se,

10 oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



30 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder (CH₂)_nCOOR⁷, wobei n = 0 bis 4, und R⁷ wird ausgewählt aus Wasserstoff; einem geradkettigen oder verzweigten (C₁-C₃)-Alkyl, das ausgewählt wird aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder einem (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht gleichzeitig Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe.

9. Das Verfahren nach Anspruch 6, wobei

Y für NO₂ steht;

R wird ausgewählt aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

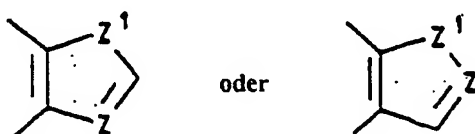
R⁴ ausgewählt aus Wasserstoff; Amino; monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt wird aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl, einer (C₆-C₁₀)-Arylgruppe, aus-

gewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer Carboxy-(C_2 - C_4)-alkylaminogruppe, ausgewählt aus Aminoessig-säure, α -Aminobutyrsäure oder α -Aminopropionsäure und deren optische Isomere; einer α -Hydroxy-(C_1 - C_3)-alkylgruppe, ausgewählt aus Hydroxymethyl, α -Hydroxyethyl oder α -Hydroxy-1-methylethyl oder α -Hydroxypropyl; einer Halogen-(C_1 - C_3)-alkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aroma-tischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



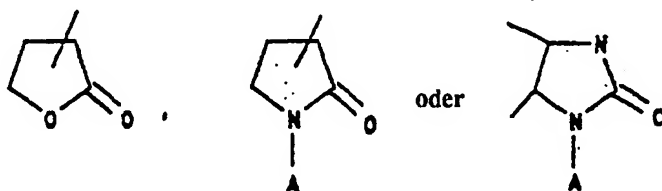
Z = N, O, S oder Se,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se

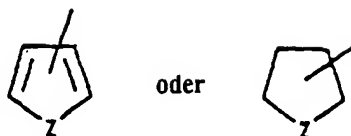
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1 - C_4)-Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy); einer (C_7 - C_9)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C_1 - C_4)-Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, einem geradkettigen oder verzweigten Propoxycarbonyl, einem geradkettigen oder verzweigten Butoxy-carbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1 - C_3)-Alkylgruppe], Halogen, einer (C_6 - C_{10})-Arylgruppe, ausgewählt aus Vinyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6 - C_{10})-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, einer (C_1 - C_4)-Alkoxy, Trihalogen-(C_1 - C_3)-Alkyl, Nitro, Amino, Cyano, (C_1 - C_4)-Alkoxy-carbonyl, (C_1 - C_3)-Alkylamino oder Carboxy), einer Halogen-(C_1 - C_3)-alkylgruppe, einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedri-gen aromatischen gesättigten Ring, mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annel-

lierten Benzo- oder Pyridoring aufweist:



Z = N, O, S oder Se];

einer (C₁-C₄)-Alkoxygruppe; einer C₆-Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkyl, Nitro, Cyano, Thiol, Amino, Carboxy, einer Di- (C₁-C₃)-alkylamino; einer (C₇-C₁₀)-Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C₁-C₄)-Alkyl, Cyano, Carboxy, oder (C₆-C₁₀)-Aryl, das ausgewählt wird aus Phenyl, α-Naphthyl oder β-Naphthyl); einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder - (CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder einem (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S;

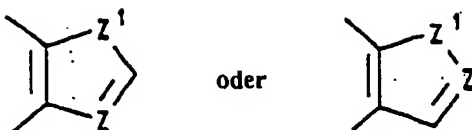
und für den Fall, daß R = R⁴(CH₂)_nCO- und n = 1-4, dann wird

R⁴ ausgewählt aus Wasserstoff; Amino, einer (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl) amino, Monomethylbenzylamino, Piperidiny, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl, Chloracetyl, Trichloracetyl, (C₃-C₆)-Cycloalkylcarbonyl, (C₆-C₁₀)-Aroyl, ausgewählt aus Benzoyl oder Naphthoyl, Halogen-substituiertem (C₆-C₁₀)-Aroyl, (C₁-C₄)-Alkylbenzyl, oder (Heterocyclus)carbonyl, wobei der Heterocyclus ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweist:



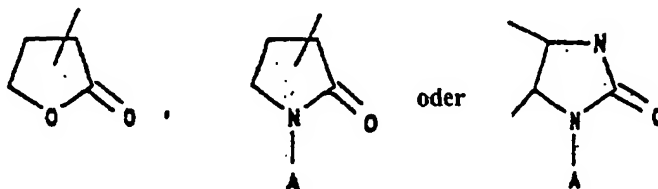
Z = N, O, S oder Se,

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se

10 oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl, substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; einer (C₁-C₄)-Alkoxygruppe, einer R^aR^b-Amino-(C₁-C₄)-alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl, oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe,

wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl oder R^aR^b ist (CH₂)_n, wobei n = 2 bis 6, oder -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH-, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer α-Hydroxy-(C₁-C₃)-alkylgruppe, ausgewählt aus Hydroxymethyl, α-Hydroxyethyl oder α-Hydroxy-1-methylethyl oder α-Hydroxypropyl; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylaminogruppe, Allyloxycarbonylaminogruppe, Methoxycarbonylaminogruppe, Ethoxycarbonylaminogruppe oder Propoxycarbonylaminogruppe;

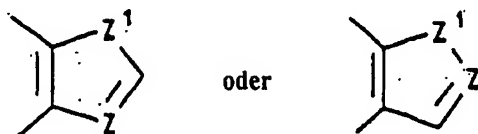
und für den Fall, daß R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus Amino, monosubstituiertem Amino, ausgewählt aus geradkettigem oder verzweigtem (C₁-C₆)-Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, ausgewählt aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidinyl, Morpholinyl, 1-Imidazolyl, 1-Pyrrolyl, 1-(1,2,3-Triazolyl) oder 4-(1,2,4-Triazolyl); einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; eine substituierte (C₆-C₁₀)-Arylgruppe, wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); eine heterocyclische Gruppe, ausgewählt wird aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



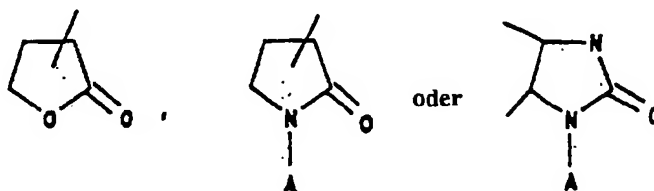
10 $Z = N, O, S$ oder $Se,$

oder einem fünfgliedrigen Ring mit zwei N-, O-, S- oder Se-Heteratomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



20 Z oder $Z' = N, O, S$ oder $Se,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



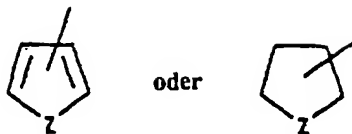
35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxycarbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen oder einem benachbart gebundenen O-Heteroatom;

und für den Fall, dass $R = R^4 (CH_2)_n SO_2^-$ und $n = 1$ bis 4, dann wird

45 R^4 ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R^5 wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7-C_9) -Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



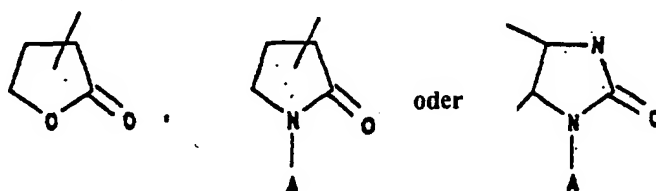
10 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



20 Z oder $Z' = N, O, S$ oder Se ,

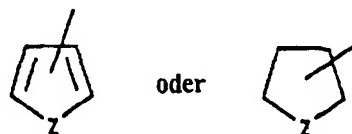
oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_4) -Alkyl; C_6 -Aryl, substituiertem C_6 -Aryl (eine Substitution wird ausgewählt aus Halogen, (C_1-C_4) -Alkoxy, Trihalogen- (C_1-C_3) -alkyl, Nitro, Amino, Cyano, (C_1-C_4) -Alkoxy-carbonyl, (C_1-C_3) -Alkylamino oder Carboxy); einer (C_7-C_9) -Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

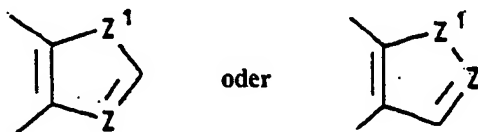
40 oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder $-(CH_2)_nCOOR^7$, wobei $n = 0$ bis 4 und R^7 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl;

45 R^6 ausgewählt wird aus Wasserstoff; einer geradkettigen oder verzweigten (C_1-C_3) -Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer (C_7-C_9) -Aralkylgruppe; einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, S- oder Se-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



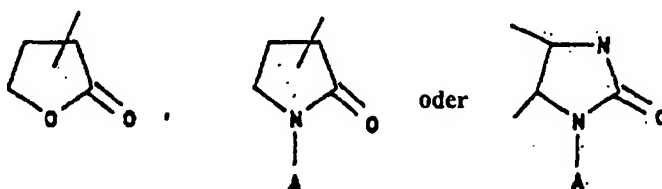
55 $Z = N, O, S$ oder Se ,

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, S- oder Se-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



Z oder Z¹ = N, O, S oder Se,

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



(A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₄)-Alkyl; C₆-Aryl; substituiertem C₆-Aryl (eine Substitution wird ausgewählt aus Halogen, (C₁-C₄)-Alkoxy, Trihalogen-(C₁-C₃)-alkyl, Nitro, Amino, Cyano, (C₁-C₄)-Alkoxy-carbonyl, (C₁-C₃)-Alkylamino oder Carboxy); einer (C₇-C₉)-Aralkylgruppe, ausgewählt aus Benzyl, 1-Phenylethyl, 2-Phenylethyl oder Phenylpropyl),

oder einem sechsgliedrigen aromatischen Ring mit einem bis drei N-, O-, S- oder Se-Heteroatomen, oder einem sechsgliedrigen gesättigten Ring mit einem oder zwei N-, O-, S- oder Se-Heteroatomen und einem benachbart gebundenen O-Heteroatom; oder -(CH₂)_nCOOR⁷, wobei n = 0 - 4 ist und R⁷ ausgewählt wird aus Wasserstoff; geradkettigem oder verzweigtem (C₁-C₃)-Alkyl, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; oder (C₆-C₁₀)-Aryl, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ bedeuten zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 - 1, -NH, -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl-(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

10. Das Verfahren gemäß Anspruch 6, wobei

Y für NO₂ steht,

R ausgewählt wird aus R⁴(CH₂)_nCO- oder R⁴(CH₂)_nSO₂-;

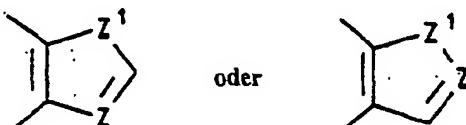
und für den Fall, dass R = R⁴(CH₂)_nCO- und n = 0, dann wird

R⁴ ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, Amino oder (C₁-C₂)-Alkoxy-carbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring mit einem N-, O-, oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweisen kann:



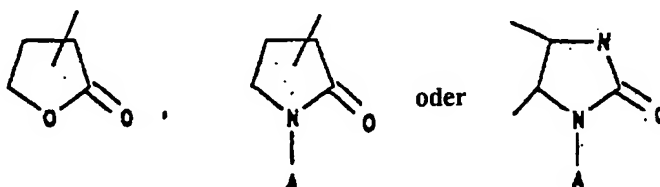
10 $Z = N, O \text{ oder } S,$

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O-, oder S-Heteroatomen, der gegebenenfalls einen annellierten Benzo- oder Pyridoring aufweisen kann:



20 $Z \text{ oder } Z' = N, O \text{ oder } S,$

oder einem fünfgliedrigen gesättigten Ring mit einem oder zwei N-, O- oder S-Heteroatomen und einem benachbart gebundenen O-Heteroatom:



35 (A wird ausgewählt aus Wasserstoff; geradkettigem oder verzweigtem (C_1-C_2) -Alkyl; C_6 -Aryl), einer (C_1-C_4) -Alkoxy-carbonylgruppe, ausgewählt aus Methoxycarbonyl, Ethoxycarbonyl, geradkettigem oder verzweigtem Propoxycarbonyl, geradkettigem oder verzweigtem Butoxycarbonyl oder Allyloxycarbonyl; einer Vinyl oder substituierten Vinylgruppe [wobei eine Substitution ausgewählt wird aus einer (C_1-C_2) -Alkylgruppe, einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl, β -Naphthyl, einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, (C_1-C_4) -Alkoxycarbonyl, Halogen, (C_1-C_3) -Alkylgruppe]; einer (C_1-C_4) -Alkoxygruppe; einer C_6 -Aryloxygruppe, ausgewählt aus Phenoxy oder substituiertem Phenoxy (wobei die Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkyl; einer (C_7-C_9) -Aralkyloxygruppe; einer Vinyloxy- oder substituierten Vinyloxygruppe (wobei eine Substitution ausgewählt wird aus (C_1-C_2) -Alkyl; einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b eine geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl; oder eine R^aR^b -Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl;

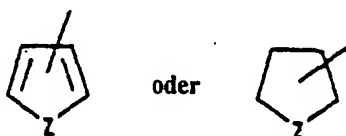
und für den Fall, dass $R = R^4(CH_2)_nCO-$ und $n = 1$ bis 4 ist, dann wird

40 R^4 ausgewählt aus Wasserstoff; einer (C_1-C_2) -Alkylgruppe, ausgewählt aus Methyl oder Ethyl; Amino; monosubstituiertem Amino, das ausgewählt ist aus geradkettigem oder verzweigtem (C_1-C_6) -Alkylamino, Cyclopropylamino, Cyclobutylamino, Benzylamino oder Phenylamino; disubstituiertem Amino, das ausgewählt ist aus Dimethylamino, Diethylamino, Ethyl-(1-methylethyl)amino, Monomethylbenzylamino, Piperidiny, Morpholiny, 1-Imidazolyl, 1-Pyrrolyl, oder 1-(1,2,3-Triazolyl); einer (C_6-C_{10}) -Arylgruppe, ausgewählt aus Phenyl, α -Naphthyl oder β -Naphthyl; einer substituierten (C_6-C_{10}) -Arylgruppe (wobei eine Substitution ausgewählt wird aus Halogen, (C_1-C_4) -Alkoxy, Nitro, Amino, (C_1-C_4) -Alkoxycarbonyl); einer Acyloxy oder Halogenacyloxygruppe, ausgewählt aus Acetyl, Propionyl oder Chloracetyl; einer (C_1-C_4) -Alkoxygruppe; carbonyl, einer R^aR^b -Amino- (C_1-C_4) -alkoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C_1-C_4) -Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl ist, oder R^aR^b ist $(CH_2)_n$, wobei $n = 2$ bis 6, oder -

(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [wobei B ausgewählt wird aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; oder einer R^aR^b-Aminoxygruppe, wobei R^aR^b ein geradkettiges oder verzweigtes (C₁-C₄)-Alkyl ist, das ausgewählt wird aus Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl oder 2-Methylpropyl; oder R^aR^b ist (CH₂)_n steht, wobei n = 2 bis 6, oder - (CH₂)₂W (CH₂)₂-, wobei W ausgewählt wird aus -N(C₁-C₃)-Alkyl [geradkettig oder verzweigt], -NH, -NOB [B wird ausgewählt aus Wasserstoff oder (C₁-C₃)-Alkyl], O oder S; einer Halogen-(C₁-C₃)-alkylgruppe; einer (C₁-C₄)-Alkoxy-carbonylaminogruppe, ausgewählt aus tert-Butoxycarbonylamino, Allyloxycarbonylamino, Methoxycarbonylamino, Ethoxycarbonylamino oder Propoxycarbonylamino;

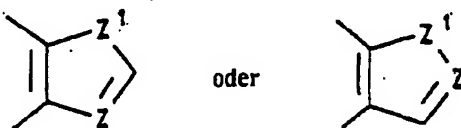
und für den Fall, daß R = R⁴(CH₂)_nSO₂- und n = 0, dann wird

R⁴ ausgewählt aus einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl; einer (C₆-C₁₀)-Arylgruppe, ausgewählt aus Phenyl, α-Naphthyl oder β-Naphthyl; einer substituierten (C₆-C₁₀)-Arylgruppe, (wobei eine Substitution ausgewählt wird aus Halogen, (C₁-C₄)-Alkoxy, Nitro, (C₁-C₄)-Alkoxy-carbonyl); einer heterocyclischen Gruppe, ausgewählt aus einem fünfgliedrigen aromatischen oder gesättigten Ring, mit einem N-, O- oder S-Heteroatom, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z = N, O oder S

oder einem fünfgliedrigen aromatischen Ring mit zwei N-, O- oder S-Heteroatomen, der gegebenenfalls einen annelierten Benzo- oder Pyridoring aufweist:



Z oder Z¹ = N, O oder S

und für den Fall, dass R = R⁴(CH₂)_nSO₂- und n = 1 bis 4, dann wird

R⁴ ausgewählt aus Wasserstoff, einer geradkettigen oder verzweigten (C₁-C₂)-Alkylgruppe, ausgewählt aus Methyl oder Ethyl;

R⁵ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl;

R⁶ wird ausgewählt aus Wasserstoff; einer geradkettigen oder verzweigten (C₁-C₃)-Alkylgruppe, ausgewählt aus Methyl, Ethyl, n-Propyl oder 1-Methylethyl; mit der Maßgabe, dass R⁵ und R⁶ nicht beide Wasserstoff sein können;

oder R⁵ und R⁶ sind zusammen -(CH₂)₂W(CH₂)₂-, wobei W ausgewählt wird aus (CH₂)_n und n = 0 bis 1, -NH, -N (C₁-C₃)-Alkyl [geradkettig oder verzweigt], -N(C₁-C₄)-Alkoxy, Sauerstoff, Schwefel oder substituierte Kongenäre, die ausgewählt werden aus (L- oder D-)Prolin, Ethyl(L- oder D-)prolinat, Morpholin, Pyrrolidin oder Piperidin; und die pharmakologisch unbedenklichen organischen und anorganischen Salze oder Metallkomplexe davon.

11. Das Verfahren nach Anspruch 1, nämlich zur Herstellung von [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacen-carboxamidsulfat; [4S-(4a, 12aa)]-4,7Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydrochlorid; [4S-(4a, 12aa)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a, 12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[trifluoroacetyl]amino]-2-naphthacencarboxamidsulfat; [4S-(4a, 12aa)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetra-

hydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat (1:2); [4S-(4a,12aa)]-7-(Diethylamino)-4(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-Tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-(Acetylamino)-7-(diethylamino)-4(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1-oxo-2-propenyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2-methylbenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(3-(trifluoromethyl)benzoyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(2-furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylcarbonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(4-dimethylaminobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-amino]-2-oxoethyl]carbaminsäure-1,1-dimethylethylester; [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmono-(trifluoroacetat); [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[(4-Chlorophenyl)sulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(4-nitrophenyl)sulfonyl]amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfonyl)amino]-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-[[2-(Acetylamino)-4-methyl-5-thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid; [7S-(7a,10aa)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-oxoessigsäureethylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[(methylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [7S-(7a,10aa)]-9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäuremethylester

lester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäure-(2diethylamino)ethylester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäure ethenyl ester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure 2-propenylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)-amino]-1,11-dioxo-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-9-[(4-Bromo-i-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-9-(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid-[4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[4-dimethylamino)benzoyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [7S-(7a,10aa)]-[2-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]amino]-2-oxoethyl] [4S-(4a,12aa)]-9-[(Aminoacetyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylmethoxy)acetyl]amino]-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2-naphthacencarboxamidsulfate; [4S-(4a,12aa)]-4-(Dimethylamino)9-(acetyl)amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2-naphthacencarboxamid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)-acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäuremethylestersulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäure(2-diethylamino)ethyl-esterhydrochlorid; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäureethenylestersulfat; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure-2-propenylesterhydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [4S-(4a,12aa)]-4,7Bis(dimethylamino)-9-[[diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-(chloroacetyl)amino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; (4S-(4alpha,12aalpha)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S(4alpha,12aalpha)]-9-[(Bromoacetyl)-amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid (freie Base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidmonohydro-bromid; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidhydrobromid; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-ioxopropyl)amino]-4,7-bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid hydrobromide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[methylamino)acetyl]amino]-1,11-dioxo-2-naphthacencarboxamidhydrochlorid; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12octa-hydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäure(2-diethylamino)ethylester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-carbaminsäure ethenyl ester; [7S-(7a,10aa)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]carbaminsäure 2-propenylester; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]-amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)-amino]-1,11-dioxo-2-naphthacencarboxamid hydrochlorid; [4S-(4a,12aa)]-9-[(4-Bromo-i-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamidsulfat; [4S-(4a,12aa)]-9-[(Acetyloxy)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a

droxy-10,12-dioxo-2-naphthaceny]-4-morpholineacetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[ethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-9-[[[Cyclopropylamino]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[butylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-9-[[[Diethylamino]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-pyrrolidinacetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[2-methylpropyl]amino]acetyl]amino]-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1-piperidineacetamid dihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-1H-imidazole-1-acetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[propylamino]acetyl]amino]-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[hexylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[2-(dimethylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[2(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-alpha-methyl-1-pyrrolidinacetamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[4-(dimethylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-9-[[[Butylmethylamino]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[pentylamino]acetyl]amino]-2-naphthacencarboxamid dihydrochlorid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[phenylmethyl]amino]acetyl]amino]-2-naphthacencarboxamid dihydrochlorid; [7S-(7alpha, 10aalpha)]-N-[2-[9-(Aminocarbonyl)-4,7-bis-(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-amino]-2-oxoethylglycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-pyrrolidinylmethyl)-2-naphthacencarboxamid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4-morpholinylmethyl)-2-naphthacencarboxamid; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[[dimethylamino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1-piperidinylmethyl)-2-naphthacencarboxamid; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthaceny]-azetidineacetamid; [4S-(4alpha, 12aalpha)]-9-[[[Cyclobutylamino]acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacencarboxamid dihydrochlorid.

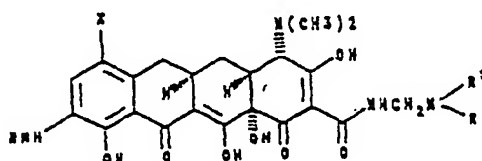
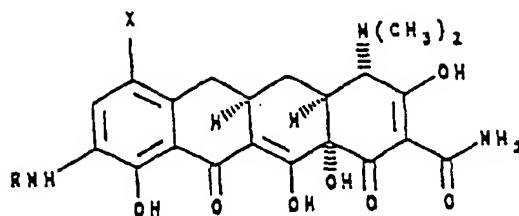
12. Das Verfahren gemäß Anspruch 6, nämlich zur Herstellung von [4S-(4α,12α)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacencarboxamidsulfat.

13. Verfahren zur Herstellung eines pharmazeutischen Mittels, umfassend eine nach Anspruch 1 erhaltliche Verbindung, wobei das Verfahren eine Stufe eines Mischens einer Verbindung nach Anspruch 1 mit einem pharmazeutisch unbedenklichen Träger umfasst.

Revendications

Revendications pour les Etats contractants suivants : AT, BE, CH, LI, DE, DK, FR, GB, IT, LU, NL, PT, SE, IE

1. Composé de formule :



dans laquelle :

X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $\text{X} = \text{NR}^1\text{R}^2$ et $\text{R}^1 = \text{hydrogène}$,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle , 2-méthylpropyle ou $1,1\text{-diméthyléthyle}$;

et, lorsque $\text{R}^1 = \text{méthyle}$ ou éthyle ,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = n\text{-propyle}$,

$\text{R}^2 = n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = 1\text{-méthyléthyle}$,

$\text{R}^2 = n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = n\text{-butyle}$,

$\text{R}^2 = n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = 1\text{-méthylpropyle}$,

$\text{R}^2 = 2\text{-méthylpropyle}$;

R est choisi parmi $\text{R}^4(\text{CH}_2)_n\text{CO}-$ ou $\text{R}^4(\text{CH}_2)_n\text{SO}_2-$;

et, lorsque $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO}-$ et $n = 0$,

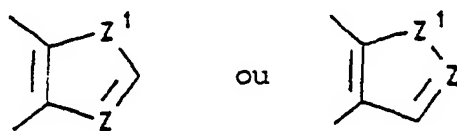
R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\text{C}_1\text{-C}_6$)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\text{C}_1\text{-C}_4$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle , 2-méthylpropyle ou $1,1\text{-diméthyléthyle}$; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ substitué (substitution choisie parmi les groupes alkyle en $\text{C}_1\text{-C}_3$, cyano, amino ou acyle en $\text{C}_1\text{-C}_3$) ; un groupe aryle en $\text{C}_6\text{-C}_{10}$ choisi parmi les groupes phényle, $\alpha\text{-naphtyle}$ ou $\beta\text{-naphtyle}$; un groupe aryle en $\text{C}_6\text{-C}_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\text{C}_1\text{-C}_4$, trihalogéno(alkyle en $\text{C}_1\text{-C}_3$), nitro, amino, cyano, (alcoxy en $\text{C}_1\text{-C}_4$)carbonyle, (alkyle en $\text{C}_1\text{-C}_3$)amino ou carboxy) ; un groupe aralkyle en $\text{C}_7\text{-C}_9$ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe $\alpha\text{-amino}$ (alkyle en $\text{C}_1\text{-C}_4$) choisi parmi les groupes aminométhyle, $\alpha\text{-aminoéthyle}$, $\alpha\text{-aminopropyle}$ ou $\alpha\text{-aminobutyle}$; un groupe carboxy(alkyle en $\text{C}_2\text{-C}_4$)amino choisi parmi l'acide aminoacétique, l'acide $\alpha\text{-aminobutyrique}$ ou l'acide $\alpha\text{-amino-propionique}$ et leurs isomères optiques ; un groupe (aralkyle en $\text{C}_7\text{-C}_9$)amino ; un groupe (alcoxy en $\text{C}_1\text{-C}_4$)car-

bonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto(alkyle en C₁-C₃) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



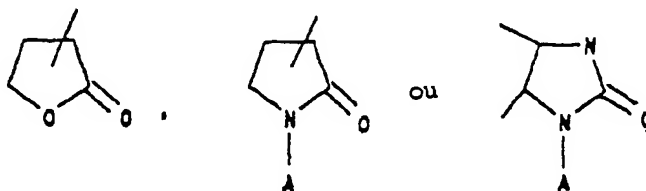
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



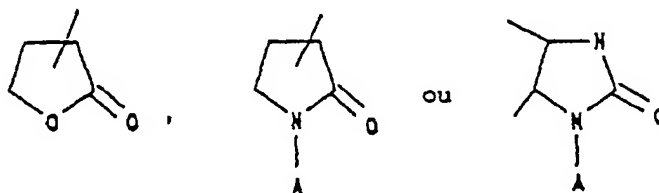
Z = N, O, S ou Se

10 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20 Z ou Z¹ = N, O, S ou Se

25 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



35 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

40 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; -un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 $Z = N, O, S \text{ ou } Se$

1 ;

15 un groupe alcoxy en C_1-C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1-C_3)amino) ; un groupe aralkyloxy en C_7-C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1-C_4 , cyano, carboxy ou aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe $R^a R^b$ amino(alcoxy en C_1-C_4), où $R^a R^b$ est un groupe alkyle en C_1-C_4 linéaire ou ramifié
20 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n = 2 \text{ à } 6$, ou $-(CH_2)_2 W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; ou un groupe $R^a R^b$ aminoxy, où $R^a R^b$ est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle, ou
25 bien $R^a R^b$ est $(CH_2)_n$, $n = 2 \text{ à } 6$, ou $-(CH_2)_2 W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ;
et, lorsque $R = R^4(CH_2)_n CO-$ et $n = 1 \text{ à } 4$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C_1-C_4 linéaire ou ramifié
30 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C_3-C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3-C_6 substitué (substitution choisie parmi les groupes alkyle en C_1-C_3 , cyano, amino ou acyle en C_1-C_3) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyloxy, (alkyle en C_1-C_3)
35 amino ou carboxy) ; un groupe aralkyle en C_7-C_9 ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyloxy, propionyloxy, chloroacétyloxy, trichloroacétyloxy, (cycloalkyle en C_3-C_6)carbonyloxy, aryloxy en C_6-C_{10} choisi parmi les groupes benzoxyloxy ou naphthoxy, aryloxy en C_6-C_{10} à substitution halogéno, (alkyle en C_1-C_4)benzoxyloxy, ou (hétérocycle)carbonyloxy, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo
40 ou pyrido :



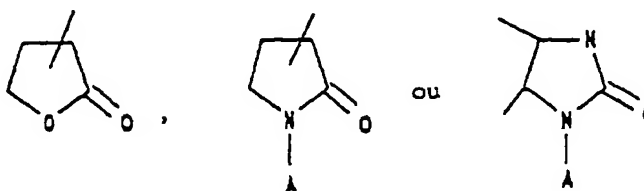
50 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement
55 condensé un noyau benzo ou pyrido :



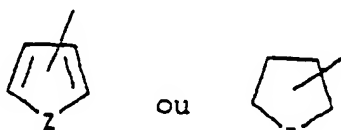
10
Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



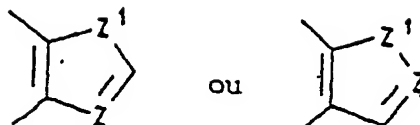
25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



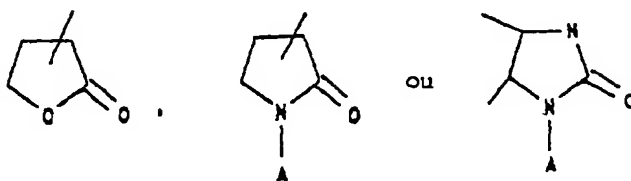
Z = N, O, S ou Se

50 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



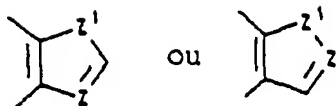
25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C_1-C_6 à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C_2-C_5 ; un groupe carboxy(alkyle en C_2-C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C_1-C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3-C_6)carbonyle, aroyle en C_6-C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6-C_{10} à substitution halogéno, (alkyle en C_1-C_4)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



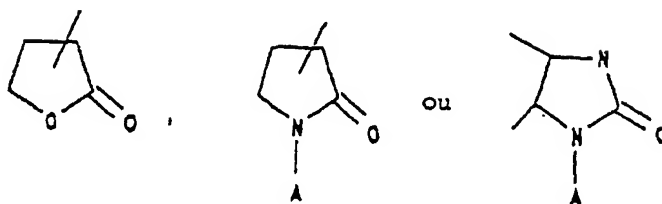
55 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

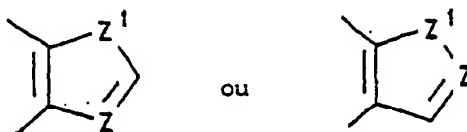
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C₁-C₄)carbonyl choisi parmi les groupes méthoxycarbonyl, éthoxycarbonyl, propoxycarbonyl linéaire ou ramifié, allyloxycarbonyl ou butoxycarbonyl linéaire ou ramifié ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N (alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nSO₂ et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



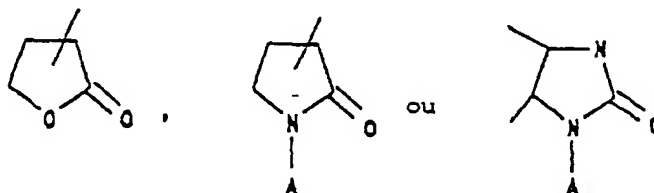
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z' = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe $R^a R^b$ amino(alcoxy en C_1-C_4), où $R^a R^b$ est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2 W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; ou un groupe $R^a R^b$ aminoxy, où $R^a R^b$ est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2 W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ;

et, lorsque $R = R^4(CH_2)_n SO_2-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1-C_4 ; un groupe cycloalkyle en C_3-C_6 choisi parmi les groupes

cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃), O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou *n*-propylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



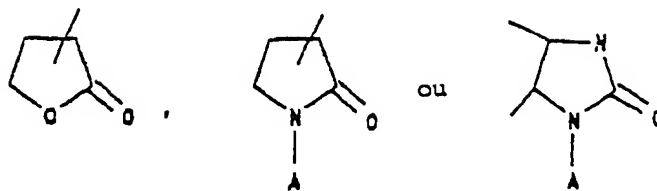
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl-, propionyl-, chloroacétyl-, trifluoroacétyl-, (cycloalkyle en C₃-C₆)-carbonyl-, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl- ou naphthoyl-, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl- ou (hétérocycle)-carbonyl-, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



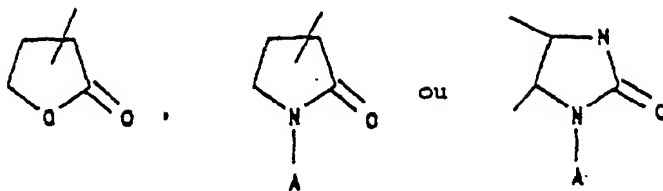
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



10 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

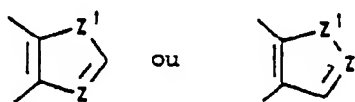
15 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

20 R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



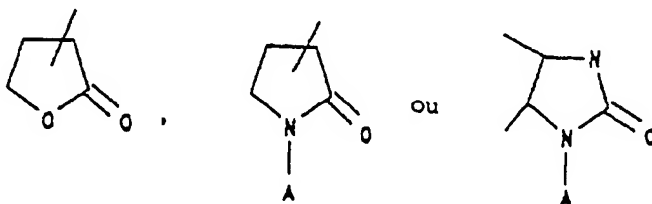
30 Z = N, O, S ou Se

35 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



45 Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

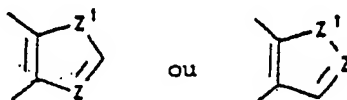
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



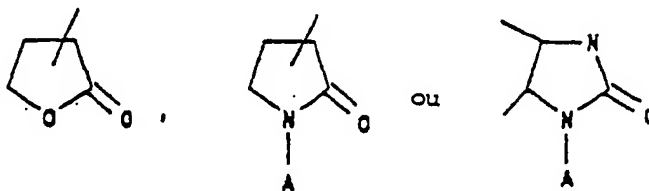
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6-C_{10} choisi parmi les

groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;
ou bien R^5 et R^6 , pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi $(CH_2)_n$ et $n = 0$ ou 1, -NH-, -N(alkyle en C_1-C_3) [linéaire ou ramifié], -N(alcoxy en C_1-C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

2. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR^1R^2 ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 =$ hydrogène,

$R^2 =$ méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque $R^1 =$ méthyle ou éthyle,

$R^2 =$ méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe cycloalkyle en C_3-C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3-C_6 substitué (substitution choisie parmi les groupes alkyle en C_1-C_3 , cyano, amino ou acyle en C_1-C_3) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyl, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe α -amino(alkyle en C_1-C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C_2-C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7-C_9)amino ; un groupe (alcoxy en C_1-C_4)carbonylamino-alkyle en C_1-C_4 substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1-C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



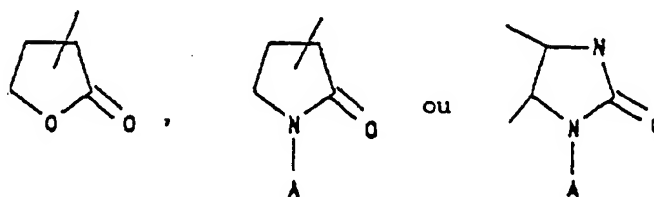
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



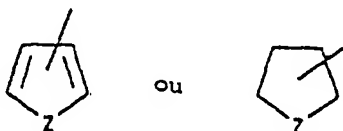
10
Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

30 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



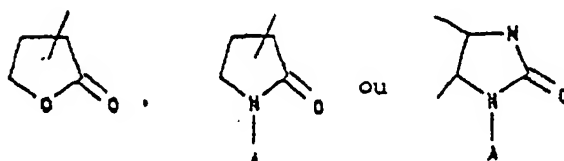
45
Z = N, O, S ou Se

50 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé, un noyau benzo ou pyrido :



Z = N, O, S ou Se

] ;

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe. R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂ où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou

S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ;

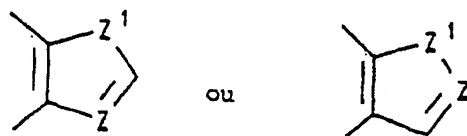
et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno (alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3-C_6)carbonyle, aroyle en C_6-C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6-C_{10} à substitution halogéno, (alkyle en C_1-C_4) benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



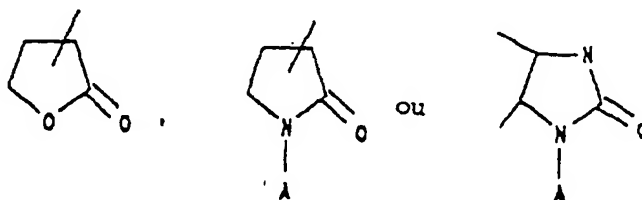
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z^1 = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9

choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

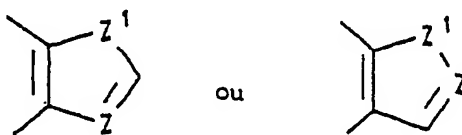
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié
 5 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n,
 10 n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe (aryle en C₆)sulfonyl choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou
 20 Se et auquel est facultativement condensé un noyau benzo ou pyrido :



30

Z = N, O, S ou Se

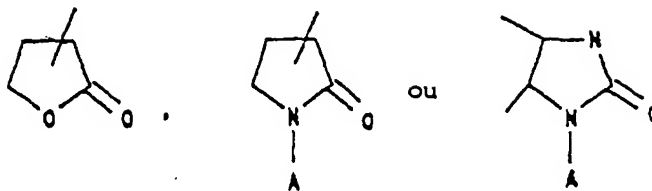
35 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



45

Z ou Z¹ = N, O, S ou Se

50 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



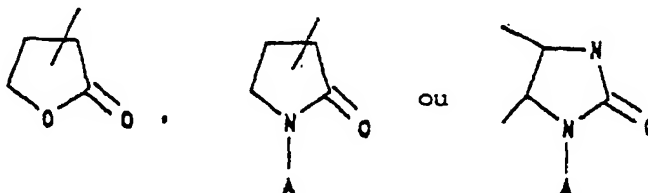
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



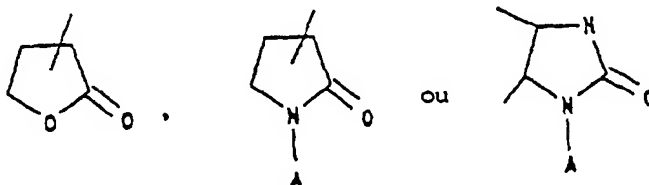
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



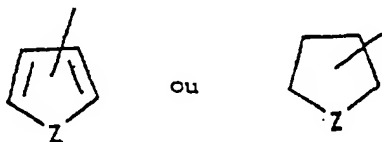
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;

et, lorsque R = R⁴ (CH₂)_nSO₂- et n = 1 à 4,

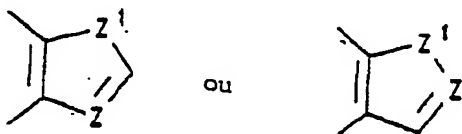
R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di (alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe carboxyalkyle en C₁-C₄ ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



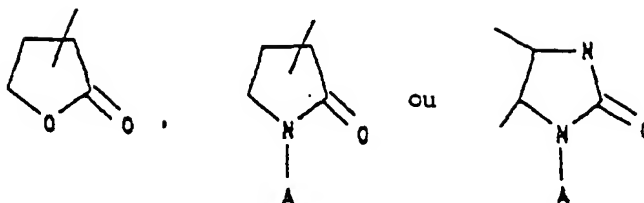
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



10 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

15 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

20 R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



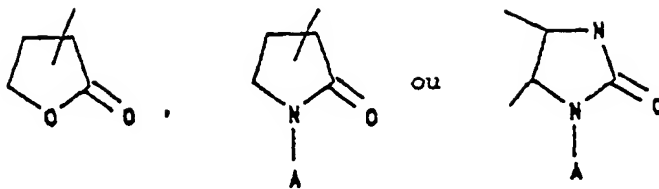
Z = N, O, S ou Se

35 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

50 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR' où n = 0 à 4 et R' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

3. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque X = NR¹R² et R¹ = hydrogène,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque R¹ = méthyle ou éthyle,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe α -amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



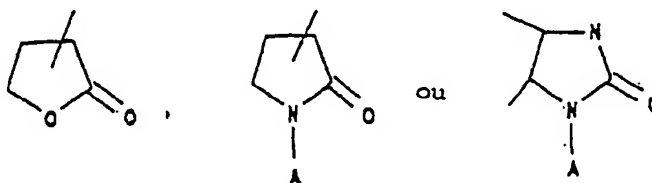
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



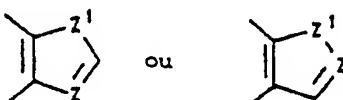
40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



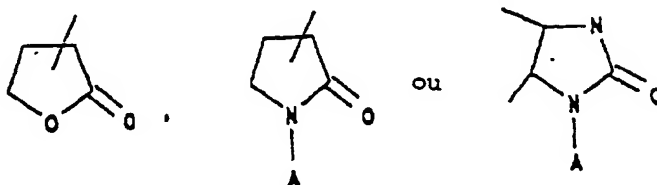
10 $Z = N, O, S$ ou Se

15 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z^1 = N, O, S$ ou Se

30 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1-C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1-C_3 , halogéno, aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy), halogéno(alkyle en C_1-C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

1 ;

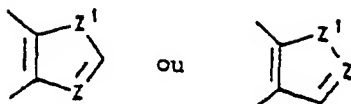
un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle) carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



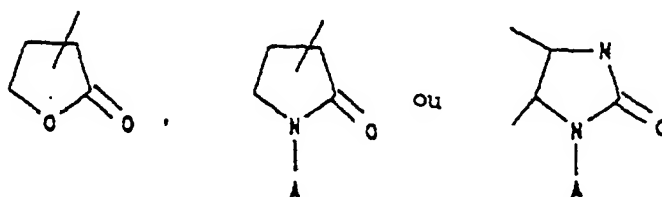
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



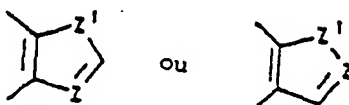
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di (alkyle en C₁-C₃)amino) ; un groupe R^aR^bamino-(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe (aryle en C₆)sulfonyl choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



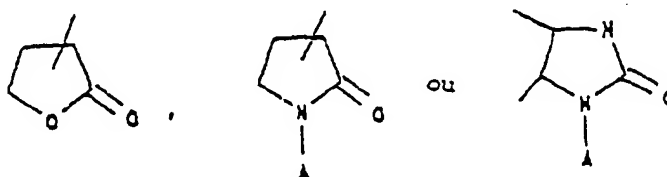
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α-hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogène(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl, propionyle, chloroacétyl, trifluoroacétyl, (cycloalkylé en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphthoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



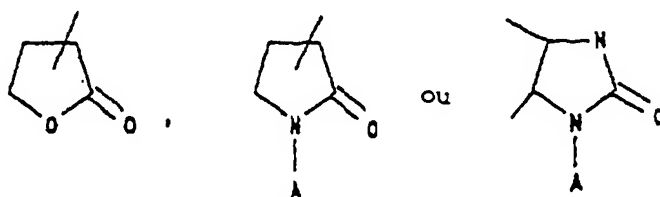
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

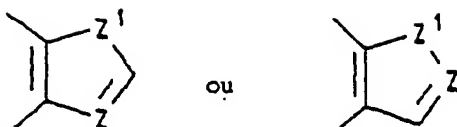
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1-C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;
 30 et, lorsque $R = R^4 (CH_2)_n SO_2^-$ et $n = 0$,

R^4 est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1-C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul, hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



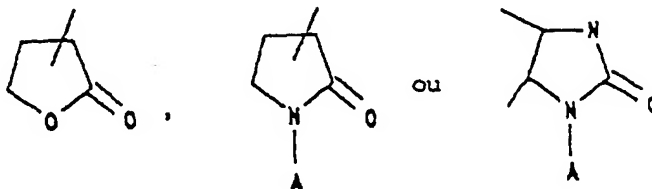
$Z = N, O, S$ ou Se

55 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

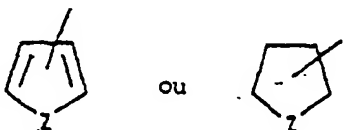
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque R = R^{4'}(CH₂)_nSO₂- et n = 1 à 4,

R^{4'} est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



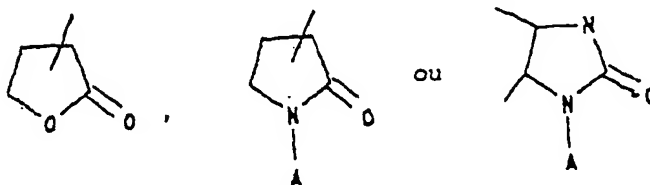
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthylé ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

35 R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



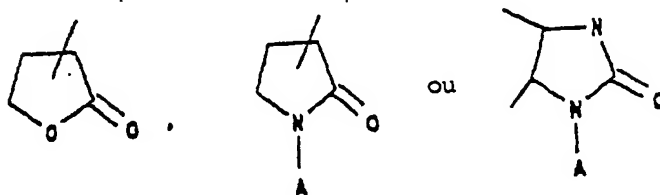
50 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



20 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR' où n = 0 à 4 et R' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent' -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

40 4. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque X = NR¹R² et R¹ = hydrogène,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque R¹ = méthyle ou éthyle,

R² = méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

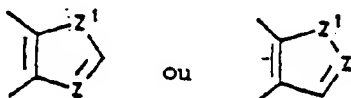
R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et

leurs isomères optiques ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



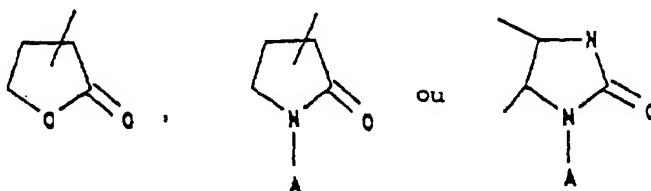
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyl ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

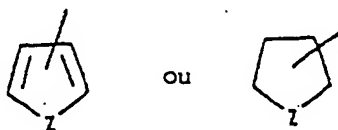


10 $Z = N, O, S \text{ ou } Se$

] ;

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphthoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



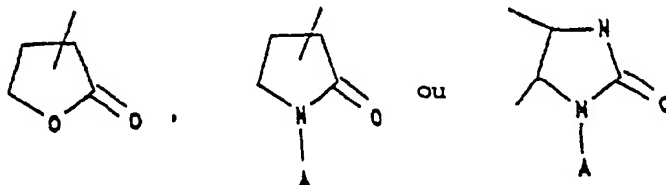
45 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle ; 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminooxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe α-hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)-carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

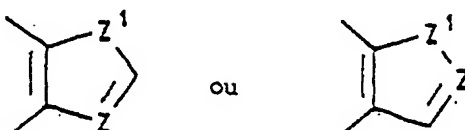
et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



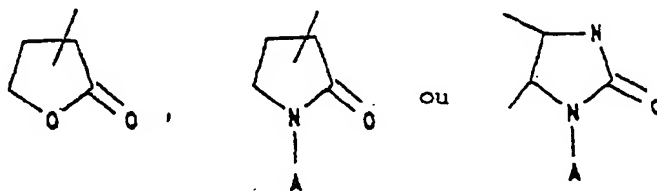
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno (alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3) amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;
et, lorsque $R = R^4 (CH_2)_n SO_2^-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7-C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



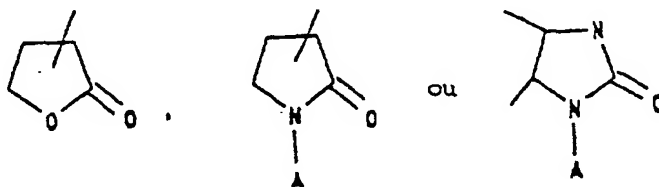
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



35 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

40 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

45 R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



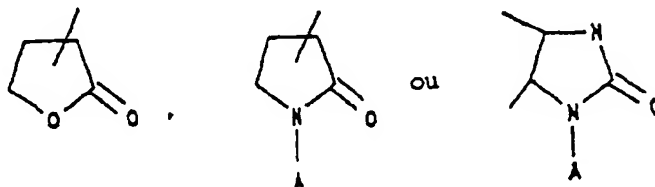
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou (CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthylé, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

50 ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou- ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

55 5. Composé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;
et, lorsque X = NR¹R² et R¹ = méthyle ou éthyle,

R^2 = méthyle ou éthyle,

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , nitro, amino ou (alcoxy en C_1-C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



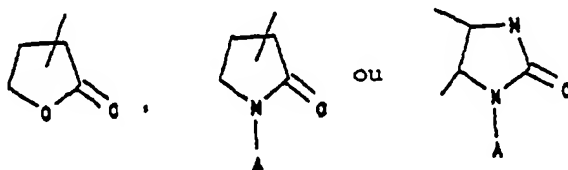
$Z = N, O \text{ ou } S$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O \text{ ou } S$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :

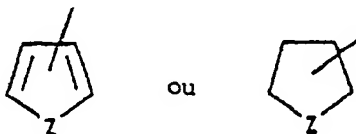


(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1-C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1-C_2 , aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , (alcoxy en C_1-C_4) carbonyle, halogéno(alkyle en C_1-C_3)] ; un groupe alcoxy en C_1-C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4) ; un groupe aralkyloxy en C_7-C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1-C_2) ; un groupe R^aR^b amino(alcoxy en C_1-C_4), où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle ; ou un groupe R^aR^b aminoxy où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle,

n-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;
et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 1$ à 4,

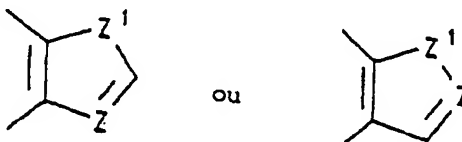
R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , nitro, amino, (alcoxy en C_1-C_4)-carbonyle) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle ; un groupe alcoxy en C_1-C_4 ; un groupe R^aR^b amino(alcoxy en C_1-C_4) où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N$ (alkyle en C_1-C_3) [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N$ (alkyle en C_1-C_3) [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; un groupe halogéno (alkyle en C_1-C_3) ; un groupe (alcoxy en C_1-C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;
et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 0$,

R^4 est choisi parmi un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , nitro, (alcoxy en C_1-C_4)-carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O \text{ ou } S$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O \text{ ou } S$

et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

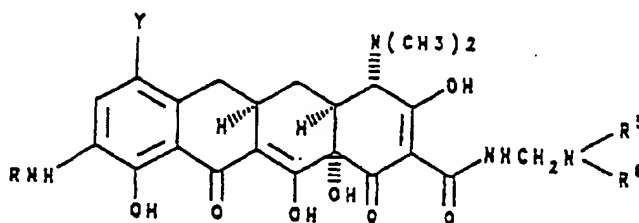
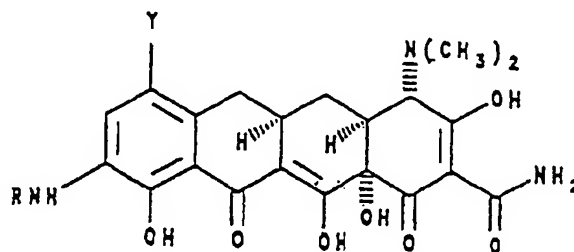
R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

6. Composé de formule :



dans laquelle :

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi un groupe benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe α -amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe, (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto (alkyle en C₁-C₃) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant

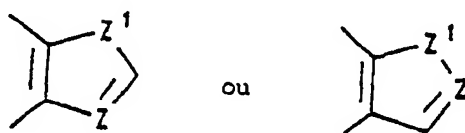
un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10

$Z = N, O, S \text{ ou } Se$

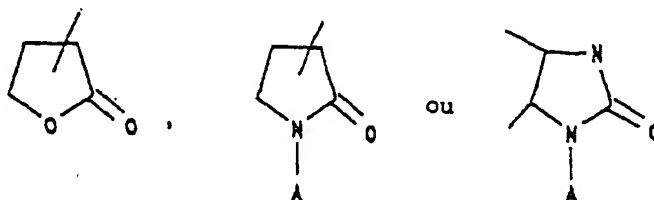
ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20

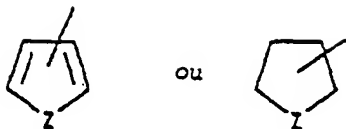
$Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl, propionyle, chloroacétyl, trifluoroacétyl, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



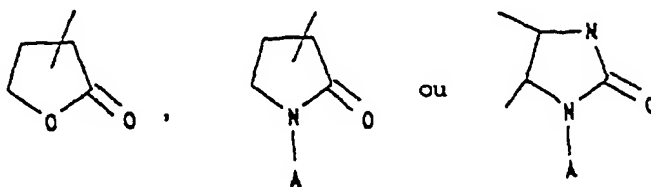
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



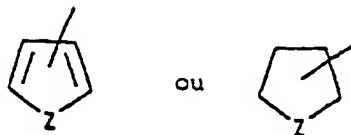
25 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



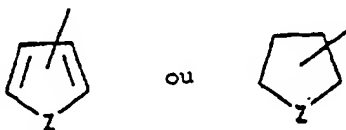
10 $Z = N, O, S \text{ ou } Se$

]

15 un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié
20 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminox, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-di-
25 méthyléthyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C₁-C₄ linéaire ou ramifié
30 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes
35 acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :
40



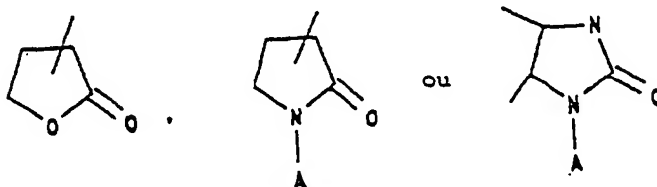
50 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



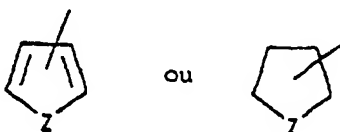
10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; arylé en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



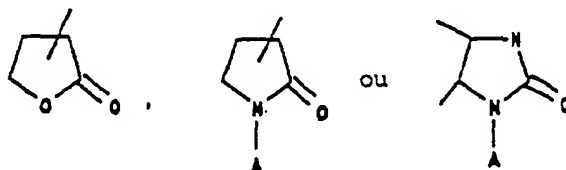
50 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



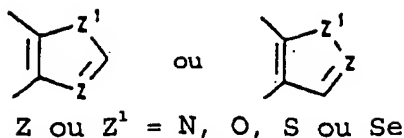
25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-*l*-éthylpropylamino ; un groupe azacycloalkyle en C₂-C₅ ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

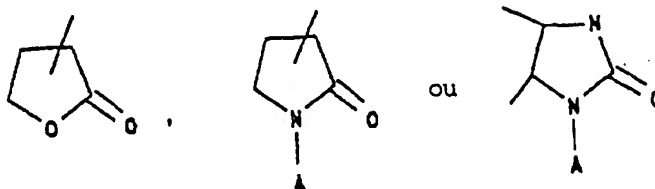


55 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N (alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminox, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nSO₂ et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



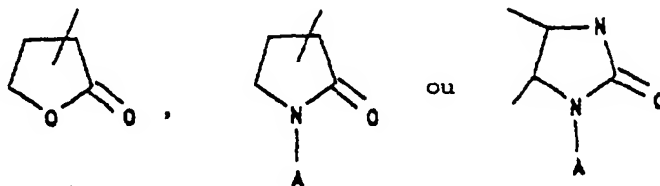
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b -amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N$ (alkyle en C_1 - C_3) [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N$ (alkyle en C_1 - C_3) [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

50 et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 1$ à 4,

55 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1 - C_4 ; un groupe cycloalkyle en C_3 - C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3 - C_6 substitué (substitution

choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle; un groupe alcoxy en C₁-C₄; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe aralkyloxy en C₇-C₁₀; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃), O ou S; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou *n*-propylthio; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino); un groupe aralkylthio en C₇-C₈; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



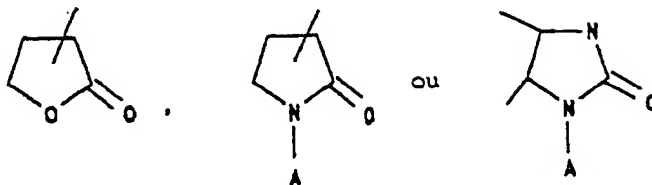
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropyl-amino ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



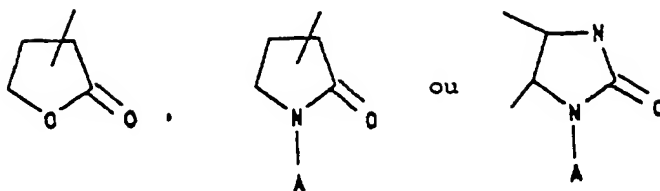
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



10 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

15 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

20 R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



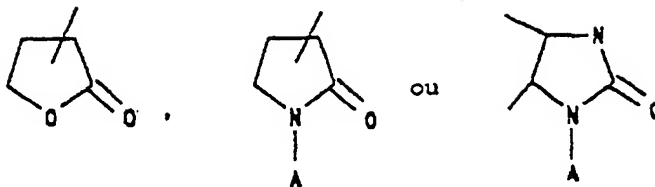
30 Z = N, O, S ou Se

35 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



45 Z ou Z¹ = N, O, S ou Se

50 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

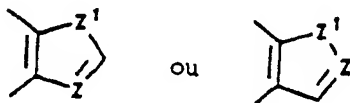
ou un cycle aromatique à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



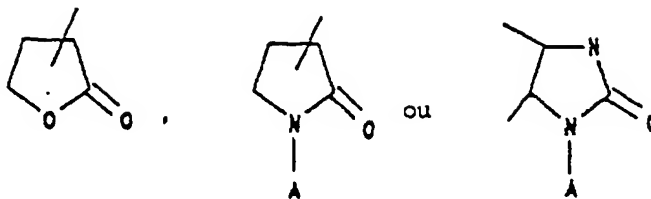
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR' où n = 0 à 4 et R' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

7. Composé selon la revendication 6, dans lequel

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

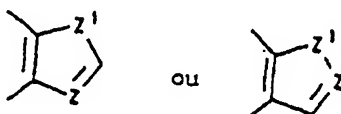
et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe α -amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



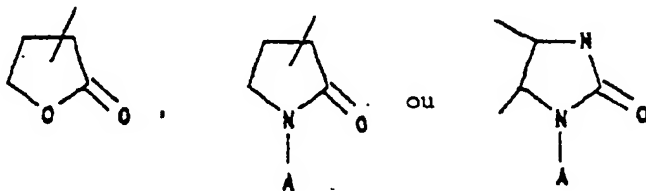
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



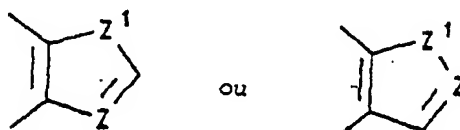
30 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

35 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl, propionyl, chloroacétyl, trifluoroacétyl, (cycloalkyle en C₃-C₆)-carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle)-carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



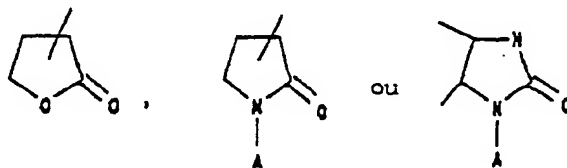
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyale, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



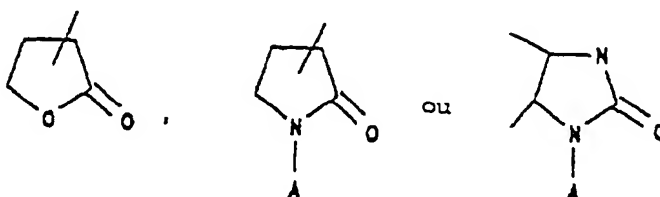
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10
Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

30 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, (alkyle en C₁-C₄), nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



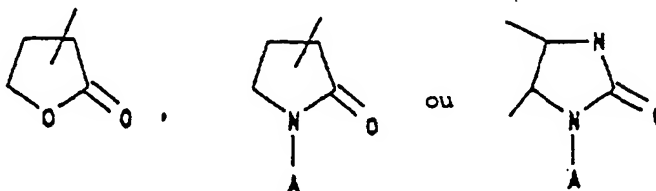
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C_1-C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3-C_6)carbonyle, aroyle en C_6-C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6-C_{10} à substitution halogéno, (alkyle en C_1-C_4)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



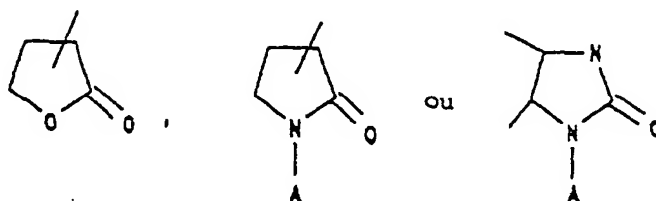
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z' = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;
et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 0$

50 R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ;
55 un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



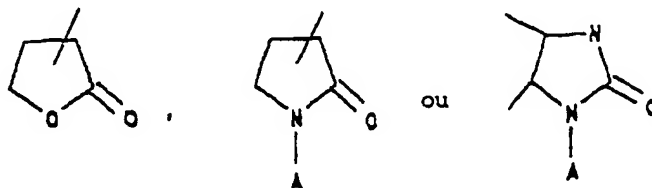
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;
et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 1 \text{ à } 4$,

50 R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe carboxy(alkyle en C₁-C₄) ;

R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



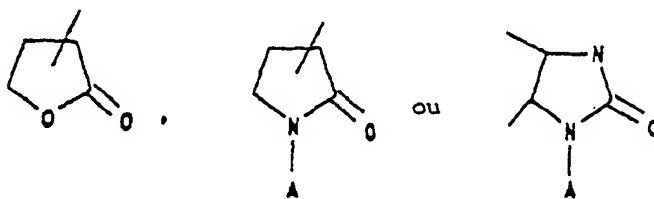
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

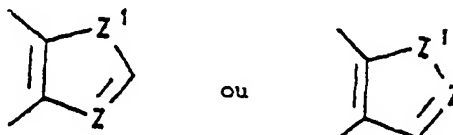
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



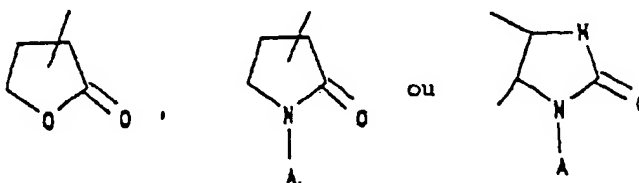
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - (CH₂)_nCOOR' où n = 0 à 4 et R' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent - (CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

8. Composé selon la revendication 6, dans lequel :

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

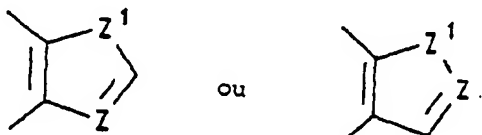
R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle)

ou 4-(1,2,4-triazolyle); un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy); un groupe α-amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques; un groupe (aralkyle en C₇-C₉) amino; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle; un groupe halogéno(alkyle en C₁-C₃); un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:



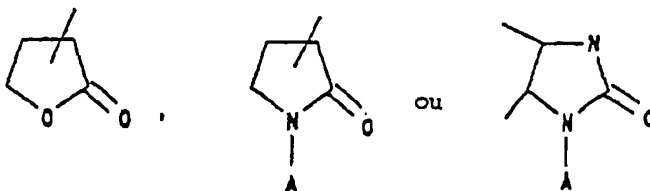
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido:



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent:



(A est choisi parmi un atome d'hydrogène; un groupe alkyle en C₁-C₄ linéaire ou ramifié; aryle en C₆; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy); un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl, propionyl, chloroacétyl, trifluoroacétyl, (cycloalkyle en C₃-C₆)-carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphthoyl, aroyle en C₆-C₁₀ à substituant halogéno, (alkyle en C₁-C₄)-benzoyl ou (hétérocycle) - carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



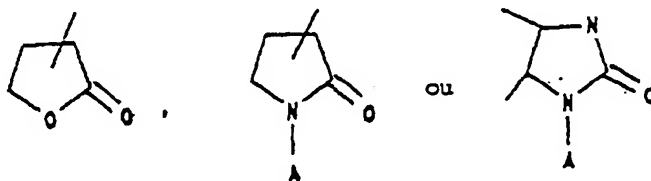
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)-carbonyl, (alkyle en C₁-C₃)-amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)-carbonyl choisi parmi les groupes méthoxycarbonyl, éthoxycarbonyl, propoxycarbonyl linéaire ou ramifié, butoxycarbonyl linéaire ou ramifié ou allyloxycarbonyl ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)-carbonyl, (alkyle en C₁-C₃)-amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O, S \text{ ou } Se$

un groupe alcoxy en C_1-C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1-C_3)amino) ; un groupe aralkyloxy en C_7-C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1-C_4 , cyano, carboxy ou aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^b amino(alcoxy en C_1-C_4), où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2 \text{ à } 6$, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N(\text{alkyle en } C_1-C_3)$ [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est $(CH_2)_n$, $n = 2 \text{ à } 6$, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N(\text{alkyle en } C_1-C_3)$ [linéaire ou ramifié], $-NH$, $-NOB$ [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 1 \text{ à } 4$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 choisi parmi les groupes méthyle, éthyle, n -propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno (alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C_3-C_6)carbonyle, aroyle en C_6-C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6-C_{10} à substitution halogéno, (alkyle en C_1-C_4)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



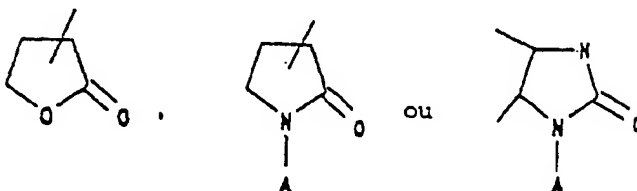
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

30 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe R^aR^bamino-(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

5



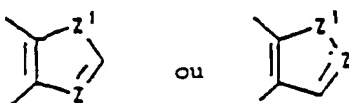
10

 $Z = N, O, S \text{ ou } Se$

15

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

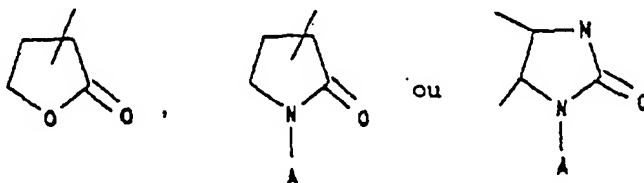
20


 $Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

25

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

30



35

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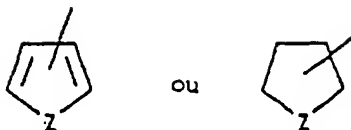
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphthoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

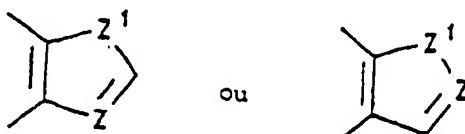
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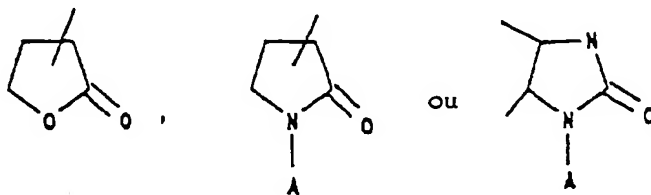
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20 $Z \text{ ou } Z' = N, O, S \text{ ou } Se$

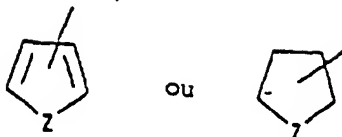
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



30 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

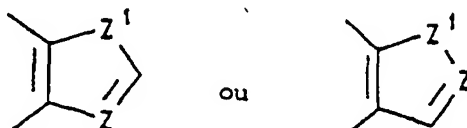
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0

35 R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



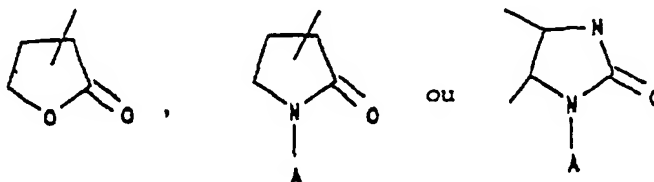
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



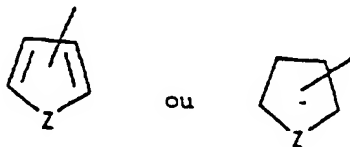
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;

et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 1$ à 4,

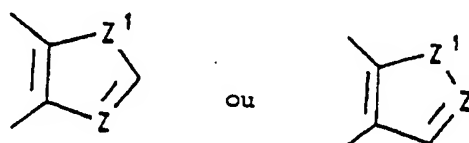
R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe R^aR^b amino(alcoxy en C_1 - C_4), où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi un groupe -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe R^aR^b aminoxyle, où R^aR^b est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi un groupe N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



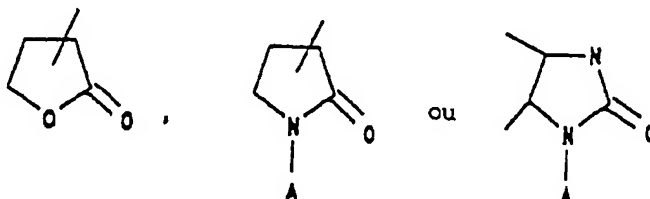
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

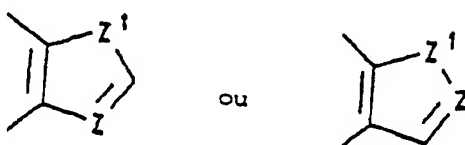
R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique

tique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



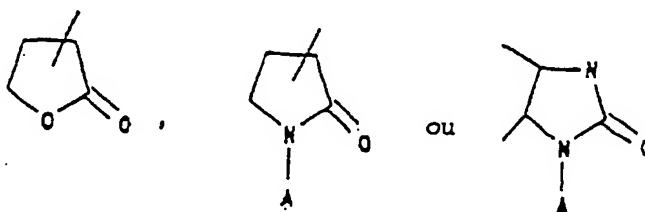
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O, S \text{ ou } Se.$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

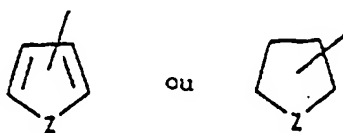
ou bien R⁵ et R⁶, pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi (CH₂)_n et $n = 0$ ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

9. Composé selon la revendication 6, dans lequel :

Y est NO₂ ;

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;
et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6) amino, cyclo propylamino, cyclobutylamino, benzylamino ou
5 phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3),
10 nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe carboxy(alkyle en C_2-C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe α -hydroxy(alkyle en C_1-C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



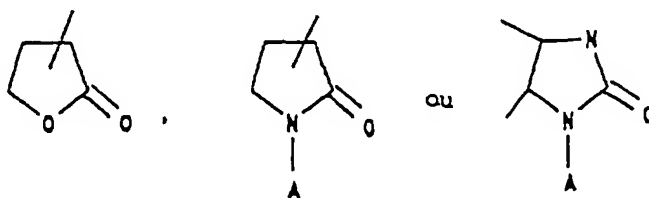
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9

choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six
 chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy
 en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou
 ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution
 choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle,
 β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogé-
 no(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogé-
 no(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant
 un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

]

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué
 (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en
 C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie
 parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle
 ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié
 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle,
 ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃)
 [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou
 S ; ou un groupe R^aR^baminooxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes
 méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n,
 n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH,
 -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;
 et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ choisi parmi les groupes méthyle,
 éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe
 amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cy-
 clobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylami-
 no, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle,
 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle,
 α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno,
 alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)
 amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroa-
 cétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou
 naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)-carbonyle, l'hé-
 térocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou
 Se et auquel est facultativement condensé un noyau benzo ou pyrido :



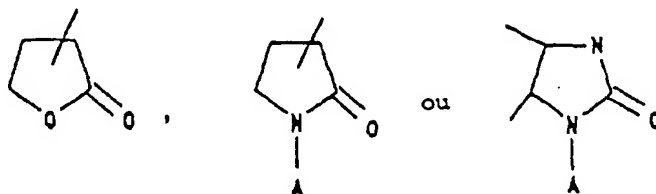
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



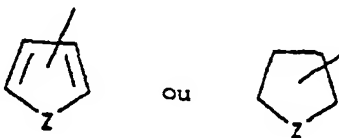
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe α-hydroxy-(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0,

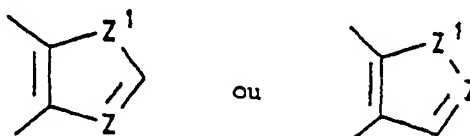
R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en

C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



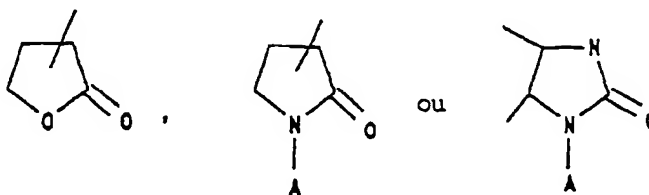
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque R = R⁴ (CH₂)_nSO₂- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ choisi parmi les groupes méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle,

α -naphtyle ou β -naphtyle un groupe aralkyle en C_7-C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



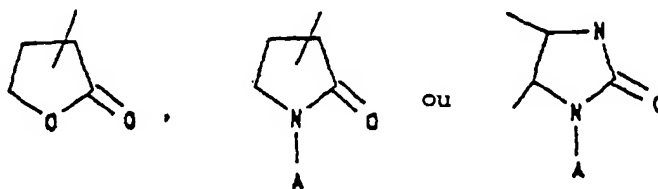
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7-C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



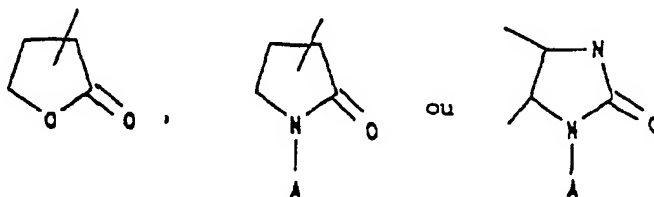
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z' = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi (CH₂)_n et $n = 0$ ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

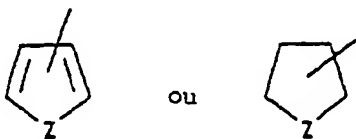
10. Composé selon la revendication 6, dans lequel :

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, amino ou (alcoxy en C_1 - C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



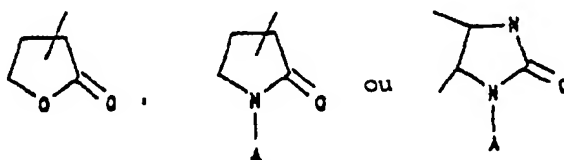
$Z = N, O \text{ ou } S$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O \text{ ou } S$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1 - C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1 - C_2 , halogéno, aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , (alcoxy en C_1 - C_4)carbonyle, halogéno(alkyle en C_1 - C_3)] ; un groupe alcoxy en C_1 - C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1 - C_4) ; un groupe aralkyloxy en C_7 - C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1 - C_2) ; un groupe $R^a R^b$ amino(alcoxy en C_1 - C_4) où $R^a R^b$ est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle ; ou un groupe $R^a R^b$ aminoxy où $R^a R^b$ est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle, 1-méthylpropyle ou 2-méthylpropyle ; et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en

C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, amino, (alcoxy en C₁-C₄)carbonyle) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle ou chloroacétyle ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄) où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0,

R⁴ est choisi parmi un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, (alcoxy en C₁-C₄)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O ou S

et, lorsque R = R⁴(CH₂)_nSO₂- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ;

R⁶ est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1,

-NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

5

11. Composé selon la revendication 1,

- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 10 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- monochlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-9-(acétylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 15 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(trifluoroacétyl)amino]-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-7-(diéthylamino)-4-diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide (2:1) ;
- 20 - sulfate de [4S-(4 α ,12 α)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide (2:1) ;
- [4S-(4 α ,12 α)]-7-(diéthylamino)-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 25 - [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegcarboxamide ;
- 30 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(1-oxo-2-propényl)amino]-2-naphtacènegcarboxamide ;
- 35 - sulfate de [4S-(4 α ,12 α)]-9-[(acétyloxy)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 40 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-méthoxybenzoyl)amine]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(2-méthylbenzoyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 45 - chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(3-(trifluorométhyl)benzoyl)amino]-2-naphtacènegcarboxamide ;
- 50 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(2-furannylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylcarbonyl)amino]-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- 55 - sulfate de [4S-(4 α ,12 α)]-9-[(4-aminobenzoyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(4-diméthylaminobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;

hydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;

- ester 1,1-diméthyléthylque d'acide [7S-(7 α ,10 α)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;
- mono(trifluoroacétate) de [4S-(4 α ,12 α)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylsulfonyl)amino]-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-9-[[4-(4-chlorophényl)sulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(3-nitrophényl)sulfonyl]amino-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[4-(nitrophényl)sulfonyl]amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[2-(thiénylsulfonyl)amino]-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-9-[[2-(acétylamino)-4-méthyl-5-thiazolylsulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[phénylméthoxy]acétyl]amino]-2-naphtacènegarboxamide ;
- ester éthylque d'acide [7S-(7 α ,10 α)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]oxoacétique ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[méthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegarboxamide ;
- ester méthylque d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- ester (2-diéthylamino)éthylque d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- ester éthénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- ester 2-propénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-9-[[acétyloxy]acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-9-[(4-aminobenzoyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[4-(diméthylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate d'ester 1,1-diméthyléthylque d'acide [7S-(7 α ,10 α)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;

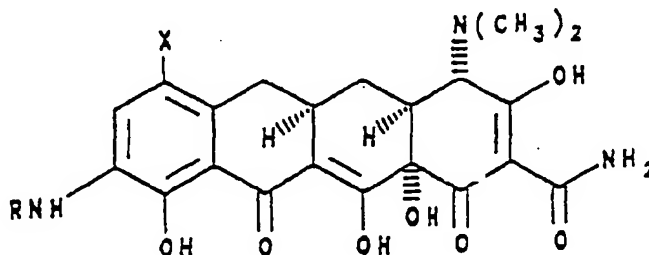
mino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;

- [4S-(4 α ,12 α)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 5 - chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[phénylméthoxy]acétyl]amino]-2-naphtacènegcarboxamide ;
- 10 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegcarboxamide ;
- 15 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate d'ester méthylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- chlorhydrate d'ester (2-diéthylamino)éthylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- 20 - sulfate d'ester éthénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- chlorhydrate d'ester 2-propénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- 25 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[diéthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[diéthylamino]acétyl] amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[diéthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 30 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(chloroacétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 35 - dichlorhydrate de [4S-(4 α ,12 α)]-9-[(chloroacétyl)amino]-4,7-bis (diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide (base libre) ;
- 40 - monobromhydrate de [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- bromhydrate de [4S-(4 α ,12 α)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 45 - bromhydrate de [4S-(4 α ,12 α)]-9-[(2-bromo-1-oxopropyl)-amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthylamino)acétyl]amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-4-morpholineacétamide ;
- 50 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(éthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(cyclopropylamino)-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 55 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis (diméthylamino)-9-[(butylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[[diéthylamino]-acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;

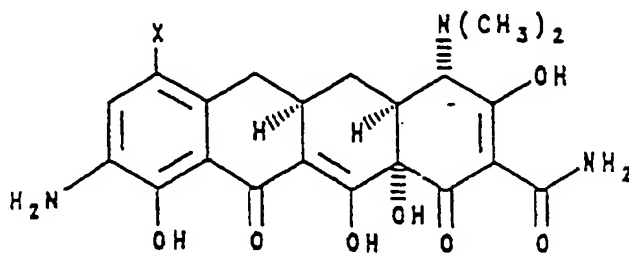
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pyrrolidineacétamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[[2-méthylpropyl]amino]acétyl]amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- 5 - dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pipéridineacétamide ;
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1H-imidazole-1-acétamide ;
- 10 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[propylamino]acétyl]amino]-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[hexylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 15 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[2-(diméthylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[2-(méthylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- 20 - dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]- α -méthyl-1-pyrrolidineacétamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[4-(diméthylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[[[butylméthylamino]acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 25 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[pentylamino]acétyl]amino]-2-naphtacènegcarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[phénylméthyl]amino]acétyl]amino]-2-naphtacènegcarboxamide ;
- [7S-(7 α ,10 α)]-N-[2- [[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]glycine ;
- 30 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(4-morpholinylméthyl)-2-naphtacènegcarboxamide ;
- 35 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pipéridinylméthyl)-2-naphtacènegcarboxamide ;
- [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-azétidineacétamide ;
- 40 - chlorhydrate de [4S-(4 α ,12 α)]-9-[[[cyclobutylamino]acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide.

12. Composé selon la revendication 6, le sulfate de [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-nitro-1,11-dioxo-2-naphtacènegcarboxamide.

13. Procédé de production d'un composé de formule :

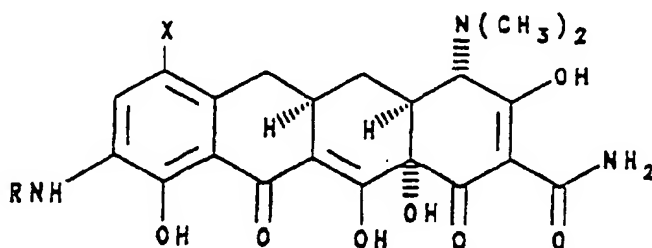


selon la revendication 1, dans lequel $X = NR^1R^2$, qui comprend la réaction d'une 9-amino-7-(amino substitué)-6-déméthyl-6-désoxytétracycline de formule :

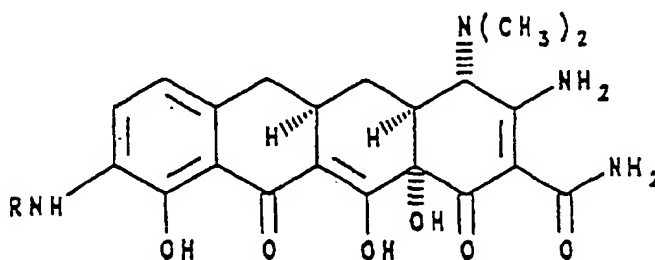


avec un halogénure d'acyle de formule R-halogénure, un anhydride d'acyle de formule R-anhydride, un anhydride d'acyle mixte de formule R-anhydride, un halogénure de sulfonyle de formule R-halogénure, ou un anhydride de sulfonyle de formule R-anhydride en présence d'un accepteur d'acide approprié dans un solvant approprié.

14. Procédé de production d'un composé de formule :

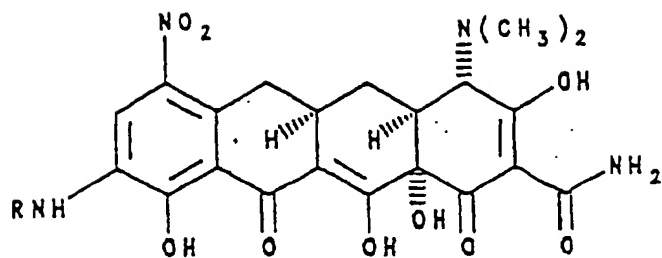


selon la revendication 1, dans lequel X est un halogène, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-déméthyl-6-désoxytétracycline de formule :

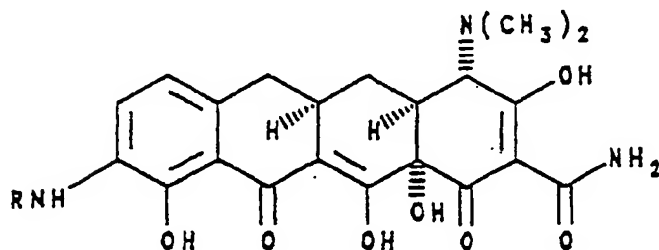


avec un agent d'halogénéation.

15. Procédé de production d'un composé de formule :

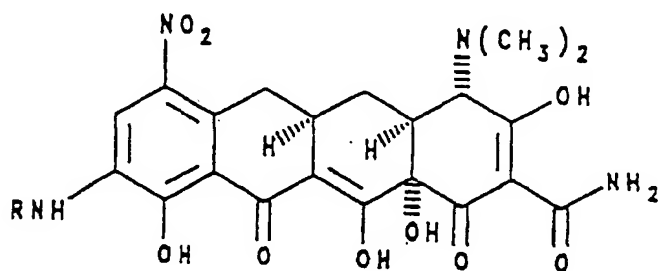


selon la revendication 6, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-déméthyl-6-désoxytétracycline de formule :

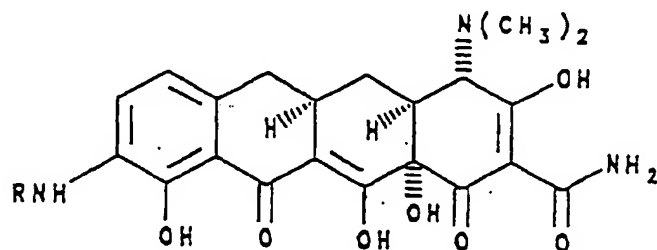


avec un nitrate métallique et un acide fort.

16. Procédé de production d'un composé de formule :

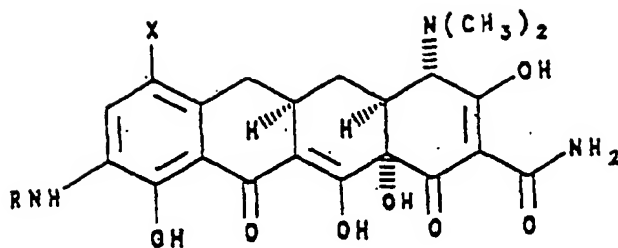


selon la revendication 6, qui comprend la réaction d'un composé de formule :

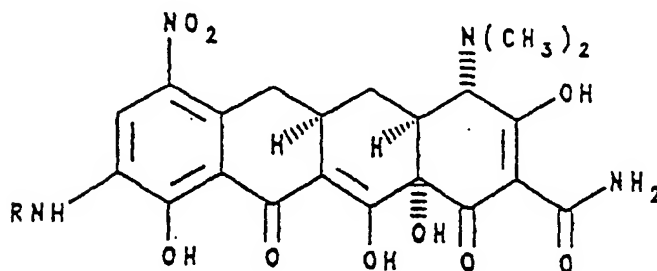


avec l'acide nitrique et un acide fort.

17. Procédé de production d'un composé de formule :

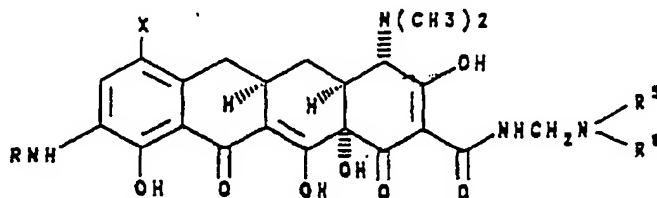


selon la revendication 1, dans lequel $X = NR^1R^2$, qui comprend la réaction d'un composé de formule :

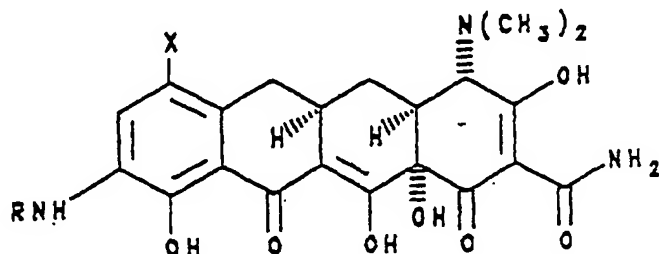


selon la revendication 6, avec l'aldéhyde ou la cétone en C_1 - C_4 linéaire ou ramifié approprié en présence d'un acide et d'hydrogène.

18. Procédé de production d'un composé de formule :



selon la revendication 1, dans lequel $X = NR^1R^2$ ou un halogène, qui comprend la réaction d'une 9-(amino substitué)-7-(halogéno ou amino substitué)-6-déméthyl-6-désoxytétracycline de formule :



selon la revendication 1, avec une amine primaire ou secondaire en présence de formaldéhyde.

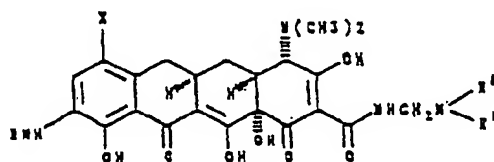
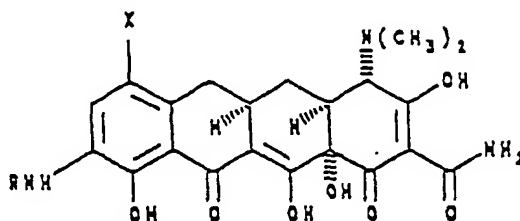
19. Procédé pour la prévention, le traitement ou la maîtrise d'infections bactériennes chez des animaux à sang chaud, qui comprend l'administration audit animal une quantité pharmacologiquement efficace d'un composé selon la

revendication 1.

20. Composition de matière pharmaceutique comprenant un composé selon la revendication 1 en association avec un support pharmaceutiquement acceptable.

Revendications pour les Etats contractants suivants : ES, GR

1. Procédé de production d'un composé de formule :



dans laquelle :

X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $\text{X} = \text{NR}^1\text{R}^2$ et $\text{R}^1 = \text{hydrogène}$,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle , 2-méthylpropyle ou $1,1\text{-diméthyléthyle}$;

et, lorsque $\text{R}^1 = \text{méthyle}$ ou éthyle ,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = n\text{-propyle}$,

$\text{R}^2 = n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = 1\text{-méthyléthyle}$,

$\text{R}^2 = n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = n\text{-butyle}$,

$\text{R}^2 = n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

et, lorsque $\text{R}^1 = 1\text{-méthylpropyle}$,

$\text{R}^2 = 2\text{-méthylpropyle}$;

R est choisi parmi $\text{R}^4(\text{CH}_2)_n\text{CO}-$ ou $\text{R}^4(\text{CH}_2)_n\text{SO}_2-$;

et, lorsque $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO}-$ et $n = 0$,

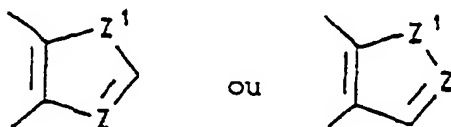
R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\text{C}_1\text{-C}_6$)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\text{C}_1\text{-C}_4$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle , 2-méthylpropyle ou $1,1\text{-diméthyléthyle}$; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ substitué (substitution choisie parmi les groupes alkyle en $\text{C}_1\text{-C}_3$, cyano, amino ou acyle en $\text{C}_1\text{-C}_3$) ; un groupe aryle en $\text{C}_6\text{-C}_{10}$ choisi parmi les groupes phényle, $\alpha\text{-naphthyle}$ ou $\beta\text{-naphthyle}$; un groupe aryle en $\text{C}_6\text{-C}_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\text{C}_1\text{-C}_4$, trihalogéno (alkyle en $\text{C}_1\text{-C}_3$), nitro, amino, cyano, (alcoxy en $\text{C}_1\text{-C}_4$)carbonyle, (alkyle en $\text{C}_1\text{-C}_3$)amino ou carboxy) ; un groupe aralkyle en $\text{C}_7\text{-C}_9$ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe $\alpha\text{-amino}$ (alkyle en

C₁-C₄) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe α -mercapto(alkyle en C₁-C₃) choisi parmi les groupes mercaptométhyle, α -mercaptoéthyle, α -mercapto-1-méthyléthyle ou α -mercaptopropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



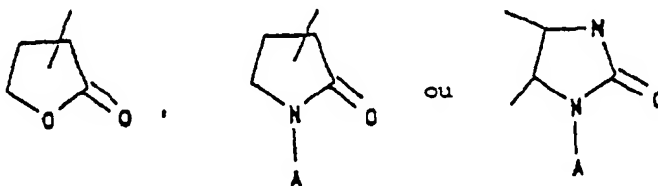
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



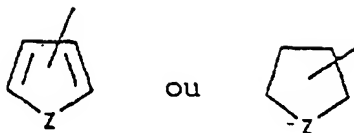
Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



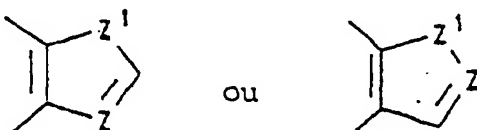
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle)-carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



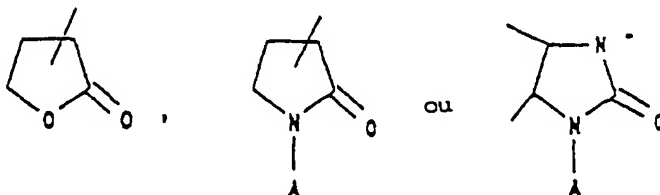
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

25 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

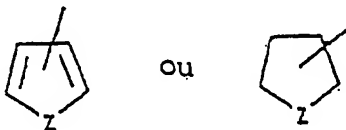


Z = N, O, S ou Se

] ;

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino (alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminooxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyloxy, propionyloxy, chloroacétyloxy, trichloroacétyloxy, (cycloalkyle en C₃-C₆)carbonyloxy, aroyloxy en C₆-C₁₀ choisi parmi les groupes benzoyloxy ou naphthoyloxy, aroyloxy en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyloxy, ou (hétérocycle)carbonyloxy, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



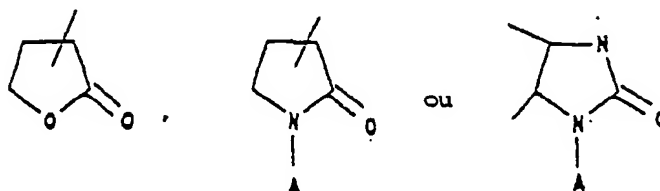
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



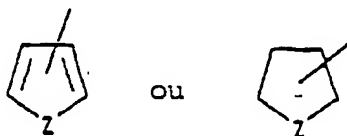
10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué, (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyle en C₆ choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



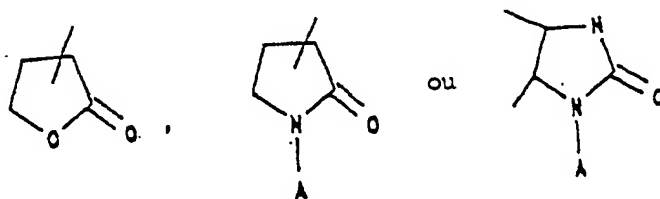
50 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C₂-C₅ ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl-, propionyl-, chloroacétyl-, trifluoroacétyl-, (cycloalkyle en C₃-C₆)carbonyl-, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl- ou naphtoyl-, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl-, ou (hétérocycle)carbonyl-, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



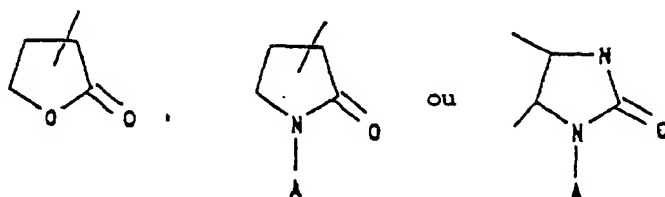
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N (alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nSO₂ et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle- en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



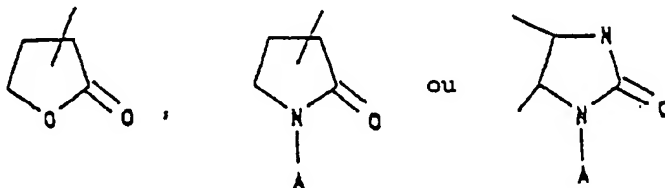
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^b amino(alcoxy en C_1-C_4), où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; ou un groupe R^aR^b aminoxy, où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ;

50 et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 1$ à 4,

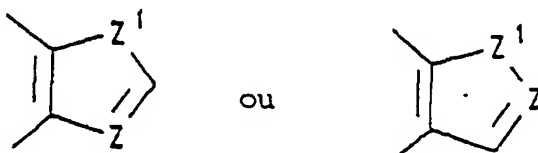
55 R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C_1-C_4 ; un groupe cycloalkyle en C_3-C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3-C_6 substitué (substitution

choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃ ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB (B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃), O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou *n*-propylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons' ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



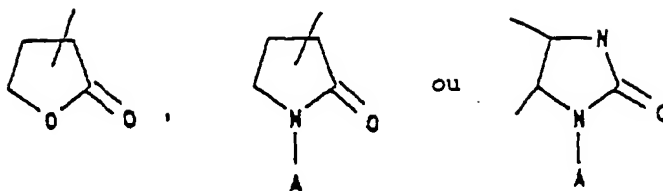
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



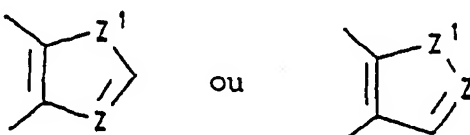
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



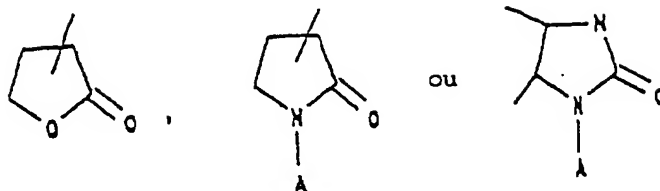
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

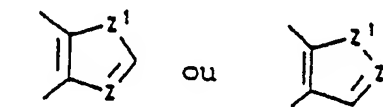
15 ou un cycle aromatique à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

20 R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



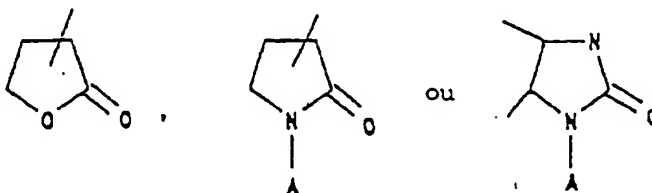
35 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

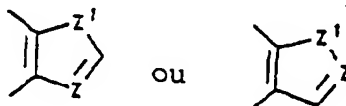
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



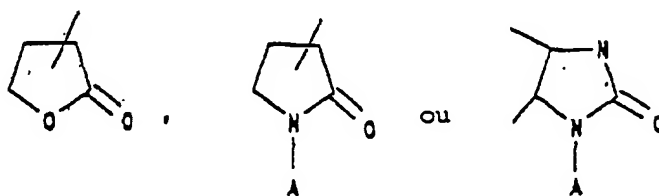
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

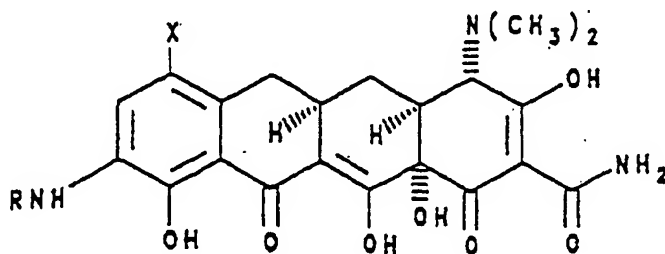
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînon ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

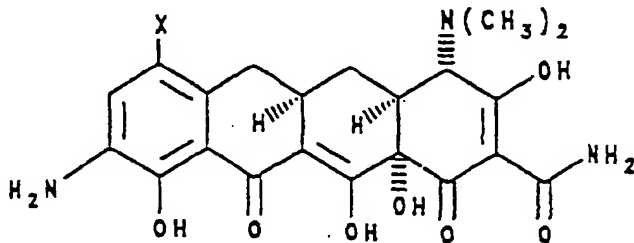
ou bien R^5 et R^6 , pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi $(CH_2)_n$ et $n = 0$ ou 1, -NH, -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -N(alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables ;

ledit procédé comprenant l'une des étapes (a) à (c) suivantes :

(a) l'étape de production d'un composé de formule :

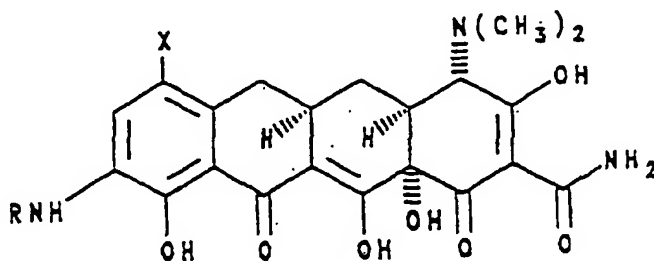


telle que définie ci-dessus, dans lequel $X = NR^1R^2$, qui comprend la réaction d'une 9-amino-7-(amino substitué)-6-diméthyl-6-désoxytétracycline de formule :

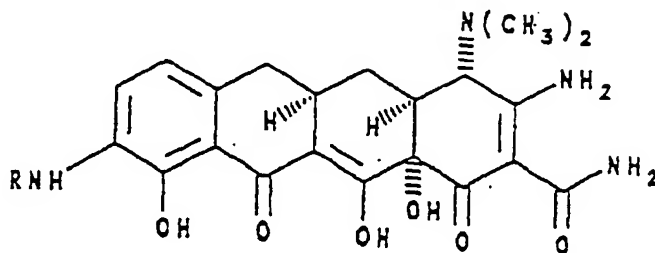


avec un halogénure d'acyle de formule R-halogénure, un anhydride d'acyle de formule R-anhydride, un anhydride d'acyle mixte de formule R-anhydride, un halogénure de sulfonyle de formule R-halogénure, ou un anhydride de sulfonyle de formule R-anhydride en présence d'un accepteur d'acide approprié dans un solvant approprié ;

(b) l'étape de production d'un composé de formule :

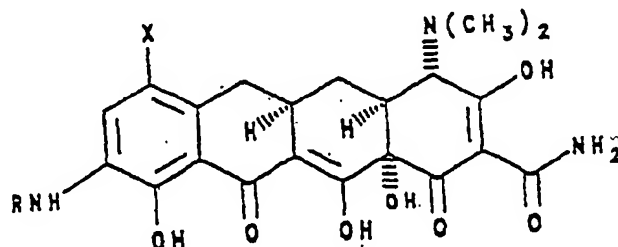


telle que définie ci-dessus, dans lequel X est un halogène, qui comprend la réaction d'une 9-(acyl- ou sulfo-nylamino)-6-déméthyl-6-désoxytétracycline de formule :

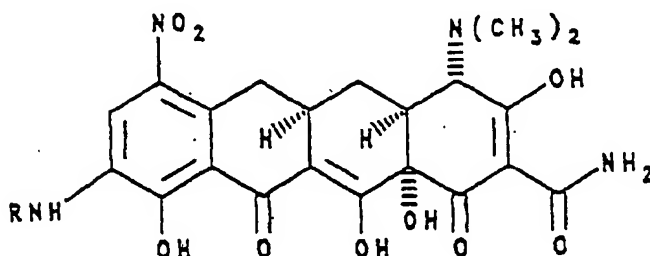


avec un agent d'halogénéation ;

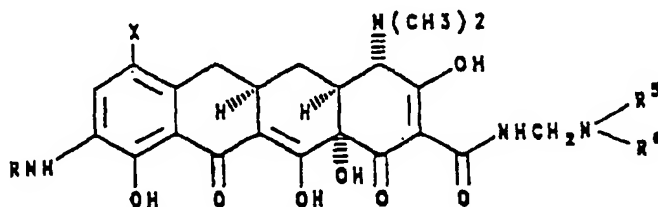
(c) l'étape de production d'un composé de formule :



telle que définie ci-dessus, dans lequel $X = NR^1R^2$, qui comprend la réaction d'un composé de formule :

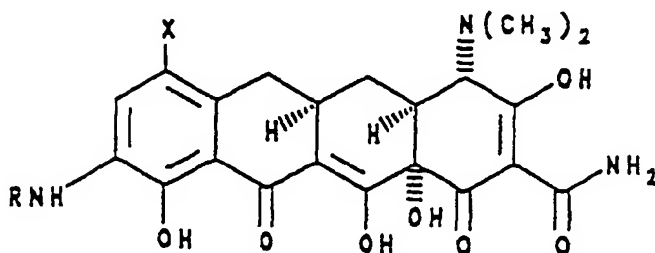


dans laquelle R est tel que défini ci-dessus, avec l'aldéhyde ou la cétone en C_1 - C_4 linéaire ou ramifié approprié en présence d'un acide et d'hydrogène. ;
et comprenant facultativement une étape de production d'un composé de formule :



telle que définie ci-dessus, dans lequel $X = NR^1R^2$ ou un halogène, qui comprend la réaction d'une 9-(amino

substitué)-7-(halogéno ou amino substitué) -6-déméthyl-6-désoxytétracycline de formule :



telle que définie ci-dessus, avec une amine primaire ou secondaire en présence de formaldéhyde.

2. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR^1R^2 ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $\text{X} = \text{NR}^1\text{R}^2$ et $\text{R}^1 = \text{hydrogène}$,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle , 2-méthylpropyle ou $1,1\text{-diméthyléthyle}$;

et, lorsque $\text{R}^1 = \text{méthyle}$ ou éthyle ,

$\text{R}^2 = \text{méthyle}$, éthyle , $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi $\text{R}^4(\text{CH}_2)_n\text{CO-}$ ou $\text{R}^4(\text{CH}_2)_n\text{SO}_2\text{-}$;

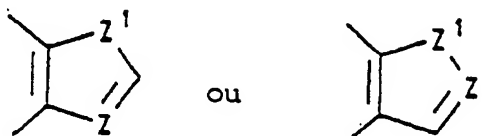
et, lorsque $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO-}$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\text{C}_1\text{-C}_6$)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\text{C}_1\text{-C}_4$ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, $n\text{-propyle}$, 1-méthyléthyle , $n\text{-butyle}$, 1-méthylpropyle ou 2-méthylpropyle ; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en $\text{C}_3\text{-C}_6$ substitué (substitution choisie parmi les groupes alkyle en $\text{C}_1\text{-C}_3$, cyano, amino ou acyle en $\text{C}_1\text{-C}_3$) ; un groupe aryle en $\text{C}_6\text{-C}_{10}$ choisi parmi les groupes phényle, $\alpha\text{-naphtyle}$ ou $\beta\text{-naphtyle}$; un groupe aryle en $\text{C}_6\text{-C}_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\text{C}_1\text{-C}_4$, trihalogéno(alkyle en $\text{C}_1\text{-C}_3$), nitro, amino, cyano, (alcoxy en $\text{C}_1\text{-C}_4$)carbonyle, (alkyle en $\text{C}_1\text{-C}_3$)amino ou carboxy) ; un groupe $\alpha\text{-amino}$ (alkyle en $\text{C}_1\text{-C}_4$) choisi parmi les groupes aminométhyle, $\alpha\text{-aminoéthyle}$, $\alpha\text{-aminopropyle}$ ou $\alpha\text{-aminobutyle}$; un groupe carboxy(alkyle en $\text{C}_2\text{-C}_4$)amino choisi parmi l'acide aminoacétique, l'acide $\alpha\text{-aminobutyrique}$ ou l'acide $\alpha\text{-aminopropionique}$ et leurs isomères optiques ; un groupe (aralkyle en $\text{C}_7\text{-C}_9$)amino ; un groupe (alcoxy en $\text{C}_1\text{-C}_4$) carbonylamino-alkyle en $\text{C}_1\text{-C}_4$ substitué, la substitution étant choisie parmi les groupes phényle ou $p\text{-hydroxyphényle}$; un groupe $\alpha\text{-hydroxy}$ (alkyle en $\text{C}_1\text{-C}_3$) choisi parmi les groupes hydroxyméthyle, $\alpha\text{-hydroxyéthyle}$ ou $\alpha\text{-hydroxy-1-méthyléthyle}$ ou $\alpha\text{-hydroxypropyle}$; un groupe halogéno(alkyle en $\text{C}_1\text{-C}_3$) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



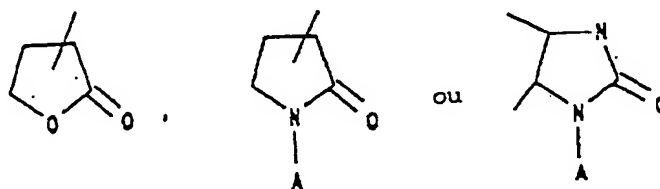
$\text{Z} = \text{N}, \text{O}, \text{S} \text{ ou } \text{Se}$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆) - carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle) - carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



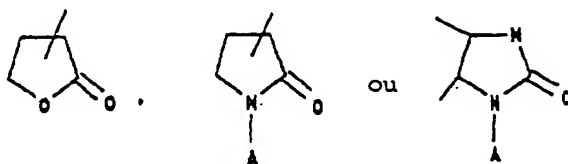
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



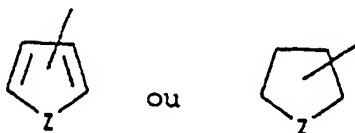
10 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyl, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1-C_4)carbonyl choisi parmi les groupes méthoxycarbonyl, éthoxycarbonyl, propoxycarbonyl linéaire ou ramifié, butoxycarbonyl linéaire ou ramifié ou allyloxycarbonyl ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1-C_3 , halogéno, aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyl, (alkyle en C_1-C_3)amino ou carboxy), halogéno(alkyle en C_1-C_3), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



45 $Z = N, O, S$ ou Se

50] ;

un groupe alcoxy en C_1-C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1-C_3)amino) ; un groupe aralkyloxy en C_7-C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1-C_4 , cyano, carboxy ou aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^b amino(alcoxy en C_1-C_4), où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est $(CH_2)_n$, $n = 2$ à 6 , ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes $-N$ (alkyle en C_1-C_3)

[linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;
 et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire, ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



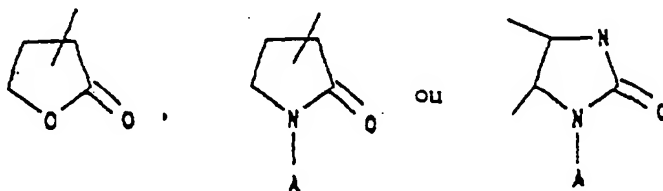
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



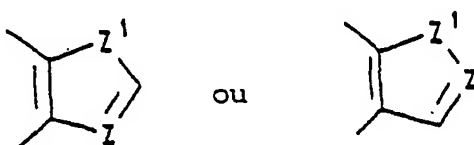
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe (aryle en C₆)sulfonyl choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



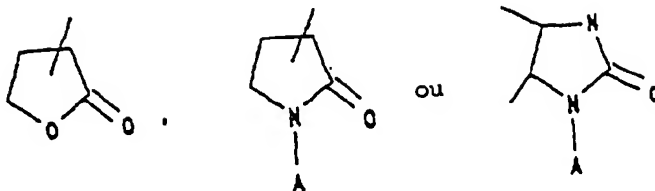
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



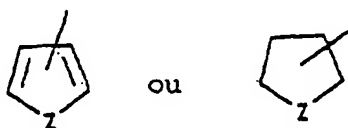
Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



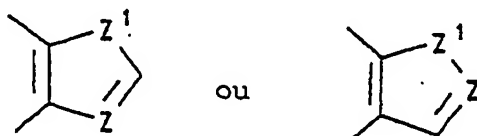
10 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

15 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α-hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



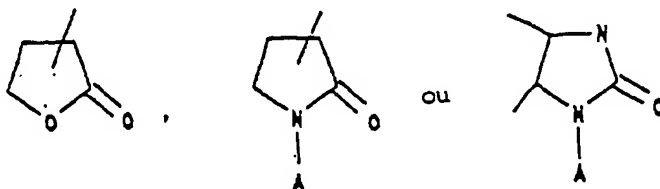
30 Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



40 Z ou Z¹ = N, O, S ou Se

45 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

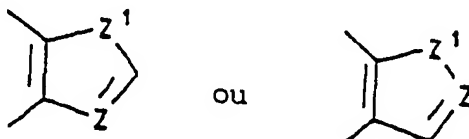
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



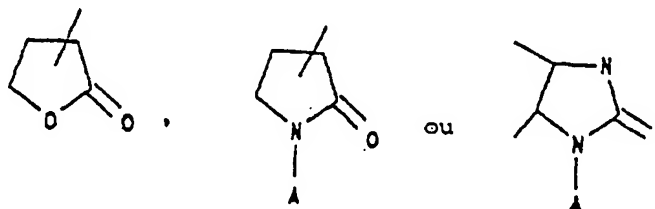
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

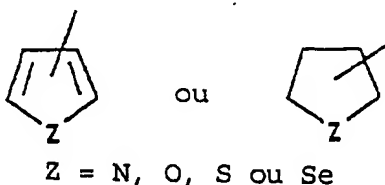


(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

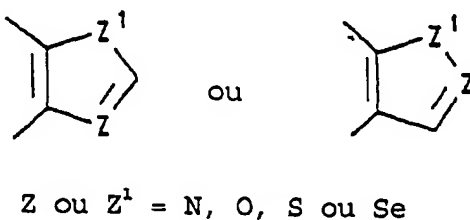
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;
et, lorsque R = R⁴(CH₂)_nSO₂- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe carboxyalkyle en C₁-C₄ ;

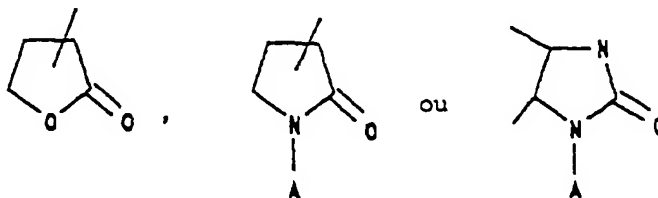
R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



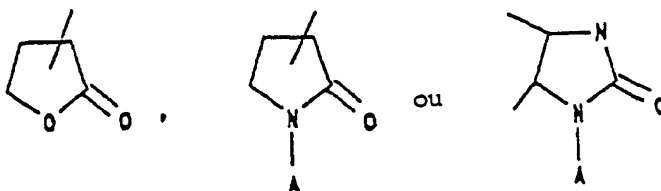
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six

chaînon ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien, R^5 et R^6 , pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi $(CH_2)_n$ et $n = 0$ ou 1, -NH, -N(alkyle en C_1-C_3) [linéaire ou ramifié], -N(alcoy en C_1-C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

3. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 =$ hydrogène,

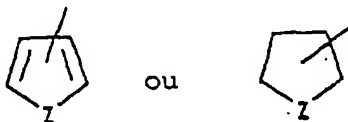
$R^2 =$ méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

et, lorsque $R^1 =$ méthyle ou éthyle,

$R^2 =$ méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

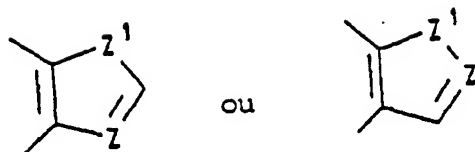
R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$; et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1-C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe cycloalkyle en C_3-C_6 choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C_3-C_6 substitué (substitution choisie parmi les groupes alkyle en C_1-C_3 , cyano, amino ou acyle en C_1-C_3) ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoy en C_1-C_4)carbonyl, (alkyle en C_1-C_3) amino ou carboxy) ; un groupe α -amino(alkyle en C_1-C_4) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C_2-C_4)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C_7-C_9)amino ; un groupe (alcoy en C_1-C_4)carbonylamino-alkyle en C_1-C_4 substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C_1-C_3) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



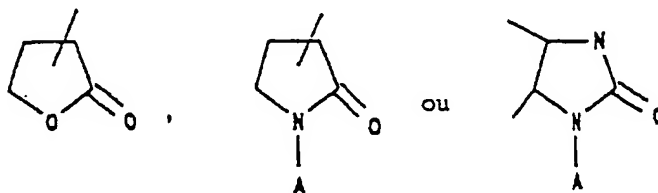
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



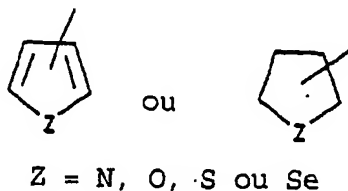
10 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkylé en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C_3 - C_6)-carbonyle, aroyle en C_6 - C_{10} choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C_6 - C_{10} à substitution halogéno, (alkyle en C_1 - C_4)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

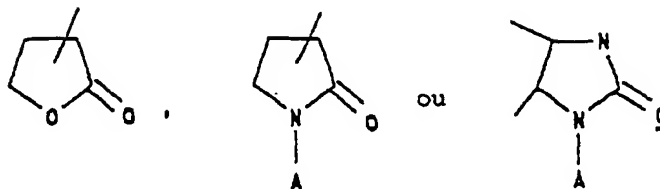


45 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



55 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

1 ;

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃) amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

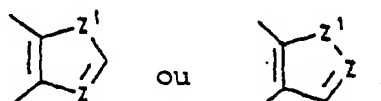
R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un grou-

pe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃) nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



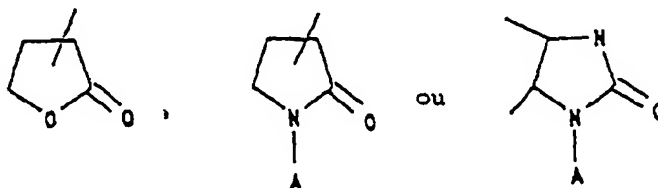
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe R^aR^bamino-(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle,

éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2 W (CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1-C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1-C_3], O ou S ; un groupe alkylthio en C_1-C_3 choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C_6 choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4 , nitro, cyano, thiol, amino, carboxy, di(alkyle en C_1-C_3)amino) ; un groupe (aryle en C_6)sulfonyl choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyl, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



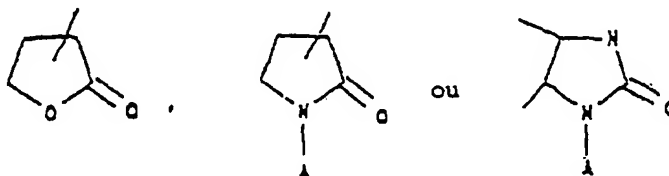
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N, O, S$ ou Se

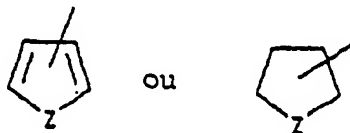
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyl, (alkyle en C_1-C_3)amino ou carboxy) ; un groupé aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxyalkyle en C_1-C_3 choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C_1-C_3) ; un groupe acyle ou halogénoacyle

choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



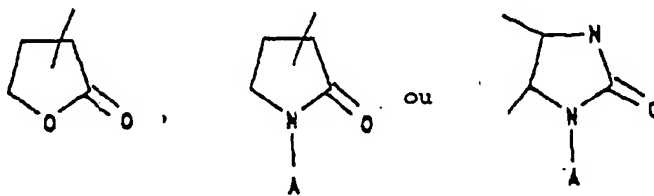
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque R = R⁴(CH₂)_nSO₂ et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ;

un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle
 un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C₆-
 C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro,
 5 amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique
 choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel
 est facultativement condensé un noyau benzo ou pyrido :



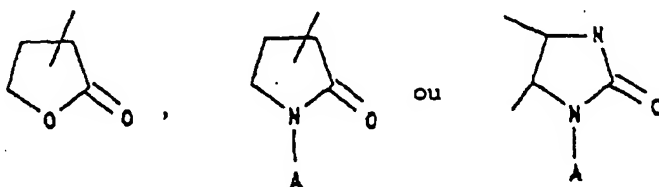
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement
 condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé
 adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en
 C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro,
 45 amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉
 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six
 chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;

et, lorsque R = R^{4'}(CH₂)_nSO₂- et n = 1 à 4,

R^{4'} est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi
 les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou
 phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyl-
 55 éthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle)
 ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-
 propyle ou 1-méthyléthyle ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire
 ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-mé-
 thylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle

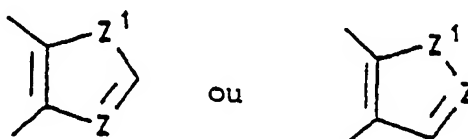
en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupé hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



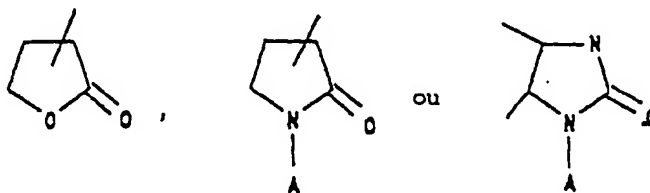
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou (CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

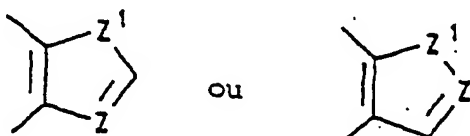
R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aroma-

tique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



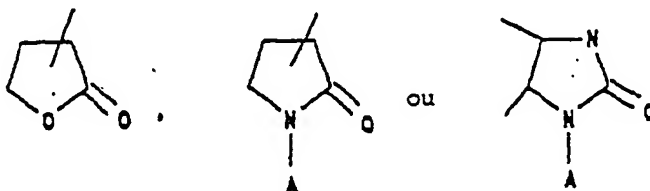
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z' = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi (CH₂)_n et $n = 0$ ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

4. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR^1R^2 , ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $\text{X} = \text{NR}^1\text{R}^2$ et $\text{R}^1 = \text{hydrogène}$,

$\text{R}^2 = \text{méthyle}$, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ;

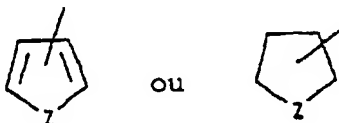
et, lorsque $\text{R}^1 = \text{méthyle}$ ou éthyle,

$\text{R}^2 = \text{méthyle}$, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;

R est choisi parmi $\text{R}^4(\text{CH}_2)_n\text{CO}-$ ou $\text{R}^4(\text{CH}_2)_n\text{SO}_2-$;

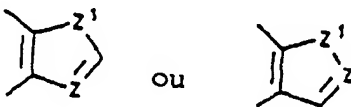
et, lorsque $\text{R} = \text{R}^4(\text{CH}_2)_n\text{CO}-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en $\text{C}_1\text{-C}_6$)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en $\text{C}_1\text{-C}_2$ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en $\text{C}_6\text{-C}_{10}$ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en $\text{C}_6\text{-C}_{10}$ substitué (substitution choisie parmi les groupes halogéno, alcoxy en $\text{C}_1\text{-C}_4$, trihalogéno(alkyle en $\text{C}_1\text{-C}_3$), nitro, amino, cyano, (alcoxy en $\text{C}_1\text{-C}_4$)carbonyle, (alkyle en $\text{C}_1\text{-C}_3$)amino ou carboxy) ; un groupe carboxy(alkyle en $\text{C}_2\text{-C}_4$)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe α -hydroxy(alkyle en $\text{C}_1\text{-C}_3$) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en $\text{C}_1\text{-C}_3$) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



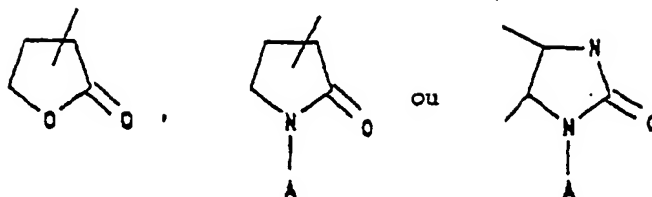
$\text{Z} = \text{N}, \text{O}, \text{S} \text{ ou } \text{Se}$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$\text{Z} \text{ ou } \text{Z}^1 = \text{N}, \text{O}, \text{S} \text{ ou } \text{Se}$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

] ;

un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)

amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



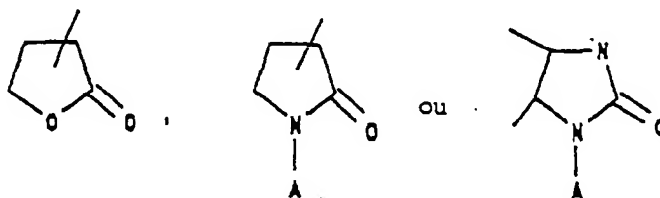
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe α -hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)-carbonylamino choisi parmi

les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

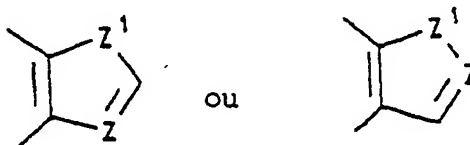
et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 0$,

R^4 est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1-C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



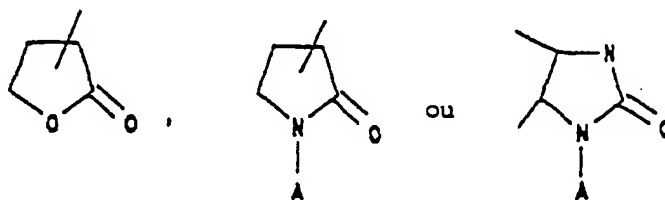
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

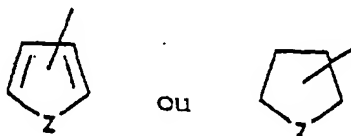
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;

et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 1$ à 4,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

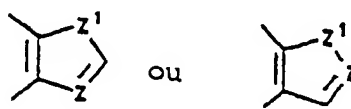
R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les

groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



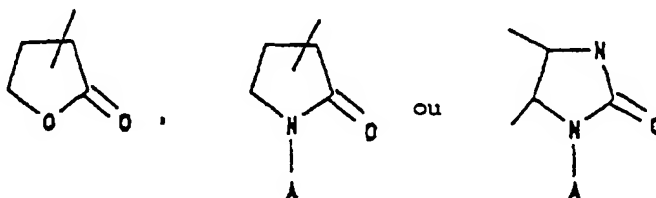
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

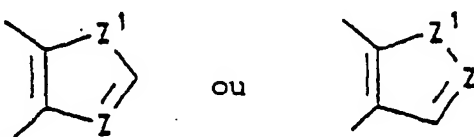
R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé

un noyau benzo ou pyrido :



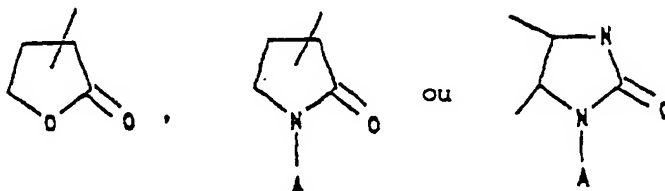
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou (CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié] , -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre, ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

5. Procédé selon la revendication 1, dans lequel :

X est choisi parmi un groupe amino, NR¹R², ou un atome d'halogène ; l'atome d'halogène est choisi parmi le brome, le chlore, le fluor ou l'iode ;

et, lorsque $X = NR^1R^2$ et $R^1 =$ méthyle ou éthyle,

$R^2 =$ méthyle ou éthyle,

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , nitro, amino ou (alcoxy en C_1-C_2)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



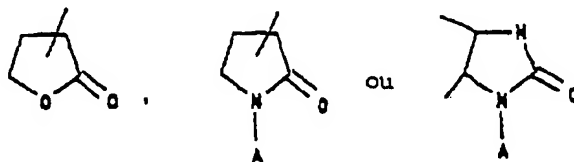
$Z = N, O$ ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O$ ou S

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :

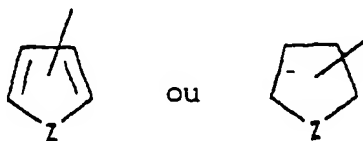


(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 linéaire ou ramifié ; aryle en C_6) ; un groupe (alcoxy en C_1-C_4)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C_1-C_2 , aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , (alcoxy en C_1-C_4)carbonyle, halogéno(alkyle en C_1-C_3)] ; un groupe alcoxy en C_1-C_4 ; un groupe aryloxy en C_6 choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C_1-C_4) ; un groupe aralkyloxy en C_7-C_{10} ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C_1-C_2) ; un groupe R^aR^b amino(alcoxy en C_1-C_4), où R^aR^b est un groupe alkyle en C_1-C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n -propyle, 1-méthyléthyle, n -butyle ; ou un groupe

$R^a R^b$ aminoxy où $R^a R^b$ est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ;
et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 1$ à 4,

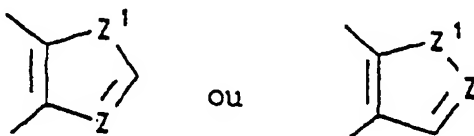
R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C_1 - C_6)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle) ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, amino, (alcoxy en C_1 - C_4)-carbonyle) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle ; un groupe alcoxy en C_1 - C_4 ; un groupe $R^a R^b$ amino(alcoxy en C_1 - C_4) où $R^a R^b$ est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n=2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; ou un groupe $R^a R^b$ aminoxy, où $R^a R^b$ est un groupe alkyle en C_1 - C_4 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien $R^a R^b$ est $(CH_2)_n$, $n = 2$ à 6, ou $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi les groupes -N(alkyle en C_1 - C_3) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C_1 - C_3], O ou S ; un groupe halogéno(alkyle en C_1 - C_3) ; un groupe (alcoxy en C_1 - C_4)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;
et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 0$,

R^4 est choisi parmi un groupe alkyle en C_1 - C_2 linéaire, ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aryle en C_6 - C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , nitro, (alcoxy en C_1 - C_4)-carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O \text{ ou } S$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O \text{ ou } S$

et, lorsque $R = R^4(CH_2)_nSO_2-$ et $n = 1$ à 4,

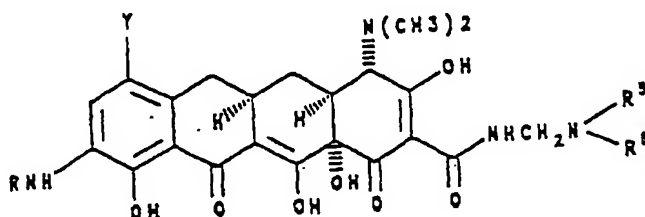
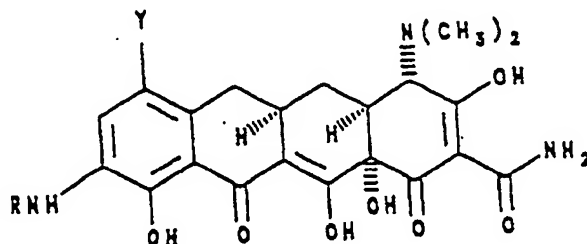
R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

6. Procédé de production d'un composé de formule :



dans laquelle :

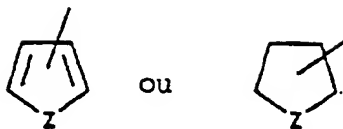
Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle, 1-méthyléthyle, n-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi un groupe benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe α-amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α-aminoéthyle, α-aminopropyle ou α-aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄) carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou p-hydroxyphényle ; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe α-mercapto(alkyle en C₁-C₃) choisi parmi les groupes mercaptométhyle, α-mercaptoéthyle, α-mercapto-1-méthyléthyle ou α-mercaptopropyle ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

5



Z = N, O, S ou Se

10

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

15



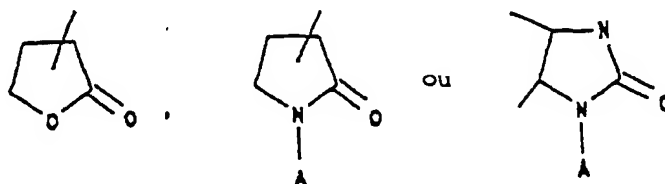
20

Z ou Z' = N, O, S ou Se

25

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

30



35

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

40

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆) - carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

45

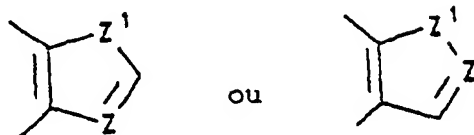
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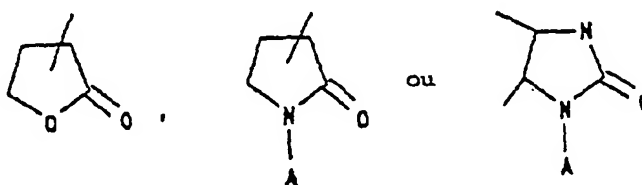
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



15 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z = N, O, S$ ou Se

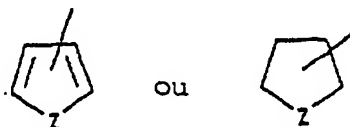
]

55 un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie

parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyl, propionyl, chloroacétyl, trichloroacétyl, (cycloalkyle en C₃-C₆)carbonil, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl, ou (hétérocycle)-carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



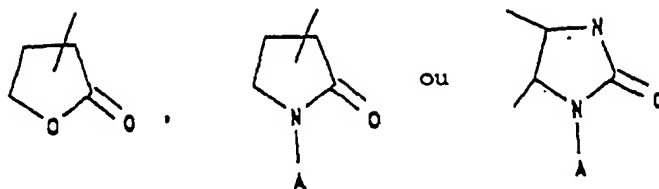
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



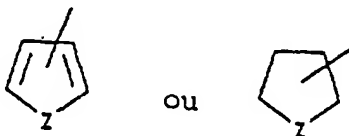
Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



10 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

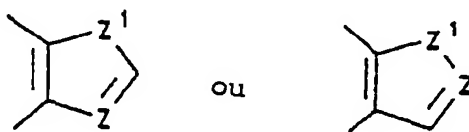
15 ou un cycle aromatique à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phénylsulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃) ; nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



35

Z = N, O, S ou Se

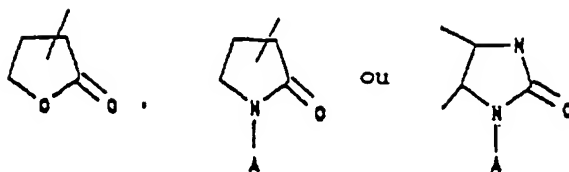
40 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



50

Z ou Z¹ = N, O, S ou Se

55 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



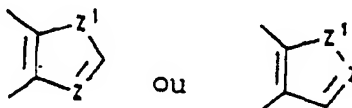
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ à chaîne linéaire ou ramifiée)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyle, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropylamino ; un groupe azacycloalkyle en C₂-C₅ ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminopropionique, l'acide α -aminobutyrique et leurs isomères optiques ; un groupe α -hydroxyalkyle en C₁-C₃ choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



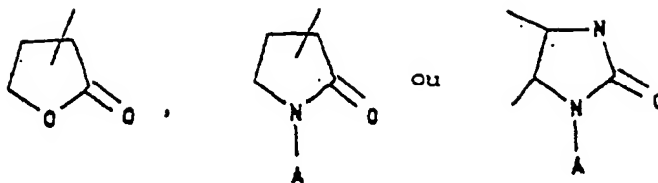
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z' = N, O, S ou Se

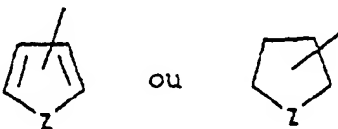
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; un groupe (alcoxy en C₁-C₄)carbonyl choisi parmi les groupes méthoxycarbonyl, éthoxycarbonyl, propoxycarbonyl linéaire ou ramifié, allyloxycarbonyl ou butoxycarbonyl linéaire ou ramifié ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N (alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminox, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nSO₂ et n = 0,

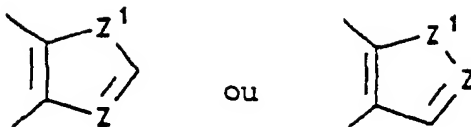
R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ ; un groupe halogéno (alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

5

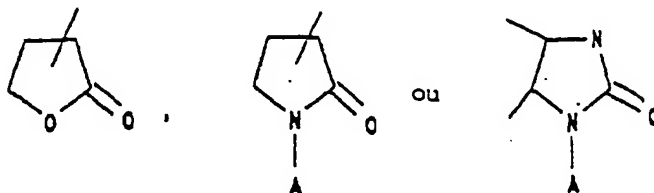


Z ou Z¹ = N, O, S ou Se

10

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

15



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(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

25

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

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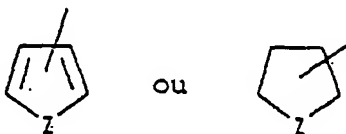
et, lorsque R = R⁴(CH₂)_nSO₂- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle, 2-méthylpropyle ou 1,1-diméthyléthyle ; un groupe carboxyalkyle en C₁-C₄ ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)_n- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio ou *n*-propylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₃, nitro, cyano, thiol, amino,

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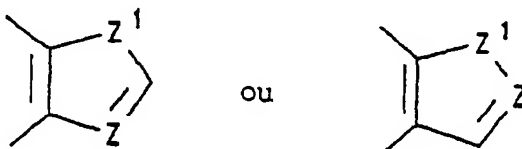
55

carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkylthio en C₇-C₈ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons, ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



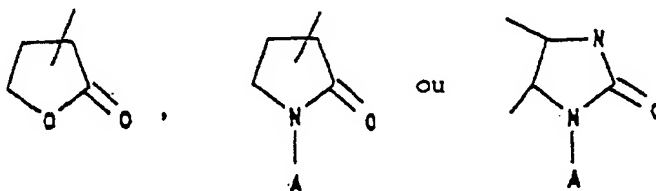
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

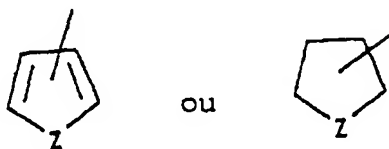
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle, un groupe mercapto ; un groupe mono- ou di(alkyle en C₁-C₆ linéaire ou ramifié)amino choisi parmi les groupes méthyl-, éthyl-, *n*-propyl-, 1-méthyléthyl-, *n*-butyl-, 1-méthylpropyl-, 2-méthylpropyl-, 1,1-diméthyléthyl-, 2-méthylbutyl-, 1,1-diméthylpropyl-, 2,2-diméthylpropyl-, 3-méthylbutyl-, *n*-hexyl-, 1-méthylpentyl-, 1,1-diméthylbutyl-, 2,2-diméthylbutyl-, 2-méthylpentyl-, 1,2-diméthylbutyl-, 1,3-diméthylbutyl- ou 1-méthyl-1-éthylpropyl-amino ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)-carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbo- nyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome

N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



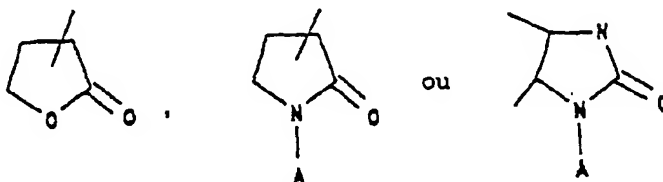
Z = N, O, S ou Se

15 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

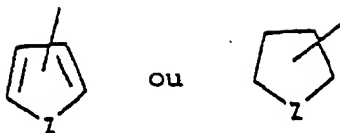
30 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

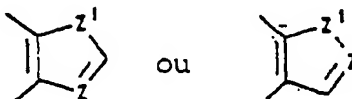
45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, allyloxycarbonyle ou butoxycarbonyle linéaire ou ramifié ;

50 R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthylé ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



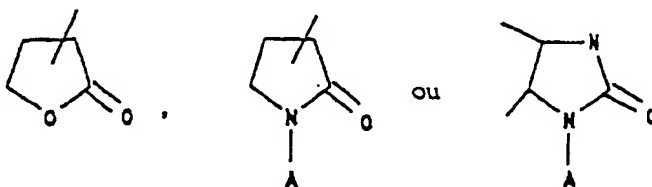
$Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



$Z \text{ ou } Z^1 = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

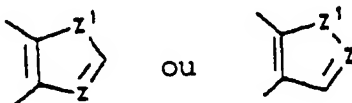
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



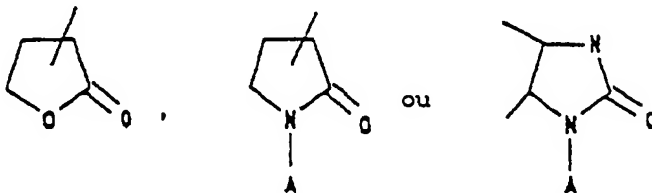
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

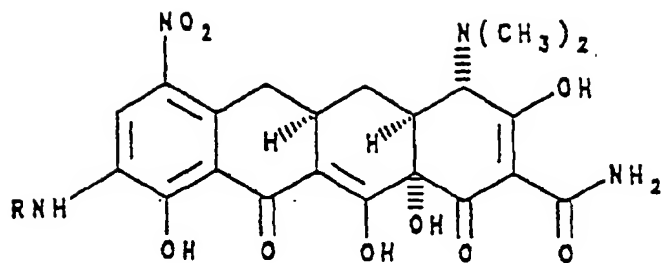


40 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

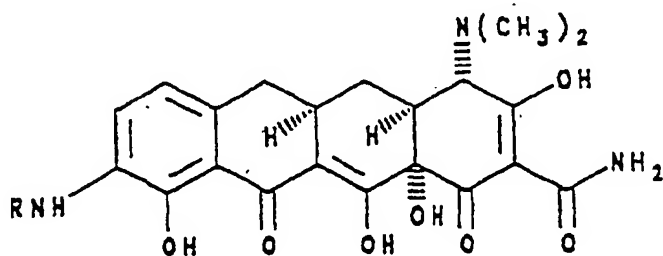
45 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR' où n = 0 à 4 et R' est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

50 ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH-, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables ; ledit procédé comprenant l'une des étapes (d) ou (e) suivantes

55 (d) l'étape de production d'un composé de formule :

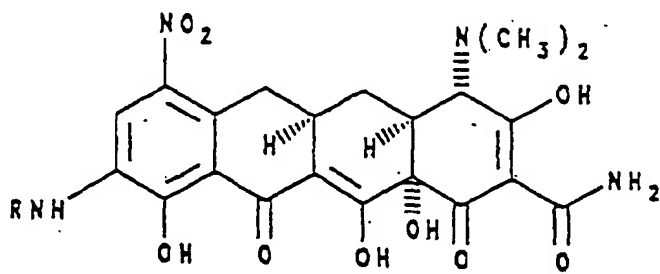


telle que définie ci-dessus, qui comprend la réaction d'une 9-(acyl- ou sulfonylamino)-6-diméthyl-6-désoxy-tétracycline de formule :

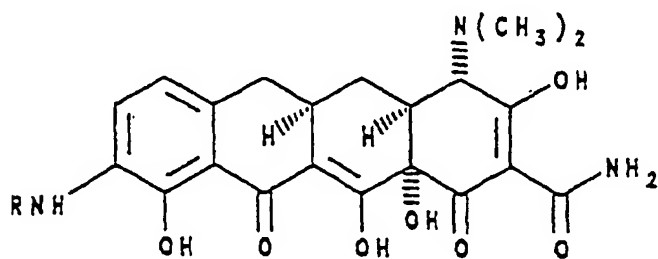


avec un nitrate métallique et un acide fort ; ou

(e) l'étape de production d'un composé de formule :



telle que définie ci-dessus, qui comprend la réaction d'un composé de formule :



avec l'acide nitrique et un acide fort.

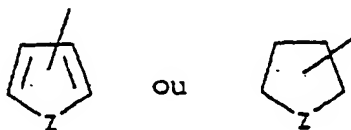
7. Procédé selon la revendication 6, dans lequel

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

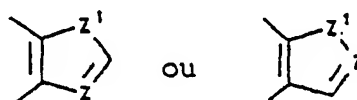
et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe α -amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α -aminométhane, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



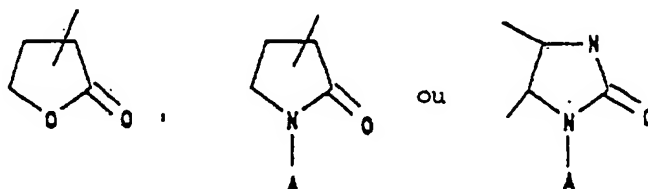
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyl, propionyl, chloroacétyl, trifluoroacétyl, (cycloalkyle en C₃-C₆)-carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle)-carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



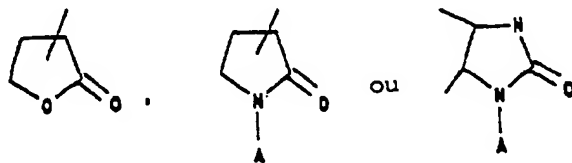
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyl choisi parmi les groupes méthoxycarbonyl, éthoxycarbonyl, propoxycarbonyl linéaire ou

ramifié, butoxycarbonyl linéaire ou ramifié ou allyloxycarbonyl ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

] ;

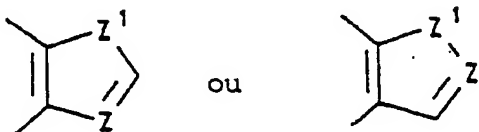
un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupés (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl, ou (hétérocycle) carbonyl, le groupe hétérocyclique étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



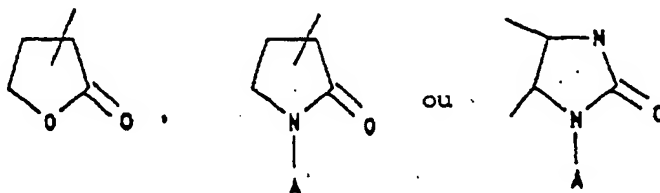
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, (alkyle en C₁-C₄), nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano,

thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyl en C₆ choisi parmi les groupes phényl-sulfonyl ou phénylsulfonyl substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



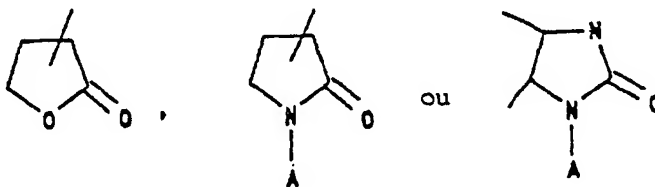
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



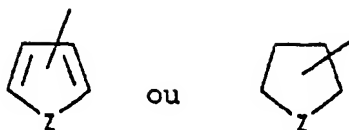
Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyl, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyl, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyl ou naphtoyl, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyl ou (hétérocycle)carbonyl, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



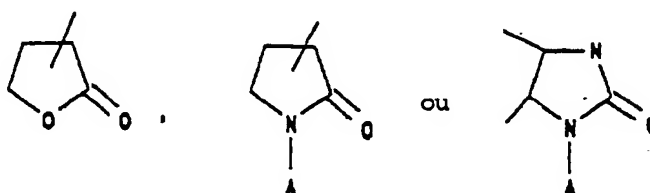
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



20 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ; un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ; et, lorsque R = R⁴(CH₂)_nSO₂- et n = 0

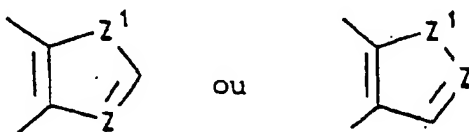
R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique

choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



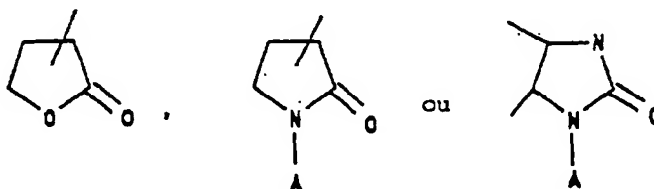
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;
et, lorsque R = R⁴(CH₂)_nSO₂- et n = 1 à 4,

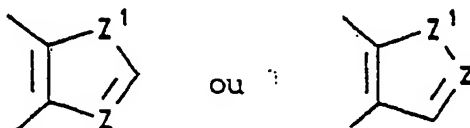
R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe carboxy(alkyle en C₁-C₄) ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



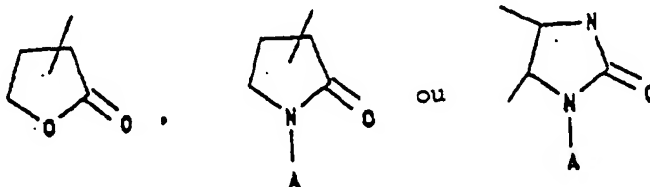
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



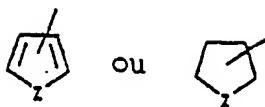
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou - (CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé

un noyau benzo ou pyrido :

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$Z = N, O, S$ ou Se

15

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

20

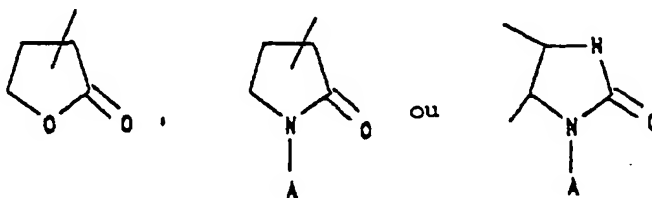


25

Z ou $Z' = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :

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35

(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy, en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

40

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

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ou bien R^5 et R^6 , pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi $(CH_2)_n$ et $n = 0$ ou 1, $-NH$, $-N$ (alkyle en C_1 - C_3) [linéaire ou ramifié], $-N$ (alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

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8. Procédé selon la revendication 6, dans lequel :

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Y est NO_2 ;

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

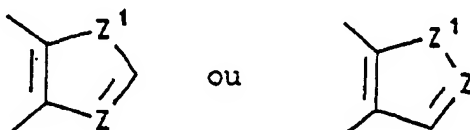
R^4 est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi

les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl) - amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe cycloalkyle en C₃-C₆ choisi parmi les groupes cyclopropyle, cyclobutyle, cyclopentyle ou cyclohexyle ; un groupe cycloalkyle en C₃-C₆ substitué (substitution choisie parmi les groupes alkyle en C₁-C₃, cyano, amino ou acyle en C₁-C₃) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe arylé en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe α -amino(alkyle en C₁-C₄) choisi parmi les groupes aminométhyle, α -aminoéthyle, α -aminopropyle ou α -aminobutyle ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α -aminobutyrique ou l'acide α -aminopropionique et leurs isomères optiques ; un groupe (aralkyle en C₇-C₉)amino ; un groupe (alcoxy en C₁-C₄)carbonylamino-alkyle en C₁-C₄ substitué, la substitution étant choisie parmi les groupes phényle ou *p*-hydroxyphényle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



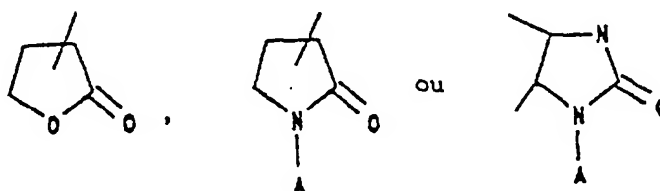
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

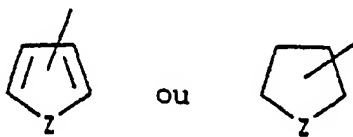
ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro,

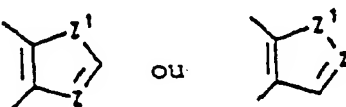
amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome, O greffé adjacent ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆) - carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substituant halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



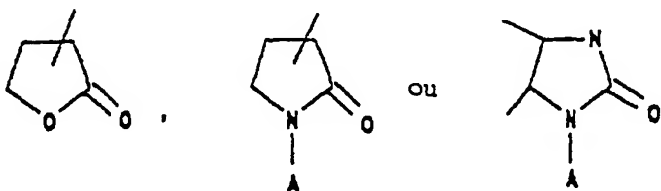
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyl ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 $Z = N, O, S \text{ ou } Se$

1 ;

15 un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^bamino (alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié
 20 choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n,
 25 n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;
 et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes
 30 (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un
 35 groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno (alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroacétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyale, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)carbonyle, le groupe hétérocyclique étant choisi
 40 parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



50 $Z = N, O, S \text{ ou } Se$

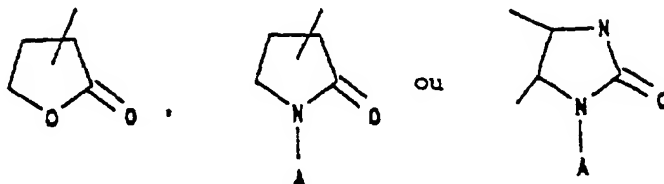
ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :

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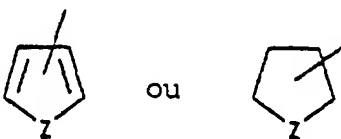
Z ou Z' = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

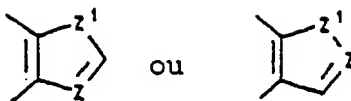
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe R^aR^bamino-(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminooxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe alkylthio en C₁-C₃ choisi parmi les groupes méthylthio, éthylthio, propylthio ou allylthio ; un groupe arylthio en C₆ choisi parmi les groupes phénylthio ou phénylthio substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe arylsulfonyle en C₆ choisi parmi les groupes phénylsulfonyle ou phénylsulfonyle substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄) carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéro-atome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O, S ou Se

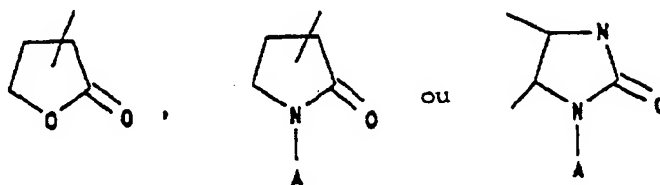
ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement

condensé un noyau benzo ou pyrido :



Z ou $Z^1 = N, O, S$ ou Se

15 ou un cycle saturé à cinq chaînons ayant un ou deux hétéro-atomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe, aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle).

30 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe hydroxyle ; un groupe α -hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α -hydroxyéthyle ou α -hydroxy-1-méthyléthyle ou α -hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe acyle ou halogénoacyle choisi parmi les groupes acétyle, propionyle, chloroacétyle, trifluoroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle ou (hétérocycle)carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



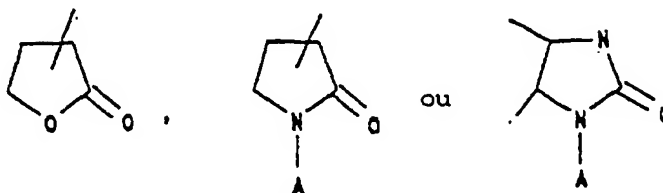
50 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



25 (A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se , ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C_1-C_4) carbonylamino choisi parmi les groupes *tert*-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

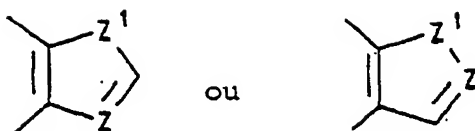
30 et, lorsque $R = R^4(CH_2)_nSO_2^-$ et $n = 0$

35 R^4 est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C_1-C_6 linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C_6-C_{10} substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



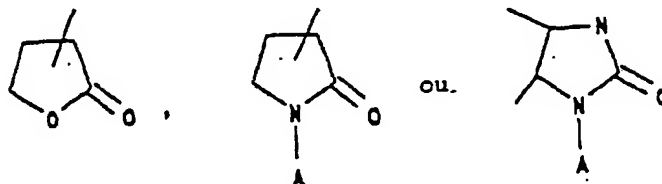
55 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle) ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; et, lorsque R = R⁴(CH₂)_nSO₂- et n = 1 à 4,

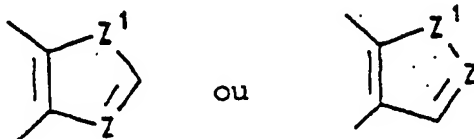
R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl (1-méthyléthyl)-amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe R^aR^bamino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N (alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminox, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes, phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



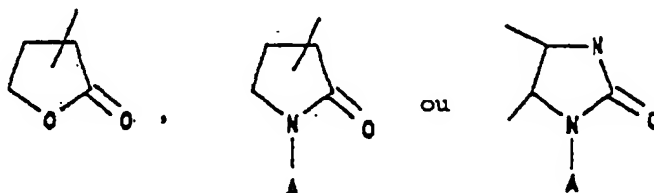
Z = N, O, S ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



15 Z ou $Z^1 = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉, choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

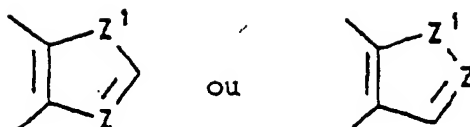
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R⁶ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C₇-C₉ ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



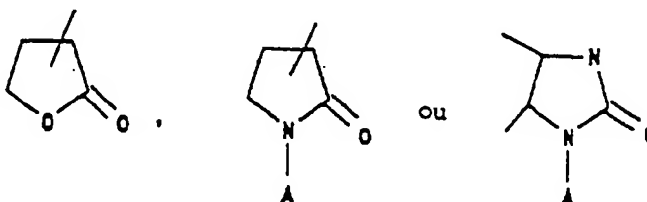
$Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéro-atomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou -(CH₂)_nCOOR⁷ où n = 0 à 4 et R⁷ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la piperidine⁹ ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

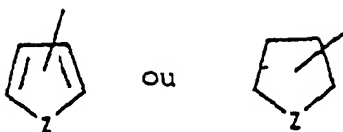
9. Procédé selon la revendication 6, dans lequel :

Y est NO₂ ;

R est choisi parmi R⁴(CH₂)_nCO- ou R⁴(CH₂)_nSO₂- ;

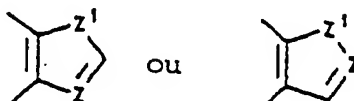
et, lorsque R = R⁴(CH₂)_nCO- et n = 0,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe carboxy(alkyle en C₂-C₄)amino choisi parmi l'acide aminoacétique, l'acide α-aminobutyrique ou l'acide α-aminopropionique et leurs isomères optiques ; un groupe α-hydroxy(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



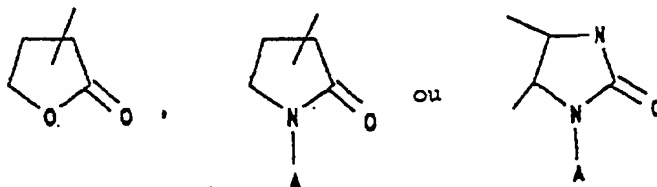
Z = N, O, S ou Se

10 ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



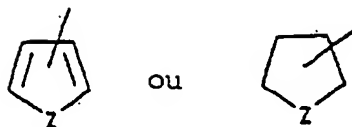
20 Z ou Z¹ = N, O, S ou Se

25 ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

40 ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₃, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle, β-naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy), halogéno(alkyle en C₁-C₃), un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



10 $Z = N, O, S \text{ ou } Se$

]

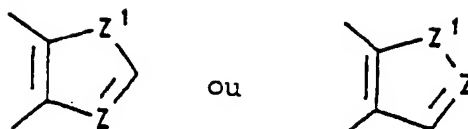
15 un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄, nitro, cyano, thiol, amino, carboxy, di(alkyle en C₁-C₃)amino) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie
20 parmi les groupes alkyle en C₁-C₄, cyano, carboxy ou aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle) ; un groupe R^aR^b amino(alcoxy en C₁-C₄), où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ;
25 et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; un groupe amino ; un groupe
30 amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃) amino ou carboxy) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle, chloroa-
35 cétyle, trichloroacétyle, (cycloalkyle en C₃-C₆)carbonyle, aroyle en C₆-C₁₀ choisi parmi les groupes benzoyle ou naphtoyle, aroyle en C₆-C₁₀ à substitution halogéno, (alkyle en C₁-C₄)benzoyle, ou (hétérocycle)-carbonyle, l'hétérocycle étant choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



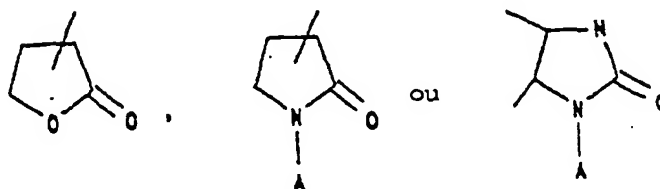
50 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement
55 condensé un noyau benzo ou pyrido :



Z ou Z¹ = N, O, S ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₄ linéaire ou ramifié ; aryle en C₆ ; aryle en C₆ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe aralkyle en C₇-C₉ choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino(alcoxy en C₁-C₄), ou R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6., ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe α-hydroxy-(alkyle en C₁-C₃) choisi parmi les groupes hydroxyméthyle, α-hydroxyéthyle ou α-hydroxy-1-méthyléthyle ou α-hydroxypropyle ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

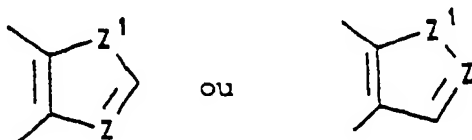
et, lorsque R = R⁴ (CH₂)_nSO₂- et n = 0,

R⁴ est choisi parmi un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle en C₁-C₆ linéaire ou ramifié)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipéridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle, 1-(1,2,3-triazolyle) ou 4-(1,2,4-triazolyle) ; un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, trihalogéno(alkyle en C₁-C₃), nitro, amino, cyano, (alcoxy en C₁-C₄)carbonyle, (alkyle en C₁-C₃)amino ou carboxy) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéro-atome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



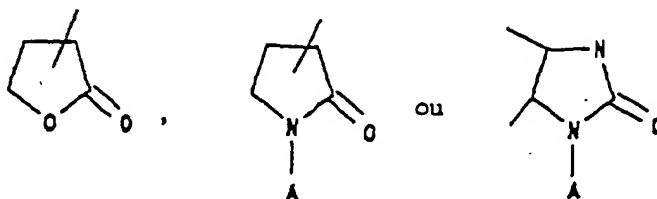
10 $Z = N, O, S$ ou Se

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



Z ou $Z' = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ;

et, lorsque $R = R' (CH_2)_n SO_2^-$ et $n = 1$ à 4,

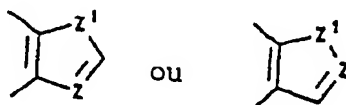
R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_2 choisi parmi les groupes méthyle ou éthyle ;

R^5 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7-C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



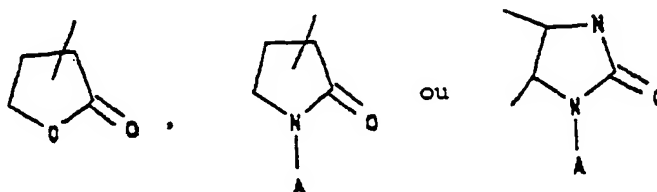
10 $Z = N, O, S \text{ ou } Se$

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 $Z \text{ ou } Z' = N, O, S \text{ ou } Se$

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1-C_4 , trihalogéno(alkyle en C_1-C_3), nitro, amino, cyano, (alcoxy en C_1-C_4)carbonyle, (alkyle en C_1-C_3)amino ou carboxy) ; un groupe aralkyle en C_7-C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

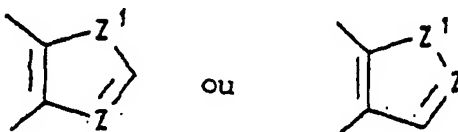
ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ;

R^6 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1-C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; un groupe aryle en C_6-C_{10} choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aralkyle en C_7-C_9 ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



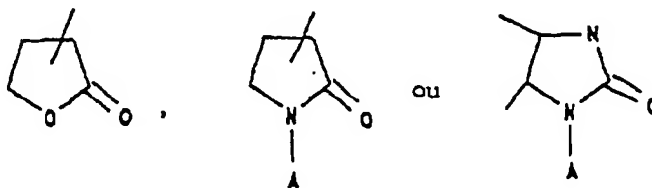
10 $Z = N, O, S$ ou Se .

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O, S ou Se et auquel est facultativement condensé un noyau benzo ou pyrido :



25 Z ou $Z' = N, O, S$ ou Se

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent :



(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_4 linéaire ou ramifié ; aryle en C_6 ; aryle en C_6 substitué (substitution choisie parmi les groupes halogéno, alcoxy en C_1 - C_4 , trihalogéno(alkyle en C_1 - C_3), nitro, amino, cyano, (alcoxy en C_1 - C_4)carbonyle, (alkyle en C_1 - C_3)amino ou carboxy) ; un groupe aralkyle en C_7 - C_9 choisi parmi les groupes benzyle, 1-phényléthyle, 2-phényléthyle ou phénylpropyle)

ou un cycle aromatique à six chaînons ayant un à trois hétéroatomes N, O, S ou Se, ou un cycle saturé à six chaînons ayant un ou deux hétéroatomes N, O, S ou Se et un hétéroatome O greffé adjacent ; ou $-(CH_2)_nCOOR^7$ où $n = 0$ à 4 et R^7 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_3 linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, n-propyle ou 1-méthyléthyle ; ou un groupe aryle en C_6 - C_{10} choisi parmi les groupes phényle, α -naphthyle ou β -naphthyle à condition que R^5 et R^6 ne soient pas tous deux de l'hydrogène ;

ou bien R^5 et R^6 , pris ensemble, représentent $-(CH_2)_2W(CH_2)_2-$ où W est choisi parmi $(CH_2)_n$ et $n = 0$ ou 1, $-NH$, $-N$ (alkyle en C_1 - C_3) [linéaire ou ramifié], $-N$ (alcoxy en C_1 - C_4), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement acceptables.

10. Procédé selon la revendication 6, dans lequel :

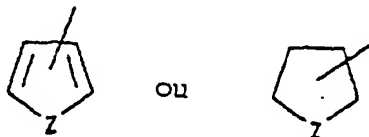
Y est NO_2 ;

R est choisi parmi $R^4(CH_2)_nCO-$ ou $R^4(CH_2)_nSO_2-$;

et, lorsque $R = R^4(CH_2)_nCO-$ et $n = 0$,

R^4 est choisi parmi un atome d'hydrogène ; un groupe alkyle en C_1 - C_2 linéaire ou ramifié choisi parmi les

groupes méthyle ou éthyle ; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle ou β -naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, amino ou (alcoxy en C₁-C₂)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



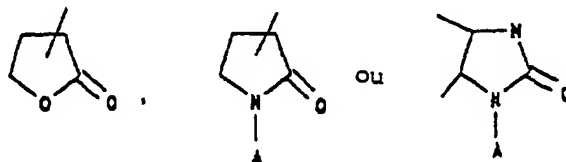
Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O ou S

ou un cycle saturé à cinq chaînons ayant un ou deux hétéroatomes N, O ou S et un hétéroatome O greffé adjacent :



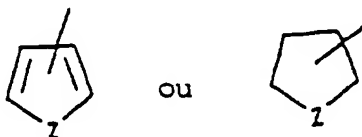
(A est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ linéaire ou ramifié ; aryle en C₆) ; un groupe (alcoxy en C₁-C₄)carbonyle choisi parmi les groupes méthoxycarbonyle, éthoxycarbonyle, propoxycarbonyle linéaire ou ramifié, butoxycarbonyle linéaire ou ramifié ou allyloxycarbonyle ; un groupe vinyle ou vinyle substitué [substitution choisie parmi les groupes alkyle en C₁-C₂, halogéno, aryle en C₆-C₁₀ choisi parmi les groupes phényle, α -naphtyle, β -naphtyle, aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, (alcoxy en C₁-C₄)carbonyle, halogéno(alkyle en C₁-C₃)] ; un groupe alcoxy en C₁-C₄ ; un groupe aryloxy en C₆ choisi parmi les groupes phénoxy ou phénoxy substitué (substitution choisie parmi les groupes halogéno, alkyle en C₁-C₄) ; un groupe aralkyloxy en C₇-C₁₀ ; un groupe vinyloxy ou vinyloxy substitué (substitution choisie parmi les groupes alkyle en C₁-C₂) ; un groupe R^aR^bamino(alcoxy en C₁-C₄) où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle ; ou un groupe R^aR^baminooxy où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle ; et, lorsque R = R⁴(CH₂)_nCO- et n = 1 à 4,

R⁴ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₂ choisi parmi les groupes méthyle ou éthyle ; un groupe amino ; un groupe amino monosubstitué choisi parmi les groupes (alkyle linéaire ou ramifié en C₁-C₆)amino, cyclopropylamino, cyclobutylamino, benzylamino ou phénylamino ; un groupe amino disubstitué choisi parmi les groupes diméthylamino, diéthylamino, éthyl(1-méthyléthyl)amino, monométhylbenzylamino, pipé-

ridinyle, morpholinyle, 1-imidazolyle, 1-pyrrolyle ou 1-(1,2,3-triazolyle); un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno; alcoxy en C₁-C₄, nitro, amino, (alcoxy en C₁-C₄)carbonyle) ; un groupe acyloxy ou halogénoacyloxy choisi parmi les groupes acétyle, propionyle ou chloroacétyle ; un groupe alcoxy en C₁-C₄ ; un groupe R^aR^bamino (alcoxy en C₁-C₄) où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi les groupes -N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; ou un groupe R^aR^baminoxy, où R^aR^b est un groupe alkyle en C₁-C₄ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle, 1-méthyléthyle, *n*-butyle, 1-méthylpropyle ou 2-méthylpropyle, ou bien R^aR^b est (CH₂)_n, n = 2 à 6, ou -(CH₂)₂W(CH₂)₂- où W est choisi parmi un groupe N(alkyle en C₁-C₃) [linéaire ou ramifié], -NH, -NOB [B est choisi parmi un atome d'hydrogène ou un groupe alkyle en C₁-C₃], O ou S ; un groupe halogéno(alkyle en C₁-C₃) ; un groupe (alcoxy en C₁-C₄)carbonylamino choisi parmi les groupes tert-butoxycarbonylamino, allyloxycarbonylamino, méthoxycarbonylamino, éthoxycarbonylamino ou propoxycarbonylamino ;

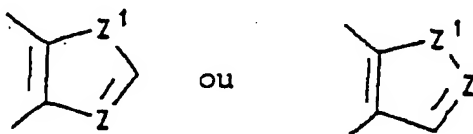
et, lorsque R = R^{4'} (CH₂)_nSO₂- et n = 0,

R^{4'} est choisi parmi un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle; un groupe aryle en C₆-C₁₀ choisi parmi les groupes phényle, α-naphtyle ou β-naphtyle ; un groupe aryle en C₆-C₁₀ substitué (substitution choisie parmi les groupes halogéno, alcoxy en C₁-C₄, nitro, (alcoxy en C₁-C₄)carbonyle) ; un groupe hétérocyclique choisi parmi un cycle aromatique ou saturé à cinq chaînons ayant un seul hétéroatome N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido :



Z = N, O ou S

ou un cycle aromatique à cinq chaînons ayant deux hétéroatomes N, O ou S et auquel est facultativement condensé un noyau benzo ou pyrido



Z ou Z' = N, O ou S

et, lorsque R = R^{4'}(CH₂)_nSO₂- et n = 1 à 4,

R^{4'} est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₂ linéaire ou ramifié choisi parmi les groupes méthyle ou éthyle ;

R⁵ est choisi parmi un atome d'hydrogène ; un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ;

R⁶ est choisi parmi un atome d'hydrogène, un groupe alkyle en C₁-C₃ linéaire ou ramifié choisi parmi les groupes méthyle, éthyle, *n*-propyle ou 1-méthyléthyle ; à condition que R⁵ et R⁶ ne soient pas tous deux de l'hydrogène ;

ou bien R⁵ et R⁶, pris ensemble, représentent -(CH₂)₂W(CH₂)₂- où W est choisi parmi (CH₂)_n et n = 0 ou 1, -NH, -N(alkyle en C₁-C₃) [linéaire ou ramifié], -N(alcoxy en C₁-C₄), un atome d'oxygène, un atome de soufre ou des congénères substitués choisis parmi la (L ou D)-proline, le (L ou D)-prolinate d'éthyle, la morpholine, la pyrrolidine ou la pipéridine ; et les sels organiques et minéraux ou complexes métalliques pharmacologiquement

acceptables.

11. Procédé selon la revendication 1, pour la production de

- 5
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - monochlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - 10
 - [4S-(4 α ,12 α)]-9-(acétylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(trifluoroacétyl)amino]-2-naphtacènegarboxamide ;
 - 15
 - sulfate de [4S-(4 α ,12 α)]-7-(diéthylamino)-4-diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide (2:1) ;
 - sulfate de [4S-(4 α ,12 α)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide (2:1) ;
 - [4S-(4 α ,12 α)]-7-(diéthylamino)-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - 20
 - [4S-(4 α ,12 α)]-9-(acétylamino)-7-(diéthylamino)-4-(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegarboxamide ;
 - 25
 - sulfate de [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - 30
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(1-oxo-2-propényl)amino]-2-naphtacènegarboxamide ;
 - sulfate de [4S-(4 α ,12 α)]-9-[(acétyloxy)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - 35
 - [4S-(4 α ,12 α)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-méthoxybenzoyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(2-méthylbenzoyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - 40
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - 45
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(2-furanylcabonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylcabonyl)amino]-2-naphtacènegarboxamide ;
 - 50
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - sulfate de [4S-(4 α ,12 α)]-9-[(4-aminobenzoyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - 55
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(4-diméthylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - ester 1,1-diméthyléthylque d'acide [7S-(7 α ,10 α)]-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;

- mono(trifluoroacétate) de [4S-(4 α ,12 $\alpha\alpha$)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylsulfonyl)amino]-2-naphtacènegcarboxamide ;
- 5 - [4S-(4 α ,12 $\alpha\alpha$)]-9-[(4-chlorophényl)sulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(3-nitrophényl)sulfonyl]amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(4-nitrophényl)sulfonyl]amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- 10 - [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(2-thiénylsulfonyl)amino]-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-9-[[2-(acétylamino)-4-méthyl-5-thiazolylsulfonyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 15 - [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- 20 - [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylméthoxy)acétyl]amino]-2-naphtacènegcarboxamide ;
- ester éthylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]oxoacétique ;
- 25 - [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- chlorhydrate de [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-9-[(méthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 $\alpha\alpha$)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegcarboxamide ;
- 30 - ester méthylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- ester (2-diéthylamino)éthylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- 35 - ester éthénylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- ester 2-propénylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- 40 - sulfate de [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-9-[[diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- chlorhydrate de [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthoxyacétyl)amino]-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 $\alpha\alpha$)]-9-[(4-bromo-1-oxobutyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 45 - [4S-(4 α ,12 $\alpha\alpha$)]-9-[[acétyloxy)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- sulfate de [4S-(4 α ,12 $\alpha\alpha$)]-9-(benzoylamino)-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 50 - chlorhydrate de [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[3-(trifluorométhyl)benzoyl]amino]-2-naphtacènegcarboxamide ;
- [4S-(4 α ,12 $\alpha\alpha$)]-9-[(4-aminobenzoyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- chlorhydrate de [4S-(4 α ,12 $\alpha\alpha$)]-4,7-bis(diméthylamino)-9-[[4-(diméthylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegcarboxamide ;
- 55 - chlorhydrate d'ester 1,1-diméthyléthylique d'acide [7S-(7 α ,10 $\alpha\alpha$)]-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]carbamique ;
- [4S-(4 α ,12 $\alpha\alpha$)]-9-[(aminoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-

tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;

- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(éthylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthanesulfonyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[(phénylméthoxy)acétyl]amino]-2-naphtacènegarboxamide ;
- sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(hydroxyacétyl)amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(acétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-iodo-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- sulfate d'ester méthylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- chlorhydrate d'ester (2-diéthylamino)éthylque d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- sulfate d'ester éthénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- chlorhydrate d'ester 2-propénylique d'acide [7S-(7 α ,10 α)]-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]carbamique ;
- sulfate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- chlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diéthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(diméthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-(chloroacétylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(chloroacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide (base libre) ;
- monobromhydrate de [4S-(4 α ,12 α)]-9-[(bromoacétyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- bromhydrate de [4S-(4 α ,12 α)]-9-[(2-bromo-1-oxopropyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- bromhydrate de [4S-(4 α ,12 α)]-9-[(2-bromo-1-oxopropyl)amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[(méthylamino)acétyl]amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-4-morpholineacétamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(éthylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(cyclopropylamino)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[(butylamino)acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-9-[(diéthylamino)acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pyrrolidineacétamide ;
- dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;

- hydroxy-9-[[[(2-méthylpropyl)amino]acétyl]amino]-1,11-dioxo-2-naphtacènegarboxamide ;
- dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-pipéridineacétamide ;
 - dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1H-imidazole-1-acétamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[propylamino]acétyl]amino]-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[hexylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[2-(diméthylamino)-1-oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-9-[[[2-(méthylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]- α -méthyl-1-pyrrolidineacétamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[4-(diméthylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-9-[[[butylméthylamino]acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[pentylamino]acétyl]amino]-2-naphtacènegarboxamide ;
 - dichlorhydrate de [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-9-[[[phénylméthyl]amino]acétyl]amino]-2-naphtacènegarboxamide ;
 - [7S-(7 α ,10 α)]-N-[2-[[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]amino]-2-oxoéthyl]glycine ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pyrrolidinylméthyl)-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(4-morpholinylméthyl)-2-naphtacènegarboxamide ;
 - [4S-(4 α ,12 α)]-4,7-bis(diméthylamino)-9-[[[diméthylamino]acétyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-N-(1-pipéridinylméthyl)-2-naphtacènegarboxamide ;
 - [7S-(7 α ,10 α)]-N-[9-(aminocarbonyl)-4,7-bis(diméthylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tétrahydroxy-10,12-dioxo-2-naphtacényl]-1-azétidineacétamide ;
 - chlorhydrate de [4S-(4 α ,12 α)]-9-[[[cyclobutylamino]acétyl]amino]-4,7-bis(diméthylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-1,11-dioxo-2-naphtacènegarboxamide.

12. Procédé selon la revendication 6, pour la production de sulfate de [4S-(4 α ,12 α)]-4-(diméthylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tétrahydroxy-7-nitro-1,11-dioxo-2-naphtacènegarboxamide.

13. Procédé de préparation d'une composition pharmaceutique comprenant un composé pouvant être obtenu par le procédé selon la revendication 1, ledit procédé comprenant l'étape de mise en association d'un composé tel que défini dans la revendication 1 avec un support pharmaceutiquement acceptable.